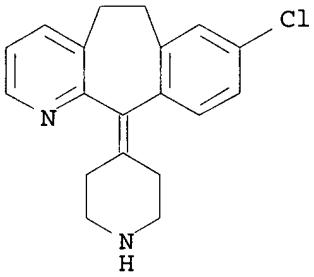
```
=> s e3
L2            1 DESLORATADINE/CN

=> d l2 1

L2   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2002 ACS
RN   100643-71-8  REGISTRY
CN   5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-
     piperidinylidene)- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-
     b]pyridine
CN   Descarboethoxyloratadine
CN   Desloratadine
CN   Sch 34117
MF   C19 H19 Cl N2
CI   COM
SR   CA
LC   STN Files:   ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOBUSINESS,
       BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS,
       CHEMINFORMRX, CIN, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE,
       IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, TOXLIT, USPATFULL
         (*File contains numerically searchable property data)
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             115 REFERENCES IN FILE CA (1967 TO DATE)
               1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
             117 REFERENCES IN FILE CAPLUS (1967 TO DATE)


=> e 3-hyrdroxy desloratadine/cn
E1           1     3-HYDROXYZATOSETRON/CN
E2           1     3-HYDROXYZOTEPINE/CN
E3           0 --> 3-HYRDROXY DESLORATADINE/CN
E4           1     3-IAA/CN
E5           1     3-IMIDAZOL-1-YL-PROPIONIC ACID 2-HYDROXYPROPYL ESTER/CN
E6           1     3-IMIDAZOLE-1,2-PROPANEDIOL-PHENYL PHOSPHORODICHLORIDATE POL
                   YMER/CN
E7           1     3-IMIDAZOLE-1,2-PROPANEDIOL-PHENYL PHOSPHORODICHLORIDATE POL
                   YMER, SRU/CN
E8           1     3-IMIDAZOLIDINE NITROXYL/CN
E9           1     3-IMIDAZOLIN-1-YLOXY, 2,2,4,5,5-PENTAMETHYL-, 3-OXIDE/CN
E10          1     3-IMIDAZOLIN-1-YLOXY, 2,2,4,5-TETRAMETHYL-5-PHENYL-, 3-OXIDE
```

```
                    /CN
E11          1      3-IMIDAZOLIN-1-YLOXY, 2,2,5,5-TETRAMETHYL-, 3-OXIDE/CN
E12          1      3-IMIDAZOLIN-1-YLOXY, 2,2,5,5-TETRAMETHYL-4-PHENYL-, 3-OXIDE
                    /CN

=> e 3-hydroxydesloratadine/cn
E1           1      3-HYDROXYDECENEDIOIC ACID/CN
E2           1      3-HYDROXYDEHYDROISO-.ALPHA.-LAPACHONE/CN
E3           0 --> 3-HYDROXYDESLORATADINE/CN
E4           1      3-HYDROXYDESMETHYLMAPROTILINE/CN
E5           1      3-HYDROXYDIABOLINE/CN
E6           1      3-HYDROXYDIAZEPAM/CN
E7           1      3-HYDROXYDIAZEPAM GLUCURONIDE/CN
E8           1      3-HYDROXYDIAZEPAM SULFATE/CN
E9           1      3-HYDROXYDIAZIRIDINE/CN
E10          1      3-HYDROXYDIBENZ(A,C)ANTHRACENE/CN
E11          1      3-HYDROXYDIBENZ(A,H)ANTHRACENE/CN
E12          1      3-HYDROXYDIBENZ(A,J)ACRIDINE/CN
```

```
=> dup rem l5
PROCESSING COMPLETED FOR L5
L6            62 DUP REM L5 (3 DUPLICATES REMOVED)

=> s l6 and py <=2000
L7            41 L6 AND PY <=2000

=> s (3(2a)hydroxy(2a)desloratadin? or 3(2a)oh(2a)desloratadin? or
3(2a)hydroxydesloratadin?)
L8             4 (3(2A) HYDROXY(2A) DESLORATADIN? OR 3(2A) OH(2A) DESLORATADIN?
                 OR 3(2A) HYDROXYDESLORATADIN?)
```

=> s l7 and l8
L9 0 L7 AND L8

=> d l8 abs ibib kwic 1-4

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2002 ACS
AB The purpose of this study was to evaluate loratadine, **desloratadine**, and **3-OH-desloratadine** as inhibitors of certain human liver cytochrome P 450 enzymes. Pooled human liver microsomes were used to det. whether loratadine, **desloratadine**, and **3-OH-desloratadine** were inhibitors of cytochrome P 450 (CYP) 1A2, 2C9, 2C19, 2D6, and 3A4. Loratadine did not inhibit CYP1A2 or CYP3A4 at concns. up to 3829 ng/mL, which is approx. 815-fold greater than the expected maximal human plasma concn. (4.7 .+-. 2.7 ng/mL) following the recommended dose of 10 mg/day. Loratadine inhibited CYP2C19 and CYP2D6 with IC50 values of approx. 0.76 .mu.M [291 ng/mL; Ki .simeq. 0.61 .mu.M (234 ng/mL)] and 8.1 .mu.M [3100 ng/mL; Ki .simeq. 2.7 .mu.M (1034 ng/mL)], resp., which are approx. 62 and 660 times the expected loratadine therapeutic exposure concn. Neither **desloratadine** nor **3-OH-desloratadine** inhibited CYP1A2, CYP2C9, CYP2C19, CYP2D6, or CYP3A4 greater than 25% at concns. of 3108 or 3278 ng/mL, resp. These results suggest that loratadine and the active metabolites **desloratadine** and **3-OH-desloratadine** are unlikely to affect the pharmacokinetics of coadministered drugs which are metabolized by these five cytochrome P 450 enzymes.

ACCESSION NUMBER: 2001:621281 CAPLUS
DOCUMENT NUMBER: 136:197
TITLE: In vitro characterization of the inhibition profile of loratadine, **desloratadine**, and **3-OH-desloratadine** for five human cytochrome P-450 enzymes
AUTHOR(S): Barecki, Mary E.; Casciano, Christopher N.; Johnson, William W.; Clement, Robert P.
CORPORATE SOURCE: Department of Drug Metabolism and Pharmacokinetics, Schering-Plough Research Institute, Lafayette, NJ, 07848-0032, USA
SOURCE: Drug Metabolism and Disposition (2001), 29(9), 1173-1175
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI In vitro characterization of the inhibition profile of loratadine, **desloratadine**, and **3-OH-desloratadine** for five human cytochrome P-450 enzymes
AB The purpose of this study was to evaluate loratadine, **desloratadine**, and **3-OH-desloratadine** as inhibitors of certain human liver cytochrome P 450 enzymes. Pooled human liver microsomes were used to det. whether loratadine, **desloratadine**, and **3-OH-desloratadine** were inhibitors of cytochrome P 450 (CYP) 1A2, 2C9, 2C19, 2D6, and 3A4. Loratadine did not inhibit CYP1A2 or CYP3A4 at concns. up to 3829 ng/mL, which is approx. 815-fold greater than the expected maximal human plasma concn. (4.7 .+-. 2.7 ng/mL) following the recommended dose of 10 mg/day.

Loratadine inhibited CYP2C19 and CYP2D6 with IC50 values of approx. 0.76 .mu.M [291 ng/mL; Ki .simeq. 0.61 .mu.M (234 ng/mL)] and 8.1 .mu.M [3100 ng/mL; Ki .simeq. 2.7 .mu.M (1034 ng/mL)], resp., which are approx. 62 and 660 times the expected loratadine therapeutic exposure concn. Neither **desloratadine** nor **3-OH-desloratadine** inhibited CYP1A2, CYP2C9, CYP2C19, CYP2D6, or CYP3A4 greater than 25% at concns. of 3108 or 3278 ng/mL, resp. These results suggest that loratadine and the active metabolites **desloratadine** and **3-OH-desloratadine** are unlikely to affect the pharmacokinetics of coadministered drugs which are metabolized by these five cytochrome P 450 enzymes.

IT Drug interactions
(adverse; inhibition profile of loratadine, **desloratadine**, and **3-OH-desloratadine** for five human cytochrome P 450 enzymes)

IT Liver
(inhibition profile of loratadine, **desloratadine**, and **3-OH-desloratadine** for five human cytochrome P 450 enzymes)

IT Drug interactions
(pharmacokinetic; inhibition profile of loratadine, **desloratadine**, and **3-OH-desloratadine** for five human cytochrome P 450 enzymes)

IT 79794-75-5, Loratadine 100643-71-8, Desloratadine 119410-08-1
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)
(inhibition profile of loratadine, **desloratadine**, and **3-OH-desloratadine** for five human cytochrome P 450 enzymes)

IT 329736-03-0, Cytochrome CYP3A4 329978-01-0, Cytochrome CYP2C9
330196-64-0, Cytochrome CYP1A2 330589-90-7, Cytochrome CYP2C19
330597-62-1, Cytochrome CYP2D6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition profile of loratadine, **desloratadine**, and **3-OH-desloratadine** for five human cytochrome P 450 enzymes)

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2002 ACS

AB A review, with refs. A new competitive histamine H1-receptor antagonist with superior binding affinity at this receptor as compared with other common antihistamines, desloratadine is the active metabolite of loratadine, the most extensively used agent of this class. Under development for the treatment of allergic rhinitis and urticaria and currently awaiting regulatory approval in the United States, desloratadine was recently approved and became com. available in Europe for the treatment of allergic disease. Desloratadine is at least 50-fold more potent in vitro and appears to be 10-fold more potent in vivo than loratadine. The new antihistamine is metabolized to **3-hydroxydesloratadine**, which retains biol. activity. Absorption of orally administered desloratadine is dose proportional, and desloratadine achieves steady-state concns. after approx. 5 doses with once-daily administration. This is consistent with mean half-life values of 24-27 h and a 24-h dosing interval. The absorption of desloratadine is not affected by food and there are no clin. relevant drug-drug interactions. In randomized, double-blind, placebo-controlled clin. trials, a single 5 mg dose of desloratadine conferred significant relief of seasonal allergic rhinitis (SAR) symptoms - including the complaint of nasal congestion - within hours of the first dose, and these effects were sustained both for

the entire 24-h dosing interval and up to 2-4 wk with once-daily treatment (5 mg/day). In addn., patients with seasonal exacerbations of mild to moderate asthma derived similar clin. benefits from desloratadine, with significant, first-dose relief of both SAR-related complaints such as nasal congestion as well as asthma symptoms. In addn., .beta.2 agonist requirements for symptom management were significantly reduced from baseline in these asthma patients when treated with the 5 mg/day desloratadine regimen as compared with placebo. Also experiencing marked relief of symptoms upon treatment with desloratadine were patients with chronic idiopathic urticaria, who exhibited significant first-dose relief of pruritus and sustained redns. in this symptom, nos. of lesions (and size of largest hive) and sleep disturbances, with a marked improvement in their ability to carry out activities of daily living. The clin. benefits of desloratadine in the above clin. settings were accompanied by general improvements in quality of life. Desloratadine does not cross the blood-brain barrier, as demonstrated by both human studies using cognitive indexes as well as work in animal models. Desloratadine is well tolerated, and no significant drug-related (or food-related) adverse effects were noted when the agent was administered together with cytochrome P 450 inhibitors (e.g., ketoconazole, erythromycin). Administration of desloratadine has not been shown to cause any significant changes in cardiac activity at therapeutic doses, even at 9-fold higher doses, or in the presence of P 450 inhibitors. Nor does administration of desloratadine lead to sedation, even in the presence of alc.

ACCESSION NUMBER: 2001:507229 CAPLUS
DOCUMENT NUMBER: 135:297925
TITLE: Desloratadine: A preclinical and clinical overview
AUTHOR(S): Norman, P.; Dihlmann, A.; Rabasseda, X.
CORPORATE SOURCE: Norman Consulting, Burnham, UK
SOURCE: Drugs Today (2001), 37(4), 215-227
CODEN: MDACAP; ISSN: 0025-7656
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A review, with refs. A new competitive histamine H1-receptor antagonist with superior binding affinity at this receptor as compared with other common antihistamines, desloratadine is the active metabolite of loratadine, the most extensively used agent of this class. Under development for the treatment of allergic rhinitis and urticaria and currently awaiting regulatory approval in the United States, desloratadine was recently approved and became com. available in Europe for the treatment of allergic disease. Desloratadine is at least 50-fold more potent in vitro and appears to be 10-fold more potent in vivo than loratadine. The new antihistamine is metabolized to **3-hydroxydesloratadine**, which retains biol. activity. Absorption of orally administered desloratadine is dose proportional, and desloratadine achieves steady-state concns. after approx. 5 doses with once-daily administration. This is consistent with mean half-life values of 24-27 h and a 24-h dosing interval. The absorption of desloratadine is not affected by food and there are no clin. relevant drug-drug interactions. In randomized, double-blind, placebo-controlled clin. trials, a single 5 mg dose of desloratadine conferred significant relief of seasonal allergic rhinitis (SAR) symptoms - including the complaint of nasal congestion - within hours of the first dose, and these effects were sustained both for the entire 24-h dosing interval and up to 2-4 wk with once-daily treatment

(5 mg/day). In addn., patients with seasonal exacerbations of mild to moderate asthma derived similar clin. benefits from desloratadine, with significant, first-dose relief of both SAR-related complaints such as nasal congestion as well as asthma symptoms. In addn., .beta.2 agonist requirements for symptom management were significantly reduced from baseline in these asthma patients when treated with the 5 mg/day desloratadine regimen as compared with placebo. Also experiencing marked relief of symptoms upon treatment with desloratadine were patients with chronic idiopathic urticaria, who exhibited significant first-dose relief of pruritus and sustained redns. in this symptom, nos. of lesions (and size of largest hive) and sleep disturbances, with a marked improvement in their ability to carry out activities of daily living. The clin. benefits of desloratadine in the above clin. settings were accompanied by general improvements in quality of life. Desloratadine does not cross the blood-brain barrier, as demonstrated by both human studies using cognitive indexes as well as work in animal models. Desloratadine is well tolerated, and no significant drug-related (or food-related) adverse effects were noted when the agent was administered together with cytochrome P 450 inhibitors (e.g., ketoconazole, erythromycin). Administration of desloratadine has not been shown to cause any significant changes in cardiac activity at therapeutic doses, even at 9-fold higher doses, or in the presence of P 450 inhibitors. Nor does administration of desloratadine lead to sedation, even in the presence of alc.

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2002 ACS

AB Significant cardiac toxicity has been assocd. with some older antihistamines (eg, terfenadine and astemizole) when their plasma concns. are increased. There is thus a need for a thorough assessment of the cardiac safety of newer antihistamine compds. This study was undertaken to assess the effects of coadministration of desloratadine or fexofenadine with azithromycin on pharmacokinetic parameters, tolerability, and electrocardiog. (ECG) findings. Healthy volunteers aged 19 to 46 yr participated in this randomized, placebo-controlled, parallel-group, third-party-blind, multiple-dose study. Subjects received desloratadine 5 mg once daily, fexofenadine 60 mg twice daily, or placebo for 7 days. An azithromycin loading dose (500 mg) followed by azithromycin 250 mg once daily for 4 days was administered concomitantly starting on day 3. Group 1 received desloratadine and azithromycin, group 2 received desloratadine and placebo, group 3 received placebo and azithromycin, group 4 received fexofenadine and azithromycin, and group 5 received fexofenadine and placebo. The results of the pharmacokinetic anal. revealed little change in mean max. concn. (Cmax) and area under the concn.-time curve (AUC) values for desloratadine with concomitant administration of azithromycin: Cmax ratio, 115% (90% CI, 92-144); AUC, ratio 105% (90% CI, 82-134). The corresponding ratios for **3-hydroxydesloratadine** were 115% (90% CI, 98-136) and 104% (90% CI, 88-122), resp. A substantial increase was obsd. in mean Cmax and AUC values for fexofenadine when administered with azithromycin: Cmax ratio, 169% (90% CI, 120-237); AUC ratio, 167% (90% CI, 122-229). Compared with the group receiving desloratadine and azithromycin, subjects receiving fexofenadine and azithromycin also displayed greater variability in pharmacokinetic parameters for the antihistamine. Mean Cmax and AUC values of azithromycin were slightly higher when administered with desloratadine (Cmax ratio, 131% [90% CI, 92-187]; AUC ratio, 112% [90% CI, 83-153]) but were lower when given in combination with fexofenadine (Cmax ratio, 87% [90% CI, 61-124]; AUC ratio, 88% [90% CI, 65-120]). The most common adverse event for all regimens was headache, reported in 20 (22%)

subjects. All combinations of desloratadine or fexofenadine with and without azithromycin were well tolerated, and no statistically significant changes in PR, QT, or QTc interval, QRS complex, or ventricular rate were obsd. Small increases (<15%) in mean pharmacokinetics of desloratadine were obsd. with coadministration of azithromycin. By contrast, peak fexofenadine concns. were increased by 69% and the AUC was increased by 67% in the presence of the azalide antibiotic. Based on the reported adverse-events profile and the absence of changes in ECG parameters, the combination of desloratadine and azithromycin was well tolerated. This study suggests that desloratadine has a more favorable drug-interaction potential than does fexofenadine.

ACCESSION NUMBER: 2001:382847 CAPLUS
DOCUMENT NUMBER: 136:112234
TITLE: Pharmacokinetic and safety profile of desloratadine and fexofenadine when coadministered with azithromycin: A randomized, placebo-controlled, parallel-group study
AUTHOR(S): Gupta, Samir; Banfield, Christopher; Kantesaria, Bhavna; Marino, Mark; Clement, Robert; Affrime, Melton; Batra, Vijay
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, USA
SOURCE: Clinical Therapeutics (2001), 23(3), 451-466
CODEN: CLTHDG; ISSN: 0149-2918
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Significant cardiac toxicity has been assocd. with some older antihistamines (eg, terfenadine and astemizole) when their plasma concns. are increased. There is thus a need for a thorough assessment of the cardiac safety of newer antihistamine compds. This study was undertaken to assess the effects of coadministration of desloratadine or fexofenadine with azithromycin on pharmacokinetic parameters, tolerability, and electrocardiog. (ECG) findings. Healthy volunteers aged 19 to 46 yr participated in this randomized, placebo-controlled, parallel-group, third-party-blind, multiple-dose study. Subjects received desloratadine 5 mg once daily, fexofenadine 60 mg twice daily, or placebo for 7 days. An azithromycin loading dose (500 mg) followed by azithromycin 250 mg once daily for 4 days was administered concomitantly starting on day 3. Group 1 received desloratadine and azithromycin, group 2 received desloratadine and placebo, group 3 received placebo and azithromycin, group 4 received fexofenadine and azithromycin, and group 5 received fexofenadine and placebo. The results of the pharmacokinetic anal. revealed little change in mean max. concn. (Cmax) and area under the concn.-time curve (AUC) values for desloratadine with concomitant administration of azithromycin: Cmax ratio, 115% (90% CI, 92-144); AUC, ratio 105% (90% CI, 82-134). The corresponding ratios for **3-hydroxydesloratadine** were 115% (90% CI, 98-136) and 104% (90% CI, 88-122), resp. A substantial increase was obsd. in mean Cmax and AUC values for fexofenadine when administered with azithromycin: Cmax ratio, 169% (90% CI, 120-237); AUC ratio, 167% (90% CI, 122-229). Compared with the group receiving desloratadine and azithromycin, subjects receiving fexofenadine and azithromycin also displayed greater variability in pharmacokinetic parameters for the antihistamine. Mean Cmax and AUC values of azithromycin were slightly higher when administered with desloratadine (Cmax ratio, 131% [90% CI, 92-187]; AUC ratio, 112% [90% CI, 83-153]) but

were lower when given in combination with fexofenadine (Cmax ratio, 87% [90% CI, 61-124]; AUC ratio, 88% [90% CI, 65-120]). The most common adverse event for all regimens was headache, reported in 20 (22%) subjects. All combinations of desloratadine or fexofenadine with and without azithromycin were well tolerated, and no statistically significant changes in PR, QT, or QTc interval, QRS complex, or ventricular rate were obsd. Small increases (<15%) in mean pharmacokinetics of desloratadine were obsd. with coadministration of azithromycin. By contrast, peak fexofenadine concns. were increased by 69% and the AUC was increased by 67% in the presence of the azalide antibiotic. Based on the reported adverse-events profile and the absence of changes in ECG parameters, the combination of desloratadine and azithromycin was well tolerated. This study suggests that desloratadine has a more favorable drug-interaction potential than does fexofenadine.

L8 ANSWER 4 OF 4 USPATFULL

AB A method of treating and/or preventing allergic and inflammatory conditions of the skin or upper and lower airway passages, e.g. seasonal allergic rhinitis, pernninal allergic rhinitis, or chronic idopathic urticaria, in a human more 12 years old, by administering an amount of desloratadine, e.g. 2.times.2.5 mg or 5 mg/day for a time sufficient to produce a geometric mean steady state maximum plasma concentration of desloratadine in the range of about 2.90 ng/mL to about 4.54 ng/mL, or a arithmetic mean steady state maximum plasma concentration of desloratadine in the range of about 3.2 ng/mL to about 5.0 ng/mL is disclosed.

ACCESSION NUMBER:	2002:32587 USPATFULL		
TITLE:	Treating allergic and inflammatory conditions		
INVENTOR(S):	Affrime, Melton B., Warren, NJ, UNITED STATES Banfield, Christopher R., High Bridge, NJ, UNITED STATES Gupta, Samir K., East Brunswick, NJ, UNITED STATES		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002019409	A1	20020214
APPLICATION INFO.:	US 2001-760588	A1	20010116 (9)
	NUMBER		DATE
PRIORITY INFORMATION:	US 2000-179910		20000203 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530		
NUMBER OF CLAIMS:	59		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Page(s)		
LINE COUNT:	1161		

SUMM . . . dated 1/99. Desloratadine is disclosed in U.S. Pat. No. 4, 659,716 as a non-sedating antihistamine. The active metabolite of desloratadine, **3-hydroxydesloratadine**, is disclosed in U.S. Pat. No. 4,804,666.

SUMM . . . affect the transport across cell membranes. These important characteristics are different for desloratadine (a secondary amine) and its active metabolite, **3-hydroxydesloratadine** (a

hydroxy-substituted secondary amine) and loratadine (a tertiary amine) so that transport across cell membranes and pharmacokinetics profiles may be. . .

SUMM . . . an effective amount of desloratadine for a time sufficient to produce a geometric mean steady state maximum plasma concentration of **3-OH-desloratadine** in the range of about 1.50 ng/mL to about 2.34 ng/mL, or an arithmetic mean steady state maximum plasma concentration of **3-OH-desloratadine** in the range of about 1.60 ng/mL to about 2.50 ng/mL.

DRWD [0016] FIG. 1 is a linear: linear graphic display of the mean plasma concentrations of **desloratadine** ("DL") and **3-OH desloratadine** ("**3-OH** DL") (ng/mL plasma) versus time(0-24 hours) on DAY 10, following multiple-dose oral administration of 5 mg desloratadine tablets to healthy. . .

DRWD [0017] FIG. 2 is a log: linear graphic display of the mean plasma concentrations of **desloratadine** ("DL") and **3-OH desloratadine** ("**3-OH** DL") (ng/mL plasma) versus time (0-24 hours) on DAY 10, following multiple-dose oral administration of 5 mg desloratadine tablets to. . .

DRWD [0018] FIG. 3 is a linear: linear graphic display of the mean plasma concentrations of **desloratadine** ("DL") and **3-OH desloratadine** ("**3-OH** DL") (ng/mL plasma) versus time(0-24 hours), following single-dose oral administration of 5, 7.5,10 or 20 mg desloratadine tablets to healthy. . .

DRWD [0019] FIG. 4 is a log: linear graphic display of the mean plasma concentrations of **desloratadine** ("DL") and **3-OH desloratadine** ("**3-OH** DL") (ng/mL plasma) versus time (0-168 hours), following single-dose oral administration of 5, 7.5,10 or 20 mg desloratadine tablets to. . .

DETD [0023] Desloratadine is metabolized in vivo into **3-OH-desloratadine**. ("**3-OH**-DL") which is subsequently extensively converted into **3-OH desloratadine** glucuronide. **Desloratadine** and **3-OH desloratadine** are each non-sedating, long acting antihistamines with increased H1-receptor antagonist potency (compared to loratadine). Receptor binding data indicate that at. . .

DETD [0037] The pharmacokinetic objective of this study was to characterize the pharmacokinetic profile of **desloratadine** and **3-OH desloratadine** following multiple-dose oral administration of 5 mg of desloratadine to a population representative of that studied in the clinical efficacy. . .

DETD [0076] Blood samples were collected for determination of the plasma pharmacokinetic profile of **desloratadine** and **3-OH desloratadine**. Five milliliters (5 mL) of blood were collected just prior to drug administration (0 hour) and at 0.5, 1, 1.5,. . . two separate appropriately labeled tubes, frozen to at least -20.degree. C. and maintained in the frozen state until assayed for **desloratadine**, and **3-OH desloratadine** content.

DETD [0083] The pharmacokinetic variables of major interest were the plasma AUC(0-24) and C.sub.max. Plasma **desloratadine** and **3-OH desloratadine** concentrations were determined using a validated liquid chromatography with tandem mass spectrometric detection ("LC/MS/MS ") method with the lower limits. . .

DETD . . . Summary statistics for the concentration data at each sampling time and the derived pharmacokinetic parameters were calculated for each analyte (**desloratadine**, and **3-OH desloratadine**).

DETD . . . or trough plasma desloratadine concentrations on Days 7, 8, 9 and 10 are presented in Table 1. The mean plasma **desloratadine** and **3-OH desloratadine** trough concentrations of Days 7, 8, 9 and 10, were within 10% of one another suggesting that steady-state was attained. . .

DETD . . . Day 10, following multiple-dose oral administration of 5 mg desloratadine tablets to healthy adult subjects. The median T.sub.max value for **3-OH desloratadine** is 5 hrs. The arithmetic and harmonic mean t1/2 values of DL were 26.8 and 24.2 hours, respectively, following desloratadine administration (See Table 2. See also FIGS. 1 and 2.)

TABLE 2

Mean Pharmacokinetic Parameters of **Desloratadine** and **3-OH Desloratadine** Following Multiple Oral Dosing of
DL 5 mg.sup.1 to Healthy Subjects on Day 10

	Pharmacokinetic Parameters			
	Cmax	Tmax	AUC(0-24 hr)	t1/2

. . .

DETD [0096] This study was conducted to characterize the pharmacokinetic profile of **desloratadine** and **3-OH desloratadine** following multiple-dose administration of 5 mg desloratadine tablet in a population representative of that studied in the clinical seasonal allergic. . .

DETD . . . single oral dose of desloratadine 7.5 mg, followed 3 days later by once-daily dosing for 14 days. Plasma concentrations of **desloratadine** and **3 hydroxydesloratadine** ("**3-OH**-DL") were determined by liquid chromatography/mass spectometry (LOQ=0.025 ng/mL). Steady state was characterized by the following mean % coefficient of variation (%CV)) pharmacokinetic parameters for **desloratadine** and **3-OH**-DL after 14 days of dosing listed in Table 3.

TABLE 3

Mean (% CV) Desloratadine Pharmacokinetic Parameters on Day 14 Following Once. . .

DETD [0134] U.S. Pat. No. 4,804,666 discloses **3-OH desloratadine** pharmaceutical compositions containing desloratadine and methods of using the allergy in a mammal.

DETD [0135] **Desloratadine**, **3-OH desloratadine** and **3-OH desloratadine** glucuronide are available from Schering Corporation, Kenilworth, N.J.

DETD . . . 10 days to said human of 12 years and older, the arithmetic mean steady state maximum plasma concentration (C.sub.max) of **3-OH-desloratadine** produced is in the range of about 1.60 ng/mL to about 2.50 ng/mL, preferably about 2.00 ng/mL, at arithmetic mean. . . of about 25.8 ng.hr/mL to about 40.4, preferably about 32.3 ng.hr/mL; the geometic mean steady state maximum plasma concentration(C.sub.max) of **3-OH-desloratadine** produced is in the range of about 1.50 ng/mL to about 2.34 ng/mL, preferably about 1.87 ng/mL, at geometic mean. . .

CLM What is claimed is:

. . . an effective amount of desloratadine for a time sufficient to produce a geometric mean steady state maximum plasma concentration of **3-OH-desloratadine** in the range of about 1.50 ng/mL to about 2.34 ng/mL, or an arithmetic mean steady state maximum plasma concentration of **3-OH-desloratadine** in the range of about 1.60 ng/mL to about 2.50 ng/mL.

13. The method of claim 4 wherein the geometric mean AUC(0-24 hr) for **3-OH-desloratadine** is in the range of about 24.3 ng.hr/mL to about 38.0 ng.hr/mL.

14. The method of claim 4 wherein the arithmetic mean AUC(0-24 hr) for **3-OH-desloratadine** is in the range of about 25.8 ng.hr/mL to about 40.4 ng.hr/mL.

. . . seasonal or perennial allergic rhinitis in a human of 12 years and older which comprises administering an effective amount of **3-OH-desloratadine** for a time sufficient to produce a geometric mean steady state maximum plasma concentration of desloratadine in the range of about 1.50 ng/mL to about 2.34 ng/mL, or a arithmetic mean steady state maximum plasma concentration of **3-OH-desloratadine** in the range of about 1.60 ng/mL to about 2.50 ng/mL.

21. The method of claim 19 wherein a arithmetic mean steady state maximum plasma concentration of **3 OH-desloratadine** in the range of about 1.60 ng/mL to about 2.50 ng/mL is produced

29. The method of claim 19 wherein the geometric mean AUC(0-24 hr) for **3-OH-desloratadine** is in the range of about 24.3 ng.hr/mL to about 38.0 ng.hr/mL.

30. The method of claim 19 wherein the arithmetic mean AUC(0-24 hr) for **3-OH-desloratadine** is in the range of about 25.8 ng.hr/mL to about 40.4 ng.hr/mL.

. . . an effective amount of desloratadine for a time sufficient to produce a geometric mean steady state maximum plasma concentration of **3 OH-desloratadine** in the range of about 1.50 ng/mL to about 2.34 ng/mL, or a arithmetic mean steady state maximum plasma concentration. . .

35. The method of claim 34 wherein the geometric mean T.sub.max of **3 OH-desloratadine** is in the range of about 4.00 to about 6.25 hours.

36. The method of claim 34 wherein the arithmetic mean T.sub.max of **3 OH-desloratadine** is in the range of about 3.80 to about 5.95 hours.

43. The method of claim 34 wherein the geometric mean AUC(0-24 hr) for **3-OH-desloratadine** is in the range of about 24.3 ng.hr/mL to about 38.0 ng.hr/mL.

44. The method of claim 34 wherein the arithmetic mean AUC(0-24 hr) for **3-OH-desloratadine** is in the range of about 25.8 ng.hr/mL to about 40.4 ng.hr/mL.

46. The method of claim 45 wherein the arithmetic mean steady state maximum plasma concentration(C.sub.max) of **3-OH-desloratadine** produced post dose at an arithmetic mean time to maximum plasma concentration (T.sub.max) of about 4.8 hours, is about 2. . .

47. The method of claim 46 wherein the arithmetic mean AUC(0-24 hr) for **3-OH-desloratadine** is in the range of about 25.8 ng.hr/mL to about 40.4 ng.hr/mL.

49. The method of claim 48 wherein the arithmetic mean steady state maximum plasma concentration(C.sub.max) of **3-OH-desloratadine** produced post dose at arithmetic mean time to maximum plasma concentration (T.sub.max) in the range of about 3.80 hours to. . .

53. The method of claim 52 wherein the arithmetic mean steady state maximum plasma concentration(C.sub.max) of **3-OH-desloratadine** produced at arithmetic mean time to maximum plasma concentration (T.sub.max) of about 4.8 hours post dose, is about 2.0 ng/mL,. . .

55. The method of claim 54 wherein the arithmetic mean steady state maximum plasma concentration(C.sub.max) of **3-OH-desloratadine** produced at arithmetic mean time to maximum plasma concentration (T.sub.max) of about 4.8 hours post dose, is about 2.0 ng/mL,. . .

57. The method of claim 56 wherein the arithmetic mean steady state maximum plasma concentration(C.sub.max) of **3-OH-desloratadine** produced at arithmetic mean time to maximum plasma concentration (T.sub.max) of about 4.80 hours post dose, is about 2.0 ng/mL,. . .

59. The method of claim 58 wherein the arithmetic mean steady state maximum plasma concentration(C.sub.max) of **3-OH-desloratadine** produced at arithmetic mean time to maximum plasma concentration (T.sub.max) of about 4.80 hours post dose, is about 2.0 ng/mL,. . .

=>

09/760,588

```
> d his

     (FILE 'HOME' ENTERED AT 16:16:48 ON 21 FEB 2002)

     FILE 'REGISTRY' ENTERED AT 16:17:34 ON 21 FEB 2002
L1             1 S DESLORATADINE/CN
               E DESLORATADINE/CN
L2             1 S E3
               E 3-HYRDROXY DESLORATADINE/CN
               E 3-HYDROXYDESLORATADINE/CN

     FILE 'CAPLUS, USPATFULL' ENTERED AT 16:19:29 ON 21 FEB 2002
L3           170 S L2
L4         36064 S (RHINIT? OR ATOPIC(3A)DERMATIT? OR URTICARIA OR ASTHMA)
L5            65 S L3 AND L4
L6            62 DUP REM L5 (3 DUPLICATES REMOVED)
L7            41 S L6 AND PY <=2000
L8             4 S (3(2A)HYDROXY(2A)DESLORATADIN? OR 3(2A)OH(2A)DESLORATADIN? OR
L9             0 S L7 AND L8
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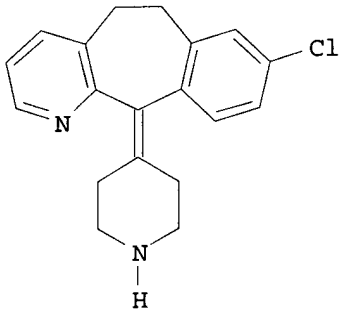
L7 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2002 ACS
GI



I

AB Stable pharmaceutical compns. of descarboethoxyloratadine (DCL) (I), a metabolic deriv. of loratadine, for the treatment of allergic **rhinitis** and other histamine-induced disorders are disclosed. The compns. are formulated to avoid the incompatibility between I and reactive excipients such as lactose and other mono- and di-saccharides. Tablets were prepd. contg. I 10, starch 60, talc 12, acacia 12, and stearic acid 1 mg/tablet.

ACCESSION NUMBER: 1998:548533 CAPLUS
DOCUMENT NUMBER: 129:180143
TITLE: Lactose-free, non-hygroscopic and anhydrous pharmaceutical compositions of descarboethoxyloratadine
INVENTOR(S): Redmon, Martin P.; Butler, Hal T.; Wald, Stephen A.; Rubin, Paul D.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834614	A1	19980813	WO 1998-US2328	19980206 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9800977	A	19980730	ZA 1998-977	19980206 <--
AU 9862719	A1	19980826	AU 1998-62719	19980206 <--
EP 969836	A1	20000112	EP 1998-904980	19980206 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9806157	A	20010109	BR 1998-6157	19980206

JP 2001511184 T2 20010807 JP 1998-534919 19980206
NO 9902157 A 19990504 NO 1999-2157 19990504 <--
PRIORITY APPLN. INFO.: US 1997-37325 P 19970207
US 1997-45184 P 19970430
US 1997-53050 P 19970721
WO 1998-US2328 W 19980206

PI WO 9834614 A1 **19980813**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9834614	A1	19980813	WO 1998-US2328	19980206 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

ZA 9800977	A	19980730	ZA 1998-977	19980206 <--
AU 9862719	A1	19980826	AU 1998-62719	19980206 <--
EP 969836	A1	20000112	EP 1998-904980	19980206 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

BR 9806157	A	20010109	BR 1998-6157	19980206
JP 2001511184	T2	20010807	JP 1998-534919	19980206
NO 9902157	A	19990504	NO 1999-2157	19990504 <--

AB Stable pharmaceutical compns. of descarboethoxyloratadine (DCL) (I), a metabolic deriv. of loratadine, for the treatment of allergic **rhinitis** and other histamine-induced disorders are disclosed. The compns. are formulated to avoid the incompatibility between I and reactive excipients such as lactose and other mono- and di-saccharides. Tablets were prepd. contg. I 10, starch 60, talc 12, acacia 12, and stearic acid 1 mg/tablet.

IT Allergic **rhinitis**
Analgesics
Capsules (drug delivery systems)
Coatings
Decongestants
Dermatitis
Diabetic retinopathy
Tablets (drug delivery systems)
(lactose-free, non-hygroscopic and anhyd. pharmaceutical compns. of descarboethoxyloratadine)

IT **100643-71-8**, Descarboethoxyloratadine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lactose-free, non-hygroscopic and anhyd. pharmaceutical compns. of descarboethoxyloratadine)

FILE 'CAPLUS, USPATFULL' ENTERED AT 16:36:45 ON 21 FEB 2002

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L12 37 L3 AND L11

=> dup rem l12
PROCESSING COMPLETED FOR L12
L13 37 DUP REM L12 (0 DUPLICATES REMOVED)

=> sl 13 and py <=2000
SL IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

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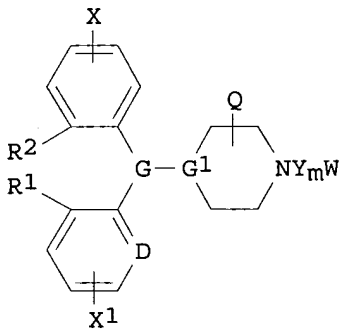
L14 24 L13 AND PY <=2000

=> d l14 abs ibib kwic 1-24

L14 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2002 ACS
GI



I

AB Title compds. [I; X, X1 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, CF3, etc.; GG1 = CHN, CHCH, C:C; D = CH, N; R1, R2 = H; R1R2 = (CH2)n; n = 0-3; m = 0, 1; Y = L1, L2VZtL3; t = 0, 1; L1 = (heteroatom-interrupted) alkylene, alkenylene, alkynylene; L2 = L1, bond, L4Q1, etc.; L3, L4 = L1, bond; V = divalent arene, heteroarene, divalent satd. heterocycle; Z = A1NOM1CONR10R11, etc.; Q, Q1 = H, ACO2R6, ACONR6R7; W = N(OM)CONR8R9, NR8CON(OM)R9, etc.; A, A1 = bond, alkylene, alkenylene, alkynylene, etc.; R6-R11 = H, (heteroatom-interrupted) alkyl, alkenyl, alkynyl, aryl, etc.; M, M1 = H, pharmaceutically acceptable cation, metabolically cleavable group; with provisos], were prepd. Thus, (R)-[(4-chlorophenyl)phenylmethyl]piperazine, 4-(2-bromoethoxy)benzyl alc. (prepn. given), and Et3N were stirred in CH2Cl2 at 50.degree. to give 94.1% 4-[2-[4-[(1R)-(4-chlorophenyl)phenylmethyl]piperazinyl]ethoxy]benzyl alc. This was stirred with PhO2CNHOCO2Ph, Ph3P, and diisopropylazodicarboxylate in THF at 0.degree. to room temp. to give 78.4% N-[[4-[2-[4-[(1R)-(4-chlorophenyl)phenylmethyl]piperazinyl]ethoxy]phenyl]methyl]phenoxycarbonyl

aminophenoxyformate. The latter was stirred with NH3 in MeOH to give 73.2% N-[[4-[2-[4-[(1R)-(4-chlorophenyl)phenylmethyl]piperazinyl]ethoxy]phenyl]methyl]amino-N-hydroxyamide. This bound to human H1 receptors with Ki = 24 nM.

ACCESSION NUMBER: 2000:707152 CAPLUS
DOCUMENT NUMBER: 133:281798
TITLE: Preparation of diphenylmethylpiperazinylhydroxyureas and related compounds for treatment of asthma, allergy and inflammation.
INVENTOR(S): Scannel, Ralph; Chatelain, Pierre; Toy-Palmer, Anna; Differding, Edmond; Ellis, James; Lassoie, Marie-Agnes; Young, Michelle; Cai, Xiong; Hussoin, Sajjat; Grewal, Gurmit; Lewis, Timothy
PATENT ASSIGNEE(S): UCB, S.A., Belg.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000058295	A2	20001005	WO 2000-BE26	20000323	<--
WO 2000058295	A3	20010208			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1165533	A2	20020102	EP 2000-912274	20000323	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
NO 2001004648	A	20011122	NO 2001-4648	20010925	

PRIORITY APPLN. INFO.: US 1999-126521P P 19990326
WO 2000-BE26 W 20000323

OTHER SOURCE(S): MARPAT 133:281798

PI WO 2000058295 A2 **20001005**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 2000058295	A2	20001005	WO 2000-BE26	20000323	<--
WO 2000058295	A3	20010208			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1165533	A2	20020102	EP 2000-912274	20000323	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					

NO 2001004648 A 20011122 NO 2001-4648 20010925

IT Eczema
Food allergy
Pruritus
Psoriasis
Urticaria
(treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of asthma, allergy and inflammation)

IT 106-93-4, 1,2-Dibromoethane 110-52-1, 1,4-Dibromobutane 119-30-2, 5-Iodosalicylic acid 540-38-5, 4-Iodophenol 623-05-2 927-74-2, 3-Butyn-1-ol 27469-60-9 **100643-71-8** 141580-65-6 300543-56-0
RL: RCT (Reactant)
(prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of asthma, allergy and inflammation)

L14 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2002 ACS

AB Disclosed herein are compns. and methods for treating **atopic dermatitis**, angioedema, **urticaria**, allergic rhinitis and other such disorders. The compns. comprise therapeutically effective amts. of antihistamines such as, for example, loratadine, and glucocorticoids such as, for example, betamethasone, for such treatment. A tablets contain betamethasone 0.1-0.5, loratadine 2-10, lactose monohydrate 55-290, sodium croscarmellose 0.8-4, and magnesium stearate 0.4-1 mg.

ACCESSION NUMBER: 2000:627990 CAPLUS
DOCUMENT NUMBER: 133:227792
TITLE: Compositions and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids
INVENTOR(S): Lugo, Sergio Ulloa; Ramos, Jose Villacampa; Arellano, Sergio Morales; Michel, Olivier
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051605	A1	20000908	WO 1999-US4502	19990301 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9930652	A1	20000921	AU 1999-30652	19990301 <--
EP 1049471	A1	20001108	EP 1999-912236	19990301 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
BR 9909368	A	20001121	BR 1999-9368	19990301 <--
JP 2001510485	T2	20010731	JP 1999-517143	19990301

PRIORITY APPLN. INFO.: WO 1999-US4502 A 19990301

OTHER SOURCE(S): MARPAT 133:227792
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Compositions and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids

PI WO 2000051605 A1 **20000908**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000051605	A1	20000908	WO 1999-US4502	19990301 <--
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AU 9930652	A1	20000921	AU 1999-30652	19990301 <--
EP 1049471	A1	20001108	EP 1999-912236	19990301 <--
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BR 9909368	A	20001121	BR 1999-9368	19990301 <--
JP 2001510485	T2	20010731	JP 1999-517143	19990301

AB Disclosed herein are compns. and methods for treating **atopic dermatitis**, angioedema, **urticaria**, allergic rhinitis and other such disorders. The compns. comprise therapeutically effective amts. of antihistamines such as, for example, loratadine, and glucocorticoids such as, for example, betamethasone, for such treatment. A tablets contain betamethasone 0.1-0.5, loratadine 2-10, lactose monohydrate 55-290, sodium croscarmellose 0.8-4, and magnesium stearate 0.4-1 mg.

ST pharmaceutical **atopic dermatitis** angioedema antihistamine glucocorticoid; tablet betamethasone loratadine **atopic dermatitis** angioedema

IT Nose
(allergic rhinitis; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Asthma
(allergic, inhibitors; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Edema
(angioneurotic; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT **Dermatitis**
(**atopic**; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(capsules; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Antihistamines
Drug allergy
Dyes
Flavoring materials

Lubricants
Preservatives
Seborrhea
Solvents
Urticaria
(compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Carbohydrates, biological studies
Glucocorticoids
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Eye, disease
(conjunctivitis; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Skin, disease
(insect bite; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Eye, disease
(iridocyclitis; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Dermatitis
(neurodermatitis; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(solns.; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Insect (Insecta)
(stinging; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(tablets, compressed; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(tablets; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT 50-02-2, Dexamethasone 50-22-6, Corticosterone 50-23-7, Hydrocortisone 50-24-8, Prednisolone 53-03-2, Prednisone 53-06-5, Cortisone 53-33-8, Paramethasone 53-34-9, Fluprednisolone 57-50-1, Sucrose, biological studies 63-42-3, Lactose 64-17-5, Ethanol, biological studies 67-73-2, Fluocinolone acetonide 69-65-8, Mannitol 83-43-2, Methylprednisolone 124-94-7, Triamcinolone 127-31-1, Fludrocortisone 152-97-6, Flucortolone 338-95-4, Isoflupredone 356-12-7, Fluocinonide 378-44-9, Betamethasone 382-67-2, Desoxymetasone 426-13-1 469-83-0, Cafestol 471-53-4, Enoxolone 557-04-0, Magnesium stearate 566-78-9, 21 Acetoxypregnenolone 599-33-7, Prednylidene 638-94-8, Desonide 641-85-0D, Allopregnane, derivs. 1110-40-3 1247-42-3, Meprednisone

1255-35-2 1524-88-5, Flurandrenolide 2119-75-7, Fluperolone acetate 2135-17-3, Flumethasone 2607-06-9, Diflucortolone 2668-66-8, Medrysone 2825-60-7, Formocortal 3093-35-4, Halcinonide 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 4828-27-7, Clocortolone 4906-84-7, Deacylcortivazole 5251-34-3, Cloprednol 7757-93-9, Dicalcium phosphate 7778-18-9, Calcium sulfate 9004-34-6, Cellulose, biological studies 13085-08-0, Mazipredone 14000-45-4, Deacylcortivazole oxetanone 14484-47-0, Deflazacort 15180-00-4, Prednival 21365-49-1, Tralonide 23674-86-4, Difluprednate 25122-41-2, Clobetasol 33564-31-7 41767-29-7, Fluocortin Butyl 50629-82-8, Halometasone 51022-69-6, Amcinonide 51333-22-3, Budesonide 52080-57-6, Chloroprednisone 54063-32-0, Clobetasone 57781-14-3, Halopredone acetate 61951-99-3, Tixocortol 67452-97-5, Alclometasone 73771-04-7, Prednicarbate 74811-65-7, Croscarmellose sodium 79794-75-5, Loratadine **100643-71-8**, Desloratadine
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

L14 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2002 ACS
AB Objective: We assessed the pharmacokinetics and tolerability of 5 mg loratadine syrup (1 mg/mL) in children aged 2 to 5 yr. Methods: Two studies were undertaken. A single-dose, open-label bioavailability study was performed to characterize the pharmacokinetic profiles of loratadine and its metabolite desloratadine. Plasma concns. of loratadine and desloratadine were detd. at 0, 1, 2, 4, 8, 12, 24, 48, and 72 h after a single administration of 5 mg loratadine syrup to 18 healthy children (11 male, 7 female; 12 black, 5 white, 1 other; mean age .+-. SD, 3.8.+-.1.1 yr; mean wt. .+-. SD, 17.4.+-.4.4 kg). In addn., a randomized, double-blind, placebo-controlled, parallel-group study was performed to assess the tolerability of 5 mg loratadine syrup after multiple doses. Loratadine (n = 60) or placebo (n = 61) was given once daily for 15 days to children with a history of allergic rhinitis or chronic idiopathic **urticaria**. In the loratadine group, 27 boys and 33 girls (52 white, 8 black) were enrolled, with a mean age .+-. SD of 3.67.+-.1.13 yr and a mean wt. .+-. SD of 17.2.+-.3.8 kg. In the placebo group, 27 boys and 34 girls (53 white, 7 black, 1 Asian) were enrolled, with a mean age .+-. SD of 3.52.+-.1.12 yr and a mean wt. .+-. SD of 17.3.+-.2.9 kg. Tolerability was assessed based on electrocardiog. results, occurrence of adverse events, changes in vital signs, and results of lab. tests and phys. examns. Results: The peak plasma concns. of loratadine and desloratadine were 7.78 and 5.09 ng/mL, resp., obsd. 1.17 and 2.33 h after administration of loratadine; the areas under the plasma concn.-time curve to the last quantifiable time point for loratadine and desloratadine were 16.7 and 87.2 ng.cntdot.h/mL, resp. Single and multiple doses were well tolerated, with no adverse events occurring with greater frequency after multiple doses of loratadine than after placebo. Electrocardiog. parameters were not altered by loratadine compared with placebo. There were no clin. meaningful changes in other tolerability assessments. Conclusion: Loratadine was well tolerated in this small, selected group of children aged 2 to 5 yr at a dose providing exposure similar to that with the adult dose (ie, 10 mg once daily).
ACCESSION NUMBER: 2000:444853 CAPLUS
DOCUMENT NUMBER: 133:68315
TITLE: The pharmacokinetics, electrocardiographic effects, and tolerability of loratadine syrup in children aged

2 to 5 years

AUTHOR(S): Salmun, Luis M.; Herron, Jerry M.; Banfield, Christopher; Padhi, Desmond; Lorber, Richard; Affrime, Melton B.

CORPORATE SOURCE: Allergy/Respiratory Diseases Clinical Research, Schering-Plough Research Institute, Kenilworth, NJ, USA

SOURCE: Clin. Ther. (**2000**), 22(5), 613-621
CODEN: CLTHDG; ISSN: 0149-2918

PUBLISHER: Excerpta Medica, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Clin. Ther. (**2000**), 22(5), 613-621
CODEN: CLTHDG; ISSN: 0149-2918

AB Objective: We assessed the pharmacokinetics and tolerability of 5 mg loratadine syrup (1 mg/mL) in children aged 2 to 5 yr. Methods: Two studies were undertaken. A single-dose, open-label bioavailability study was performed to characterize the pharmacokinetic profiles of loratadine and its metabolite desloratadine. Plasma concns. of loratadine and desloratadine were detd. at 0, 1, 2, 4, 8, 12, 24, 48, and 72 h after a single administration of 5 mg loratadine syrup to 18 healthy children (11 male, 7 female; 12 black, 5 white, 1 other; mean age .+-. SD, 3.8.+-.1.1 yr; mean wt. .+-. SD, 17.4.+-.4.4 kg). In addn., a randomized, double-blind, placebo-controlled, parallel-group study was performed to assess the tolerability of 5 mg loratadine syrup after multiple doses. Loratadine (n = 60) or placebo (n = 61) was given once daily for 15 days to children with a history of allergic rhinitis or chronic idiopathic **urticaria**. In the loratadine group, 27 boys and 33 girls (52 white, 8 black) were enrolled, with a mean age .+-. SD of 3.67.+-.1.13 yr and a mean wt. .+-. SD of 17.2.+-.3.8 kg. In the placebo group, 27 boys and 34 girls (53 white, 7 black, 1 Asian) were enrolled, with a mean age .+-. SD of 3.52.+-.1.12 yr and a mean wt. .+-. SD of 17.3.+-.2.9 kg. Tolerability was assessed based on electrocardiog. results, occurrence of adverse events, changes in vital signs, and results of lab. tests and phys. examns. Results: The peak plasma concns. of loratadine and desloratadine were 7.78 and 5.09 ng/mL, resp., obsd. 1.17 and 2.33 h after administration of loratadine; the areas under the plasma concn.-time curve to the last quantifiable time point for loratadine and desloratadine were 16.7 and 87.2 ng.cntdot.h/mL, resp. Single and multiple doses were well tolerated, with no adverse events occurring with greater frequency after multiple doses of loratadine than after placebo. Electrocardiog. parameters were not altered by loratadine compared with placebo. There were no clin. meaningful changes in other tolerability assessments. Conclusion: Loratadine was well tolerated in this small, selected group of children aged 2 to 5 yr at a dose providing exposure similar to that with the adult dose (ie, 10 mg once daily).

IT **100643-71-8**, Desloratadine
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(pharmacokinetics, electrocardiog. effects, and tolerability of loratadine syrup in children aged 2 to 5 yr)

L14 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2002 ACS

AB A review with 88 refs. Sepracor is developing desloratadine, a histamine H1 antagonist, as an improved version of Schering-Plough's Claritin (loratadine), for the potential treatment of allergy. It is in phase III trials for chronic **urticaria**. In Oct. 1999, Schering-Plough

submitted an NDA to the US FDA seeking clearance to market DCL for the treatment of seasonal allergic rhinitis. Schering-Plough also submitted a centralized marketing authorization application for desloratadine to the EU's EMEA. Extensive details of the pharmacol. activity and the therapeutic efficacy of desloratadine were presented, in 15 presentations, at the Mar. 2000 meeting of the American Academy of Allergy, Asthma and Immunol. Studies in over 2000 rhinitic patients have shown that once daily treatment with 5 or 7.5 mg desloratadine alleviates rhinitis symptoms, improves the quality of life of rhinitis patients and also reduces nasal congestion. Desloratadine does not induce sedation in man, even when combined with alc., and does not prolong the QTc interval. Co-administration of either ketonconazole or erythromycin only increased plasma concns. of desloratadine by a small degree. In Dec. 1997, Schering-Plough and Sepracor entered into a licensing agreement giving Schering-Plough exclusive worldwide rights to Sepracor's patents relating to desloratadine. Merrill Lynch predicted an NDA filing before the end of 1999 and expects desloratadine to be launched during the second half of 2000.

ACCESSION NUMBER: 2000:353357 CAPLUS
DOCUMENT NUMBER: 132:342665
TITLE: Desloratadine (Sepracor)
AUTHOR(S): Norman, Peter
CORPORATE SOURCE: Norman Consulting, Bucks, SL1 8JW, UK
SOURCE: Curr. Opin. Anti-Inflammatory Immunomodulatory Invest. Drugs (**2000**), 2(2), 117-126
CODEN: COAIFF; ISSN: 1464-8474
PUBLISHER: PharmaPress Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

SO Curr. Opin. Anti-Inflammatory Immunomodulatory Invest. Drugs (**2000**), 2(2), 117-126
CODEN: COAIFF; ISSN: 1464-8474

AB A review with 88 refs. Sepracor is developing desloratadine, a histamine H1 antagonist, as an improved version of Schering-Plough's Claritin (loratadine), for the potential treatment of allergy. It is in phase III trials for chronic **urticaria**. In Oct. 1999, Schering-Plough submitted an NDA to the US FDA seeking clearance to market DCL for the treatment of seasonal allergic rhinitis. Schering-Plough also submitted a centralized marketing authorization application for desloratadine to the EU's EMEA. Extensive details of the pharmacol. activity and the therapeutic efficacy of desloratadine were presented, in 15 presentations, at the Mar. 2000 meeting of the American Academy of Allergy, Asthma and Immunol. Studies in over 2000 rhinitic patients have shown that once daily treatment with 5 or 7.5 mg desloratadine alleviates rhinitis symptoms, improves the quality of life of rhinitis patients and also reduces nasal congestion. Desloratadine does not induce sedation in man, even when combined with alc., and does not prolong the QTc interval. Co-administration of either ketonconazole or erythromycin only increased plasma concns. of desloratadine by a small degree. In Dec. 1997, Schering-Plough and Sepracor entered into a licensing agreement giving Schering-Plough exclusive worldwide rights to Sepracor's patents relating to desloratadine. Merrill Lynch predicted an NDA filing before the end of 1999 and expects desloratadine to be launched during the second half of 2000.

ST review desloratadine antiallergy histamine H1 antagonist; **urticaria** rhinitis desloratadine antiallergy review

IT **100643-71-8**, Desloratadine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(desloratadine (Sepracor))

L14 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2002 ACS

AB Methods are disclosed utilizing DCL, a metabolic deriv. of loratadine, for the treatment of allergic rhinitis, and other disorders such as diabetic retinopathy, while avoiding the concomitant liability of adverse side-effects assocd. with other non-sedating antihistamines.

ACCESSION NUMBER: 1996:544058 CAPLUS
DOCUMENT NUMBER: 125:177434
TITLE: Methods and compositions for treating allergic rhinitis and other disorders using descarboethoxyloratadine
INVENTOR(S): Aberg, A. K. Gunnar; Mccullough, John R.; Smith, Emil R.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620708	A1	19960711	WO 1995-US15995	19951211 <--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5595997	A	19970121	US 1994-366651	19941230 <--
CA 2208836	AA	19960711	CA 1995-2208836	19951211 <--
AU 9645126	A1	19960724	AU 1996-45126	19951211 <--
AU 707541	B2	19990715		
EP 799037	A1	19971008	EP 1995-943722	19951211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
BR 9510129	A	19971230	BR 1995-10129	19951211 <--
CN 1176598	A	19980318	CN 1995-197713	19951211 <--
HU 77315	A2	19980330	HU 1997-1905	19951211 <--
JP 10512240	T2	19981124	JP 1995-521002	19951211 <--
EP 1078633	A2	20010228	EP 2000-113351	19951211
EP 1078633	A3	20010307		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5731319	A	19980324	US 1997-783393	19970113 <--
NO 9703023	A	19970819	NO 1997-3023	19970627 <--
FI 9702781	A	19970827	FI 1997-2781	19970627 <--

PRIORITY APPLN. INFO.:
US 1994-366651 A 19941230
EP 1995-943722 A3 19951211
WO 1995-US15995 W 19951211

PI WO 9620708 A1 **19960711**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9620708	A1	19960711	WO 1995-US15995	19951211 <--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ,				

PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5595997	A	19970121	US 1994-366651	19941230 <--
CA 2208836	AA	19960711	CA 1995-2208836	19951211 <--
AU 9645126	A1	19960724	AU 1996-45126	19951211 <--
AU 707541	B2	19990715		
EP 799037	A1	19971008	EP 1995-943722	19951211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
BR 9510129	A	19971230	BR 1995-10129	19951211 <--
CN 1176598	A	19980318	CN 1995-197713	19951211 <--
HU 77315	A2	19980330	HU 1997-1905	19951211 <--
JP 10512240	T2	19981124	JP 1995-521002	19951211 <--
EP 1078633	A2	20010228	EP 2000-113351	19951211
EP 1078633	A3	20010307		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5731319	A	19980324	US 1997-783393	19970113 <--
NO 9703023	A	19970819	NO 1997-3023	19970627 <--
FI 9702781	A	19970827	FI 1997-2781	19970627 <--

IT **Urticaria**
(treatment of; methods and compns. for treating allergic rhinitis and other disorders using descarboethoxyloratadine)

IT **100643-71-8P**, Descarboethoxyloratadine
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods and compns. for treating allergic rhinitis and other disorders using descarboethoxyloratadine)

L14 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2002 ACS
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and their pharmaceutically and veterinarily acceptable acid addn. salts or hydrates are claimed [wherein A = N, CH, CR1; R1 = H, alkyl, alkenyl, halo, cyano, CO2H, CHO, CF3, NO2, NH2, etc.; when A = N, ring may also bear 4-Me and/or 6-Me; R = H, alkyl, alkenyl, halo, alkoxy; R2 = H, alkyl, alkenyl, alkoxy, alkylthio, cyclopropyl, hydroxyalkyl, dialkylamino, dialkylaminoalkyl, CF3; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, phenylalkyl, etc.; R4 = H, alkyl, alkenyl, alkynyl, alkanoyl, alkoxycarbonyl, (un)substituted phenylalkyl, etc.; R5 = H, halo, alkyl, alkenyl, alkynyl, etc.; B = bond, (un)substituted hydrocarbon chain optionally contg. heteroatoms; D = (un)substituted 4-benzhydrylpiperazino, 4-(hydroxydiphenylmethyl)piperidino, 4-(diphenylmethylene)piperidino, etc.; with provisos]. The compds. are dual H1/PAF antagonists. Examples include 28 syntheses and 4 bioassays. For instance, N-methyl-N-[[4-[(2-methyl-1H-imidazo[4,5-c]pyrid-1-yl)methyl]phenyl]sulfonyl]-L-leucine was treated with EDC, N-methylmorpholine, and pentafluorophenol in CH2Cl2 to give the pentafluorophenyl ester, which reacted with 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidine in CH2Cl2 to give 42% title compd. II. In an assay for inhibition of [3H]-pyrilamine binding to histamine-1 receptors on Hela-S3 cells, II showed 79% specific binding at 1 .mu.M.

ACCESSION NUMBER: 1996:410405 CAPLUS
DOCUMENT NUMBER: 125:86638
TITLE: Imidazopyridine derivatives as dual histamine (H1) and platelet activating factor (PAF) antagonists.
INVENTOR(S): Miller, Andrew; Bowles, Stephen Arthur; Ayscough, Andrew Paul; Whittaker, Mark
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9605201	A1	19960222	WO 1995-GB1878	19950809	<--
W: AU, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9531863	A1	19960307	AU 1995-31863	19950809	<--
EP 775139	A1	19970528	EP 1995-927872	19950809	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
US 5753671	A	19980519	US 1997-776783	19970210	<--

PRIORITY APPLN. INFO.:
GB 1994-16143 19940810
GB 1995-5808 19950322
WO 1995-GB1878 19950809

OTHER SOURCE(S): MARPAT 125:86638

PI WO 9605201 A1 **19960222**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9605201	A1	19960222	WO 1995-GB1878	19950809	<--
W: AU, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9531863	A1	19960307	AU 1995-31863	19950809	<--
EP 775139	A1	19970528	EP 1995-927872	19950809	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
US 5753671	A	19980519	US 1997-776783	19970210	<--

IT Anaphylaxis
Dermatitis
Edema
Erythema
Hay fever
Pruritus
Psoriasis
Urticaria
(treatment; prepn. of imidazopyridine derivs. as dual antihistamines and PAF antagonists)

IT 96-32-2, Methyl bromoacetate 106-95-6, Allyl bromide, reactions 124-63-0, Methanesulfonyl chloride 303-26-4, 1-(4-Chlorobenzhydryl)piperazine 540-51-2, 2-Bromoethanol 590-17-0, Bromoacetonitrile 627-18-9 841-77-0, 1-Benzhydrylpiperazine 927-68-4, 2-Bromoethyl acetate 5292-43-3, tert-Butyl bromoacetate 5891-21-4, 5-Chloro-2-pentanone 20619-12-9 74124-79-1, N,N'-Disuccinimidyl carbonate 87848-99-5, Acrivastine **100643-71-8** 139133-25-8 139133-28-1 141834-28-8 151915-51-4 164726-80-1 178417-06-6 178417-18-0
RL: RCT (Reactant)
(starting material; prepn. of imidazopyridine derivs. as dual

antihistamines and PAF antagonists)

L14 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2002 ACS
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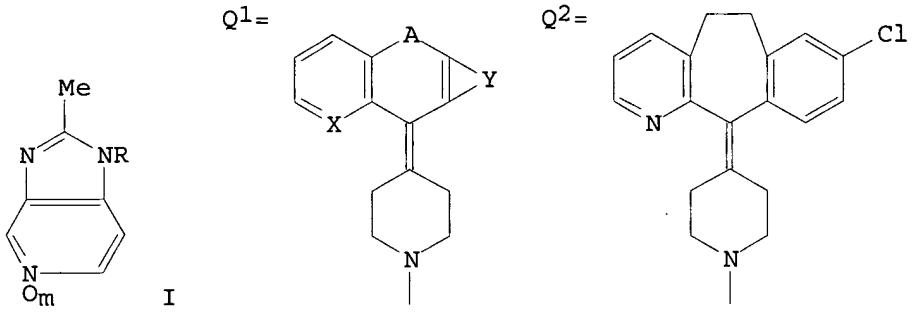

I

AB Title compds. [I; R = (CH2)nZBCOR1; B = bond, CH2, CHMe, CMe2; R1 = cycloalkylidenepiperidino group Q1; A = CH2CH2, CH:CH, CH(OH)CH2, COCH2; X = CH, N; Y = halo- or alkyl-substituted CH:CHCH:CH, SCR2:CH; R2 = H, halo, alkyl; Z = phenylenediyl, thienylenediyl; ZB = indanylenediyl; m = 0, 1; n = 0-2], histamine H, and PAF antagonists (no data), were prepd. Thus, I [R = C6H4(CN)-4, m = 0] was hydrolyzed to I [R = C6H4(COR)-4, m = 0] (II; R = OH) which was condensed with benzocycloheptapyridylidenepiperidine Q2H to give II (R = Q2).

ACCESSION NUMBER: 1993:22232 CAPLUS
DOCUMENT NUMBER: 118:22232
TITLE: Preparation of 4-benzocycloheptapynidylidene-1-(imidazopyridylbenzoyl)piperidines and analogs as antiallergics
INVENTOR(S): Alker, David; Bass, Robert John; Cooper, Kelvin
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9214734	A1	19920903	WO 1992-EP163	19920124	<--
W: AU, BR, CA, FI, HU, JP, KR, NO, PL, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE					
CA 2099381	AA	19920814	CA 1992-2099381	19920124	<--
CA 2099381	C	19960709			
AU 9211683	A1	19920915	AU 1992-11683	19920124	<--
AU 650322	B2	19940616			
EP 572425	A1	19931208	EP 1992-902889	19920124	<--
EP 572425	B1	19940803			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
BR 9205615	A	19940517	BR 1992-5615	19920124	<--
JP 06504992	T2	19940609	JP 1992-503504	19920124	<--
JP 2506541	B2	19960612			
HU 65947	A2	19940829	HU 1993-2327	19920124	<--

ES 2059212	T3	19941101	ES 1992-902889	19920124	<--
PL 169304	B1	19960628	PL 1992-300296	19920124	<--
RU 2114845	C1	19980710	RU 1993-54165	19920124	<--
IL 100887	A1	19960119	IL 1992-100887	19920206	<--
ZA 9201005	A	19930812	ZA 1992-1005	19920212	<--
CZ 280504	B6	19960214	CZ 1992-425	19920212	<--
CN 1064275	A	19920909	CN 1992-100974	19920213	<--
CN 1040326	B	19981021			
US 5358953	A	19941025	US 1993-87736	19930712	<--
KR 9705302	B1	19970415	KR 1993-72352	19930807	<--
NO 9302889	A	19930813	NO 1993-2889	19930813	<--
FI 9703558	A	19970829	FI 1997-3558	19970829	<--

PRIORITY APPLN. INFO.: GB 1991-2997 A 19910213
WO 1992-EP163 A 19920124
FI 1993-3531 A 19930810

OTHER SOURCE(S): MARPAT 118:22232

PI WO 9214734 A1 **19920903**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9214734	A1	19920903	WO 1992-EP163	19920124	<--

W: AU, BR, CA, FI, HU, JP, KR, NO, PL, RU, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE

CA 2099381	AA	19920814	CA 1992-2099381	19920124	<--
CA 2099381	C	19960709			
AU 9211683	A1	19920915	AU 1992-11683	19920124	<--
AU 650322	B2	19940616			
EP 572425	A1	19931208	EP 1992-902889	19920124	<--
EP 572425	B1	19940803			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

BR 9205615	A	19940517	BR 1992-5615	19920124	<--
JP 06504992	T2	19940609	JP 1992-503504	19920124	<--
JP 2506541	B2	19960612			
HU 65947	A2	19940829	HU 1993-2327	19920124	<--
ES 2059212	T3	19941101	ES 1992-902889	19920124	<--
PL 169304	B1	19960628	PL 1992-300296	19920124	<--
RU 2114845	C1	19980710	RU 1993-54165	19920124	<--
IL 100887	A1	19960119	IL 1992-100887	19920206	<--
ZA 9201005	A	19930812	ZA 1992-1005	19920212	<--
CZ 280504	B6	19960214	CZ 1992-425	19920212	<--
CN 1064275	A	19920909	CN 1992-100974	19920213	<--
CN 1040326	B	19981021			
US 5358953	A	19941025	US 1993-87736	19930712	<--
KR 9705302	B1	19970415	KR 1993-72352	19930807	<--
NO 9302889	A	19930813	NO 1993-2889	19930813	<--
FI 9703558	A	19970829	FI 1997-3558	19970829	<--

IT **Urticaria**
(treatment of, benzocycloheptapyridylidene (imidazopyridylbenzoyl)piperidines and analogs for)

IT **Dermatitis**
(**atopic**, treatment of, benzocycloheptapyridylidene (imidazopyridylbenzoyl)piperidines and analogs for)

IT 87-25-2, Ethyl-2-aminobenzoate 582-33-2, Ethyl-3-aminobenzoate 5438-70-0, Ethyl-4-aminophenylacetate 13091-23-1, 4-Chloro-3-nitropyridine 16689-02-4, 2-Cyano-5-nitrothiophene 26453-01-0 34580-20-6 38092-95-4 50603-12-8 **100643-71-8** 117796-49-3 117811-11-7 117811-20-8 119410-04-7 125477-75-0 127484-88-2 145079-06-7
RL: RCT (Reactant)

(reaction of, in prepn. of histamine H and PAF antagonists)

L14 ANSWER 8 OF 24 USPATFULL

AB The present invention is directed towards a pharmaceutical composition useful for the treatment of allergic rhinitis, asthma and related disorders. In one embodiment, the composition comprises, in combination, a therapeutically effective amount of at least one neurokinin antagonist, a therapeutically effective amount of at least one H.sub.3 antagonist and a therapeutically effective amount of at least one H.sub.1 antagonist.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2000:105918 USPATFULL
TITLE: Composition and method for treating allergic diseases
INVENTOR(S): Aslanian, Robert G., Rockaway, NJ, United States
Piwinski, John J., Clinton Township, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6103735		20000815	<--
APPLICATION INFO.:	US 1999-412621		19991006	(9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Henley, III, Raymond
ASSISTANT EXAMINER: Kim, Jennifer
LEGAL REPRESENTATIVE: Kalyanaraman, Palaiyur S.
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 624

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6103735 20000815 <--

SUMM . . . pulmonary disorders such as asthma, cough, bronchospasm, chronic obstructive pulmonary diseases, and airway hyperactivity; skin disorders and itch, for example, **atopic dermatitis**, and cutaneous wheal and flare; neurogenic inflammatory diseases such as, arthritis, migraine, nociception; CNS diseases such as anxiety, emesis, Parkinson's. . .

IT 59-33-6, Pyrilamine 60-87-7, Promethazine 68-88-2, Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6, Brompheniramine 91-81-6, Tripelennamine 113-92-8, Chlorpheniramine 129-03-3, Cyproheptadine 486-12-4, Triprolidine 486-16-8, Carbinoxamine 562-10-7, Doxylamine 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 34973-91-6, Impentamine 39577-19-0, Picumast 46129-28-6, SKF-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole 75970-99-9, Norastemizole 79313-75-0, Sopromidine 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, Epinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 90729-42-3, Carebastine 90729-43-4, Ebastine 99616-14-5, S-Sopromidine **100643-71-8**, Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, Mizolastine 110588-56-2, Noberastine 145231-45-4, Clobenpropit 150756-35-7, Efletirizine 152030-16-5, UCL 1199 152241-24-2, GT-2016 176860-26-7, GR-175737 213027-19-1, GT-2331 224585-45-9 263892-22-4

263892-24-6 263892-25-7 263892-26-8
(antagonists of neurokinin receptors and histamine receptors for treating allergic diseases)

L14 ANSWER 9 OF 24 USPATFULL

AB The invention relates to methods of utilizing descarboethoxyloratadine ("DCL") for the treatment of dermatitis. The invention also encompasses the topical administration of descarboethoxyloratadine using various dosage forms for the treatment of dermatitis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	2000:50713 USPATFULL			
TITLE:	Methods for treating dermatitis using descarboethoxyloratadine			
INVENTOR(S):	Handley, Dean A., Westborough, MA, United States Rubin, Paul D., Sudbury, MA, United States			
PATENT ASSIGNEE(S):	Sepracor Inc., Marlborough, MA, United States (U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6054463		20000425	<--
APPLICATION INFO.:	US 1999-271269		19990317 (9)	
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1998-110367, filed on 6 Jul 1998, now patented, Pat. No. US 5962464 which is a continuation of Ser. No. US 1997-799605, filed on 11 Feb 1997, now patented, Pat. No. US 5900421			
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Jordan, Kimberly			
LEGAL REPRESENTATIVE:	Pennie & Edmonds LLP			
NUMBER OF CLAIMS:	11			
EXEMPLARY CLAIM:	1			
LINE COUNT:	879			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6054463 20000425 <--

SUMM . . . 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial rhinitis, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic asthma. Temple et al. Prostaglandins. . .

SUMM . . . means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic disorders such as **urticaria**, allergic rhinitis, symptomatic dermographism, dermatitis, allergic asthma, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms. . .

SUMM . . . that disorder caused by inflammation to the skin including endogenous and contact dermatitis such as, but not limited to: actinic **dermatitis** (or photodermatitis), **atopic dermatitis**, chemical **dermatitis**, cosmetic dermatitis, dermatitis aestivalis, and seborrheic dermatitis.

CLM What is claimed is:
5. The method of claim 1 wherein the **dermatitis** is **atopic dermatitis**.

IT **100643-71-8**, Descarboethoxyloratadine
(treatment of allergic asthma and other disorders with descarboethoxyloratadine)

L14 ANSWER 10 OF 24 USPATFULL
AB Methods utilizing descarboethoxyloratadine ("DCL"), for the treatment of allergic disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. Also included are methods for the treatment of allergic asthma using DCL and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. The invention also encompasses the administration of DCL in a nasal or oral spray.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	1999:121366 USPATFULL		
TITLE:	Methods and compositions for treating allergic asthma using descarboethoxyloratadine		
INVENTOR(S):	Handley, Dean A., Westborough, MA, United States Rubin, Paul D., Sudbury, MA, United States		
PATENT ASSIGNEE(S):	Sepracor Inc., Marlborough, MA, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5962464		19991005 <--
APPLICATION INFO.:	US 1998-110367		19980706 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1997-799605, filed on 11 Feb 1997		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Jordan, Kimberly		
LEGAL REPRESENTATIVE:	Pennie & Edmonds LLP		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
LINE COUNT:	887		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5962464 19991005 <--
SUMM . . . 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial rhinitis, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic asthma. Temple et al. Prostaglandins. . .
SUMM . . . means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic disorders such as **urticaria**, allergic rhinitis, symptomatic dermographism, dermatitis, allergic asthma, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms. . .
SUMM . . . that disorder caused by inflammation to the skin including endogenous and contact dermatitis such as, but not limited to: actinic **dermatitis** (or photodermatitis), **atopic dermatitis**, chemical **dermatitis**, cosmetic dermatitis, dermatitis aestivalis, and seborrheic dermatitis.
IT **100643-71-8**, Descarboethoxyloratadine
(treatment of allergic asthma and other disorders with descarboethoxyloratadine)

L14 ANSWER 11 OF 24 USPATFULL
AB Methods for treating urinary incontinence comprising administering a therapeutically effective amount of descarboethoxyloratadine, or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 1999:96377 USPATFULL
TITLE: Methods for treating urinary incontinence using descarboethoxyloratadine
INVENTOR(S): McCullough, John R., Worcester, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5939426		19990817	<--
APPLICATION INFO.:	US 1997-808116		19970228	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Moezie, Minna
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1145
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5939426 19990817 <--
DETD . . . status such as tachycardia and cardiac arrhythmia, increased ocular pressure, nausea, constipation, decreased sweating, impotence, and/or dermal manifestations such as **urticaria**.
IT **100643-71-8P**, Descarboethoxyloratadine
(descarboethoxyloratadine for treatment of urinary incontinence, motion sickness, and vertigo)

L14 ANSWER 12 OF 24 USPATFULL
AB Methods utilizing descarboethoxyloratadine ("DCL"), for the treatment of allergic disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. Also included are methods for the treatment of allergic asthma using DCL and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. The invention also encompasses the administration of DCL in a nasal or oral spray.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 1999:53632 USPATFULL
TITLE: Methods and compositions for treating allergic asthma and dermatitis using descarboethoxyloratadine
INVENTOR(S): Handley, Dean A., Westborough, MA, United States
Rubin, Paul D., Sudbury, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5900421		19990504	<--
APPLICATION INFO.:	US 1997-799605		19970211	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Jordan, Kimberly
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 18

09/760,588

EXEMPLARY CLAIM: 1
LINE COUNT: 846
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5900421 19990504 <--
SUMM . . . 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial rhinitis, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic asthma. Temple et al. Prostaglandins. . .
SUMM . . . means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic disorders such as **urticaria**, allergic rhinitis, symptomatic dermographism, dermatitis, allergic asthma, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms. . .
SUMM . . . that disorder caused by inflammation to the skin including endogenous and contact dermatitis such as, but not limited to: actinic **dermatitis** (or photodermatitis), **atopic dermatitis**, chemical **dermatitis**, cosmetic dermatitis, dermatitis aestivalis, and seborrheic dermatitis.
IT **100643-71-8**, Descarboethoxyloratadine
(treatment of allergic asthma and other disorders with descarboethoxyloratadine)

L14 ANSWER 13 OF 24 USPATFULL
AB Described herein are compounds of formula (II) ##STR1## pharmaceutical or veterinary compositions thereof, and methods of treating diseases or conditions mediated by histamine and/or PAF in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	1998:54914 USPATFULL			
TITLE:	Imidazopyridine derivatives as dual histamine (H.sub.1) and platelet activating factor (PAF) antagonists			
INVENTOR(S):	Miller, Andrew, Oxford, United Kingdom Bowles, Stephen Arthur, Oxford, United Kingdom Ayscough, Andrew Paul, Oxford, United Kingdom Whittaker, Mark, Oxford, United Kingdom			
PATENT ASSIGNEE(S):	British Biotech Pharmaceuticals Limited, England (non-U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5753671		19980519	<--
	WO 9605201		19960222	<--
APPLICATION INFO.:	US 1997-776783		19970210	(8)
	WO 1995-GB1878		19950809	
			19970210	PCT 371 date
			19970210	PCT 102(e) date
	NUMBER		DATE	
PRIORITY INFORMATION:	GB 1994-16143		19940810	
	GB 1995-5808		19950322	
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Richter, Johann			
ASSISTANT EXAMINER:	Stockton, Laura L.			
LEGAL REPRESENTATIVE:	Banner & Witcoff, Ltd.			
NUMBER OF CLAIMS:	19			

EXEMPLARY CLAIM: 1
LINE COUNT: 2488
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5753671 19980519 <--
WO 9605201 19960222 <--
SUMM . . . the improved treatment of conditions mediated by histamine and PAF release. Such conditions include allergic rhinitis, sinusitis, asthma, dermatitis, psoriasis, **urticaria**, anaphylactic shock, conjunctivitis, pruritis, inflammatory bowel disease and colitis.
SUMM . . . contributions from both agents, include hypotension, thrombocytopenia, bronchoconstriction, circulatory shock, increased vascular permeability (oedema/erythema), allergic rhinitis, sinusitis, asthma, dermatitis, psoriasis, **urticaria**, anaphylactic shock, conjunctivitis, pruritis, inflammatory bowel disease and colitis.
CLM What is claimed is:
. . . wherein the disease or condition is hypotension, thrombocytopenia, bronchoconstriction, circulatory shock, increased vascular permeability, allergic rhinitis, sinusitis, asthma, dermatitis, psoriasis, **urticaria**, anaphylactic shock, conjunctivitis, pruritis, inflammatory bowel disease and colitis.

IT 96-32-2, Methyl bromoacetate 106-95-6, Allyl bromide, reactions 124-63-0, Methanesulfonyl chloride 303-26-4, 1-(4-Chlorobenzhydryl)piperazine 540-51-2, 2-Bromoethanol 590-17-0, Bromoacetonitrile 627-18-9 841-77-0, 1-Benzhydrylpiperazine 927-68-4, 2-Bromoethyl acetate 5292-43-3, tert-Butyl bromoacetate 5891-21-4, 5-Chloro-2-pentanone 20619-12-9 74124-79-1, N,N'-Disuccinimidyl carbonate 87848-99-5, Acrivastine **100643-71-8** 139133-25-8 139133-28-1 141834-28-8 151915-51-4 164726-80-1 178417-06-6 178417-18-0
(starting material; prepn. of imidazopyridine derivs. as dual antihistamines and PAF antagonists)

L14 ANSWER 14 OF 24 USPATFULL
AB Methods are disclosed utilizing DCL, a metabolic derivative of loratadine, for the treatment of allergic rhinitis, and other disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 1998:31026 USPATFULL
TITLE: Methods for treating disorders using descarboethoxyloratadine
INVENTOR(S): Aberg, A. K. Gunnar, Westborough, MA, United States
McCullough, John R., Worcester, MA, United States
Smith, Emil R., Shrewsbury, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)
University of Massachusetts, Boston, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5731319		19980324	<--
APPLICATION INFO.:	US 1997-783393		19970113 (8)	

RELATED APPLN. INFO.: Division of Ser. No. US 1994-366651, filed on 30 Dec 1994, now patented, Pat. No. US 5595997, issued on 21 Jan 1997

09/760,588

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Criares, Theodore J.
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 972
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5731319 19980324 <--
SUMM . . . 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial rhinitis, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic asthma. Temple et al. Prostaglandins. . .
SUMM . . . DCL is useful in treating other allergic disorders related to its activity as an antihistamine, including but not limited to, **urticaria** and symptomatic dermographism, in a human, while avoiding the adverse side-effects associated with the administration of other non-sedating antihistamines and/or. . . other non-sedating antihistamines. Thus, this invention also relates to novel methods of treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism in a human having a higher than normal propensity for or incidence of cancer. The present invention. . . and erythromycin, and others known by those skilled in the art, while treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism wherein said human is administered DCL.
SUMM A further aspect of this invention includes a method of treating **urticaria** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .
SUMM . . . DCL which provides a therapeutic benefit in the treatment or management of allergic rhinitis and other allergic disorders such as **urticaria**, symptomatic dermographism, allergic asthma, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms associated with allergic. . .
IT **100643-71-8P**, Descarboethoxyloratadine
(methods and compns. for treating allergic rhinitis and other disorders using descarboethoxyloratadine)

L14 ANSWER 15 OF 24 USPATFULL
AB Derivatives of benzo[5,6]cyclohepta pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 97:81275 USPATFULL
TITLE: Benzo[5,6]cycloheptapyridines, compositions and methods of use
INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Ganguly, Ashit K., Upper Montclair, NJ, United States
Green, Michael J., Skillman, NJ, United States
Wong, Jesse, Union, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION:	US 5665726	19970909	<--
APPLICATION INFO.:	US 1995-433300	19950503 (8)	
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1992-950986, filed on 23 Sep 1992, now patented, Pat. No. US 5438062 which is a continuation of Ser. No. US 1992-816777, filed on 2 Jan 1992, now abandoned which is a division of Ser. No. US 1989-345605, filed on 1 May 1989, now patented, Pat. No. US 5089496 which is a continuation-in-part of Ser. No. US 1988-181860, filed on 15 Apr 1988, now abandoned which is a continuation-in-part of Ser. No. US 1986-925342, filed on 31 Oct 1986, now patented, Pat. No. US 4826853		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rotman, Alan L.		
LEGAL REPRESENTATIVE:	Jeanette, Henry C.		
NUMBER OF CLAIMS:	4		
EXEMPLARY CLAIM:	1		
LINE COUNT:	2553		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5665726 19970909 <--

SUMM . . . PAF is a factor in the disease or disorder. This includes allergic diseases such as asthma, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .

IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P
38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P
100643-71-8P 107256-21-3P 107256-31-5P 107285-30-3P
111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)

L14 ANSWER 16 OF 24 USPATFULL

AB Methods are disclosed utilizing DCL, a metabolic derivative of loratadine, for the treatment of allergic rhinitis, and other disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	97:5976 USPATFULL
TITLE:	Methods and compositions for treating allergic rhinitis and other disorders using descarboethoxyloratadine
INVENTOR(S):	Aberg, A. K. Gunnar, Westborough, MA, United States McCullough, John R., Worcester, MA, United States Smith, Emil R., Shrewsbury, MA, United States
PATENT ASSIGNEE(S):	Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION:	US 5595997	19970121	<--
APPLICATION INFO.:	US 1994-366651	19941230 (8)	
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Criares, Theodore J.		
LEGAL REPRESENTATIVE:	Pennie & Edmonds		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
LINE COUNT:	950		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5595997 19970121 <--

SUMM . . . 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial rhinitis, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic asthma. Temple et al. Prostaglandins. . .

SUMM . . . DCL is useful in treating other allergic disorders related to its activity as an antihistamine, including but not limited to, **urticaria** and symptomatic dermographism, in a human, while avoiding the adverse side-effects associated with the administration of other non-sedating antihistamines and/or. . . other non-sedating antihistamines. Thus, this invention also relates to novel methods of treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism in a human having a higher than normal propensity for or incidence of cancer. The present invention. . . and erythromycin, and others known by those skilled in the art, while treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism wherein said human is administered DCL.

SUMM A further aspect of this invention includes a method of treating **urticaria** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM . . . DCL which provides a therapeutic benefit in the treatment or management of allergic rhinitis and other allergic disorders such as **urticaria**, symptomatic dermographism, allergic asthma, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms associated with allergic. . .

IT **100643-71-8P**, Descarboethoxyloratadine
(methods and compns. for treating allergic rhinitis and other disorders using descarboethoxyloratadine)

L14 ANSWER 17 OF 24 USPATFULL

AB The present invention relates to 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine, to a process for its preparation and to pharmaceutical compositions containing it. This compound is a dual PAF antagonist and antihistamine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	95:112541 USPATFULL
TITLE:	Treatment of PAF and histamine-mediated diseases with 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine
INVENTOR(S):	Carceller, Elena, Barcelona, Spain Recasens, Nuria, Barcelona, Spain

Almansa, Carmen, Barcelona, Spain
Bartroli, Javier, Barcelona, Spain
Merlos, Manel, Barcelona, Spain
Giral, Marta, Barcelona, Spain
Garcia-Rafanell, Julian, Barcelona, Spain
Forn, Javier, Barcelona, Spain
PATENT ASSIGNEE(S): J. Uriach & Cia. S.A., Barcelona, Spain (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5476856		19951219	<--
APPLICATION INFO.:	US 1995-391702		19950221 (8)	

RELATED APPLN. INFO.: Continuation of Ser. No. US 1993-61720, filed on 17 May 1993, now patented, Pat. No. US 5407941

	NUMBER	DATE
PRIORITY INFORMATION:	ES 1992-1054	19920522

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Wu, Shean
LEGAL REPRESENTATIVE: Rothwell, Figg, Ernst & Kurz
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 702
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5476856 19951219 <--
SUMM . . . diseases where PAF is involved (e.g. gastric ulcer, inflammatory bowel disease); diseases related to allergy and inflammation (e.g. asthma, dermatitis, **urticaria**, arthritis, psoriasis); pneumonia; rejection due to increased PAF production after implantations of organs; and postoperative organodysfunction (e.g. in heart, liver. . . 4 is useful as preventive and therapeutic drug for the treatment of diseases such as allergy (e.g. rhinitis, conjunctivitis, pruritus, **urticaria**, dermatitis), asthma and anaphylactic shock. Being a dual PAF and histamine antagonist, compound 4 is particularly useful for the treatment. . .
IT **100643-71-8P**, 8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine 120276-47-3P, 5-Methyl-3-pyridylmethyl bromide 156522-96-2P 156523-04-5P
(intermediate; prepn. of [(pyridylmethyl)piperidylidene]benzocyclohepta pyridine derivs. as antihistaminics and PAF antagonists)

L14 ANSWER 18 OF 24 USPATFULL
AB Derivatives of benzo[5,6]cyclohepta pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 95:69288 USPATFULL
TITLE: Benzo(5,6)cycloheptapyridines, compositions and methods of use
INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Ganguly, Ashit K., Upper Montclair, NJ, United States
Green, Michael J., Skillman, NJ, United States
Villani, Frank J., Fairfield, NJ, United States

	Wong, Jesse, Union, NJ, United States
PATENT ASSIGNEE(S):	Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5438062		19950801	<--
APPLICATION INFO.:	US 1992-950986		19920923	(7)

DISCLAIMER DATE:	20090218
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1992-816777, filed on 2 Jan 1992, now abandoned which is a division of Ser. No. US 1989-345604, filed on 1 May 1989, now patented, Pat. No. US 5089496 which is a continuation-in-part of Ser. No. US 1988-181860, filed on 15 Apr 1988, now abandoned which is a continuation-in-part of Ser. No. US 1986-925342, filed on 31 Oct 1986, now patented, Pat. No. US 4826853

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1987-115890	19871029

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Rotman, Alan L.
LEGAL REPRESENTATIVE:	Jeanette, Henry C., Nelson, James R.
NUMBER OF CLAIMS:	8
EXEMPLARY CLAIM:	1
LINE COUNT:	2162

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5438062 19950801 <--

SUMM . . . PAF is a factor in the disease or disorder. This includes allergic diseases such as asthma, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .

IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P
38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P
100643-71-8P 107256-21-3P 107256-31-5P 107285-30-3P
111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)

L14 ANSWER 19 OF 24 USPATFULL

AB Bis-benzo or benzopyrido piperidene, piperidylidene and piperazine compounds of the formula: ##STR1## and pharmaceutically acceptable salts thereof are disclosed, wherein Z represents --(C(R.sup.a).sub.2).sub.m --Y--(C(R.sup.a).sub.2).sub.n -- or ##STR2## The compounds of Formula I possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 95:50175 USPATFULL
TITLE: Bis-benzo or benzopyrido cyclohepta piperidene, piperidylidene and piperazine compounds, compositions and methods of use
INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Green, Michael J., Skillman, NJ, United States
Wong, Jesse, Union, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 5422351		19950606		<--
	WO 9200293		19920109		<--
APPLICATION INFO.:	US 1992-949810		19921214	(7)	
	WO 1991-US4162		19910621		
			19921214	PCT 371 date	
			19921214	PCT 102(e) date	

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia
LEGAL REPRESENTATIVE: Jeanette, Henry C., Nelson, James R.
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2814
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5422351 19950606 <--
WO 9200293 19920109 <--
DETD . . . are factors in the disease or disorder. This includes allergic diseases such as asthma, allergic rhinitis, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteo-arthritis. For example, PAF is an important mediator of such processes as. . .
IT 1802-34-2P 3718-65-8P 6630-65-5P 7584-09-0P 19677-74-8P
21230-51-3P 31255-57-9P 32998-95-1P 34122-28-6P 34122-29-7P
34122-31-1P 34122-32-2P 38092-89-6P 38093-09-3P 38093-14-0P
47124-87-8P 50603-12-8P 69159-50-8P 72469-85-3P 79794-75-5P
98980-47-3P **100643-71-8P** 107256-21-3P 107256-31-5P
107285-30-3P 111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P
111108-55-5P 111108-56-6P 111108-57-7P 116986-13-1P 117796-48-2P
117796-49-3P 117796-50-6P 117796-51-7P 117810-66-9P 117810-91-0P
117811-04-8P 117811-05-9P 117811-06-0P 117811-07-1P 117811-08-2P
117811-10-6P 117811-11-7P 117811-12-8P 117811-13-9P 117811-14-0P
117811-16-2P 117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P
117811-21-9P 117811-22-0P 117811-24-2P 117850-13-2P 117850-14-3P
119410-05-8P 126570-48-7P 126570-49-8P 126570-50-1P 126570-51-2P
126570-52-3P 126570-54-5P 126570-55-6P 126570-56-7P 126570-57-8P
126570-58-9P 126570-60-3P 126570-66-9P 126570-68-1P 126570-69-2P
126570-70-5P 126610-90-0P 129604-54-2P 133330-55-9P 133330-58-2P
133330-59-3P 133330-62-8P 133330-63-9P 133330-64-0P 133330-65-1P
133330-68-4P 133330-71-9P 133330-72-0P 140919-02-4P 140919-04-6P
140919-06-8P 140919-08-0P 140919-09-1P 140919-10-4P 140919-11-5P
140919-12-6P 140919-13-7P 140919-14-8P 140919-15-9P 140937-52-6P
(prepn. and reaction of, in prepn. of PAF and histamine antagonists)

L14 ANSWER 20 OF 24 USPATFULL
AB The present invention relates to 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine, to a process for its preparation and to pharmaceutical compositions containing it. This compound is a dual PAF antagonist and antihistamine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	95:34189 USPATFULL
TITLE:	8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,]pyridine
INVENTOR(S):	Carceller, Elena, Barcelona, Spain Recasens, Nuria, Barcelona, Spain Almansa, Carmen, Barcelona, Spain Bartroli, Javier, Barcelona, Spain Merlos, Manel, Barcelona, Spain Giral, Marta, Barcelona, Spain Garcia-Rafanell, Julian, Barcelona, Spain Forn, Javier, Barcelona, Spain
PATENT ASSIGNEE(S):	J. Uriach & Cia. S.A., Spain (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5407941		19950418	<--
APPLICATION INFO.:	US 1993-61720		19930517	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	ES 1992-1054	19920522

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Richter, Johann
ASSISTANT EXAMINER:	Hydern, Michael B.
LEGAL REPRESENTATIVE:	Rothwell, Figg, Ernst & Kurz
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	3
LINE COUNT:	708

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5407941 19950418 <--

SUMM . . . diseases where PAF is involved (e.g. gastric ulcer, inflammatory bowel disease); diseases related to allergy and inflammation (e.g. asthma, dermatitis, **urticaria**, arthritis, psoriasis); pneumonia; rejection due to increased PAF production after implantations of organs; and postoperative organodysfunction (e.g. in heart, liver. . . 4 is useful as preventive and therapeutic drug for the treatment of diseases such as allergy (e.g. rhinitis, conjunctivitis, pruritus, **urticaria**, dermatitis), asthma and anaphylactic shock. Being a dual PAF and histamine antagonist, compound 4 is particularly useful for the treatment. . .

IT **100643-71-8P**, 8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine 120276-47-3P, 5-Methyl-3-pyridylmethyl bromide 156522-96-2P 156523-04-5P
(intermediate; prepn. of [(pyridylmethyl)piperidylidene]benzocyclohepta pyridine derivs. as antihistaminics and PAF antagonists)

L14 ANSWER 21 OF 24 USPATFULL

AB Compounds of formula (1), wherein X is CH or N; Z is CH.dbd.CH or S; A is CH.sub.2 CH.sub.2, CH.dbd.CH, CH(OH)CH.sub.2, or COCH.sub.2 ; B is a direct link or --CH.sub.2 --, --CH(CH.sub.3)-- or --C(CH.sub.3).sub.2 --; or when Z is CH.dbd.CH, B may form a cyclopentane ring fused to the

attached benzene ring; Y completes a fused benzo or thienyl ring which is optionally substituted by halo or C.sub.1 -C.sub.4 alkyl; n is 0, 1 or 2; and m is 0 or 1; are antagonists of both PAF and histamine H.sub.1 having utility in the treatment of allergic inflammatory conditions such as allergic rhinitis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	94:93332 USPATFULL			
TITLE:	Imidazopyridine PAF/H.sub.1 antagonists			
INVENTOR(S):	Alker, David, Sandwich, United Kingdom Bass, Robert J., Sandwich, United Kingdom Cooper, Kelvin, Groton, CT, United States			
PATENT ASSIGNEE(S):	Pfizer Inc., New York, NY, United States (U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5358953		19941025	<--
	WO 9214734		19920903	<--
APPLICATION INFO.:	US 1993-87736		19930712	(8)
	WO 1992-EP163		19920124	
			19930712	PCT 371 date
			19930712	PCT 102(e) date
	NUMBER		DATE	
PRIORITY INFORMATION:	GB 1991-2997		19910213	
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Tsang, Cecilia			
LEGAL REPRESENTATIVE:	Richardson, Peter C., Benson, Gregg C., Olson, A. Dean			
NUMBER OF CLAIMS:	8			
EXEMPLARY CLAIM:	1			
LINE COUNT:	703			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5358953 19941025 <--
WO 9214734 19920903 <--

SUMM . . . of allergic inflammatory conditions of both the respiratory tract, such as allergic rhinitis, sinusitis and asthma, and skin, such as **atopic dermatitis** and **urticaria**.

SUMM . . . PAF/H.sub.1 antagonist would be expected to be superior to antihistamines alone for the treatment of allergic cutaneous diseases, such as **atopic dermatitis** and **urticaria**, since, while antihistamines reduce itching and reddening, they are less effective against the wheal response associated with the influx of. . .

CLM What is claimed is:
8. A method of treating allergic rhinitis, sinusitis, asthma, **atopic dermatitis** or **urticaria** in a patient in need of such treatment, which comprises administering to said patient an effective amount of a compound. . .

IT 87-25-2, Ethyl-2-aminobenzoate 582-33-2, Ethyl-3-aminobenzoate 5438-70-0, Ethyl-4-aminophenylacetate 13091-23-1, 4-Chloro-3-nitropyridine 16689-02-4, 2-Cyano-5-nitrothiophene 26453-01-0 34580-20-6 38092-95-4 50603-12-8 **100643-71-8** 117796-49-3 117811-11-7 117811-20-8 119410-04-7 125477-75-0 127484-88-2 145079-06-7
(reaction of, in prepn. of histamine H and PAF antagonists)

L14 ANSWER 22 OF 24 USPATFULL

AB Heterocyclic N-oxide derivatives of substituted benzo[5,6]cycloheptapyridines, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 92:80822 USPATFULL

TITLE: Heterocyclic n-oxide derivatives of substituted benzo[5,6]cycloheptapyridines, compositions and methods of use

INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Green, Michael J., Skillman, NJ, United States
Wong, Jesse, Union, NJ, United States

PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5151423		19920929	<--
APPLICATION INFO.:	US 1990-625261		19901210	(7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1989-345604, filed on 1 May 1989, now patented, Pat. No. US 5089496			

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1990-108225	19900430

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia
LEGAL REPRESENTATIVE: Nelson, James R.
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 1952

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5151423 19920929 <--

SUMM . . . and/or histamine are factors in the disease or disorder. This includes allergic diseases such as asthma, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .

IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P
38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P
100643-71-8P 107256-21-3P 107256-31-5P 107285-30-3P
111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)

L14 ANSWER 23 OF 24 USPATFULL

AB Derivatives of benzo[5,6]cyclohepta pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 92:12954 USPATFULL
TITLE: Benzo[5,6]cycloheptapyridine compounds, compositions and method of treating allergies
INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Ganguly, Ashit K., Upper Montclair, NJ, United States
Green, Michael J., Skillman, NJ, United States
Villani, Frank J., Fairfield, NJ, United States
Wong, Jesse, Union, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5089496		19920218	<--
APPLICATION INFO.:	US 1989-345604		19890501	(7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1988-181860, filed on 15 Apr 1988, now abandoned which is a continuation-in-part of Ser. No. US 1986-925342, filed on 31 Oct 1986, now patented, Pat. No. US 4826853

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1987-115890	19871029

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Davis, Zinna Northington
LEGAL REPRESENTATIVE: Nelson, James R.
NUMBER OF CLAIMS: 49
EXEMPLARY CLAIM: 1
LINE COUNT: 2881
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5089496 19920218 <--
SUMM . . . PAF is a factor in the disease or disorder. This includes allergic diseases such as asthma, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .
IT **100643-71-8**
(acylation of)

L14 ANSWER 24 OF 24 USPATFULL
AB Derivatives of 6,11-dihydro-11-(4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 89:34405 USPATFULL
TITLE: 6,11-Dihydro-11-(N-substituted-4-piperidylidene)-5H-benzo(5,6)cyclohepta(1,2-B)pyridines and compositions

and methods of use

INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Ganguly, Ashit K., Upper Montclair, NJ, United States
Green, Michael J., Skillman, NJ, United States
Villani, Frank J., Fairfield, NJ, United States
Wong, Jesse, Union, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States
(U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4826853		19890502	<--
APPLICATION INFO.:	US 1986-925342		19861031	(6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: Northington, Zinna
LEGAL REPRESENTATIVE: Nowak, Henry P., Billups, Richard C., Nelson, James R.
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1,21
LINE COUNT: 1413
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 4826853 19890502 <--

SUMM . . . PAF is a factor in the disease or disorder. This includes allergic diseases such as asthma, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .

IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P
38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P
100643-71-8P 107256-21-3P 107256-31-5P 107285-30-3P
111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)

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=> d his

     (FILE 'HOME' ENTERED AT 16:16:48 ON 21 FEB 2002)

     FILE 'REGISTRY' ENTERED AT 16:17:34 ON 21 FEB 2002
L1             1 S DESLORATADINE/CN
               E DESLORATADINE/CN
L2             1 S E3
               E 3-HYRDROXY DESLORATADINE/CN
               E 3-HYDROXYDESLORATADINE/CN

     FILE 'CAPLUS, USPATFULL' ENTERED AT 16:19:29 ON 21 FEB 2002
L3           170 S L2
L4         36064 S (RHINIT? OR ATOPIC(3A)DERMATIT? OR URTICARIA OR ASTHMA)
L5            65 S L3 AND L4
L6            62 DUP REM L5 (3 DUPLICATES REMOVED)
L7            41 S L6 AND PY <=2000
L8             4 S (3(2A)HYDROXY(2A)DESLORATADIN? OR 3(2A)OH(2A)DESLORATADIN? OR
L9             0 S L7 AND L8

     FILE 'STNGUIDE' ENTERED AT 16:32:16 ON 21 FEB 2002
L10            0 S (ATOPIC(3A)DERMATIT? OR URTICARIA)

     FILE 'CAPLUS, USPATFULL' ENTERED AT 16:36:45 ON 21 FEB 2002
L11         7385 S (ATOPIC(3A)DERMATIT? OR URTICARIA)
L12           37 S L3 AND L11
L13           37 DUP REM L12 (0 DUPLICATES REMOVED)
L14           24 S L13 AND PY <=2000

     FILE 'STNGUIDE' ENTERED AT 16:41:35 ON 21 FEB 2002
```

=> d l7 abs ibib kwic 1-41

L7 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Pharmaceutical dosage forms for oral administration of an antihistamine and a decongestant are disclosed. The dosage forms provide an antihistamine in an amt. and formulation to exhibit antihistaminic activity in human for >22 h; and a decongestant in an amt. and formulation to exhibit stimulatory activity in a human for <16 h. The formulation of the invention can be taken once/day to afford symptomatic relief of **rhinitis** while avoiding stimulation at night. A single dosage unit consisting of 120 mg pseudoephedrine, a stimulating decongestant, prepd. so as to be released over a 10-12 h period and 10 mg loratadine, a nonsedating antihistamine, formulated so as to be released immediately. When taken at the start of the day (a time anticipating a desire to be awake for 12 to 16 h), this dosage unit provides immediate dosing with loratadine, which is known to exert an antihistaminic effect 1 to 3 h after dosing, reach a max. at 8 to 12 h, and last in excess of 24 h. Once released, pseudoephedrine has a 4-6 h half-life, considerably shorter than that of loratadine.

ACCESSION NUMBER: 2001:566682 CAPLUS
DOCUMENT NUMBER: 135:142257
TITLE: Single-dose antihistamine/decongestant formulations for treating **rhinitis**
INVENTOR(S): Weinstein, Robert E.; Weinstein, Allan M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 5 pp., Cont.-in-part of U.S. Ser. No. 550,761.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001011102	A1	20010802	US 2001-757852	20010110
US 6051585	A	20000418	US 1998-206713	19981207 <--

PRIORITY APPLN. INFO.: US 1998-206713 A2 19981207
US 2000-550761 A2 20000417

TI Single-dose antihistamine/decongestant formulations for treating **rhinitis**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2001011102	A1	20010802	US 2001-757852	20010110
US 6051585	A	20000418	US 1998-206713	19981207 <--

AB Pharmaceutical dosage forms for oral administration of an antihistamine and a decongestant are disclosed. The dosage forms provide an antihistamine in an amt. and formulation to exhibit antihistaminic activity in human for >22 h; and a decongestant in an amt. and formulation to exhibit stimulatory activity in a human for <16 h. The formulation of the invention can be taken once/day to afford symptomatic relief of **rhinitis** while avoiding stimulation at night. A single dosage unit consisting of 120 mg pseudoephedrine, a stimulating decongestant, prepd. so as to be released over a 10-12 h period and 10 mg loratadine, a nonsedating antihistamine, formulated so as to be released immediately. When taken at the start of the day (a time anticipating a desire to be awake for 12 to 16 h), this dosage unit provides immediate dosing with

loratadine, which is known to exert an antihistaminic effect 1 to 3 h after dosing, reach a max. at 8 to 12 h, and last in excess of 24 h. Once released, pseudoephedrine has a 4-6 h half-life, considerably shorter than that of loratadine.

ST antihistamine decongestant **rhinitis** formulation

IT Drug delivery systems
(oral; single-dose antihistamine/decongestant formulations for treating **rhinitis**)

IT Nose
(**rhinitis**; single-dose antihistamine/decongestant formulations for treating **rhinitis**)

IT Antihistamines
Decongestants
(single-dose antihistamine/decongestant formulations for treating **rhinitis**)

IT Drug delivery systems
(tablets, controlled-release; single-dose antihistamine/decongestant formulations for treating **rhinitis**)

IT 90-82-4, Pseudoephedrine 14838-15-4, Phenylpropanolamine 68844-77-9, Astemizole 75970-99-9, NorAstemizole 79794-75-5, Loratadine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine **100643-71-8**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single-dose antihistamine/decongestant formulations for treating **rhinitis**)

L7 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB A review with 160 refs. Allergic **rhinitis** can affect up to one-fifth of the population and the economic impact is increasing. H1 receptor antagonists were the first major pharmacol. treatment, but the assocd. sedation limited their use. The 2 initial second generation less sedating antihistamines, astemizole and terfenadine, were found to prolong the cardiac QTc interval, esp. when administered with other medications metabolized by the same cytochrome (CYP) P 450 isoenzyme, CYP3A4. Other second generation antihistamines, fexofenadine, loratadine and cetirizine, do not cause clin. significant cardiac QTc interval prolongation. Two newer agents, ebastine and mizolastine, are also effective in the treatment of allergic **rhinitis**. Ebastine, however, prolongs the cardiac QTc interval in lab. animals and humans, the clin. significance of which is unknown. Desloratadine and norastemizole, metabolites of loratadine and astemizole, resp., are 2 other second generation antihistamines found to be effective treatments for seasonal allergic **rhinitis**. Unlike their parent compds., they do not prolong the cardiac QTc interval. All clin. available intranasal corticosteroids are effective in the treatment of allergic **rhinitis**, but studies to evaluate possible long term systemic adverse effects are limited. Mometasone furoate and fluticasone propionate have lower oral bioavailability compared with other corticosteroids that are given intranasally. This may be important, since it is likely that some of the intranasal corticosteroid is ingested. Two 1-yr growth studies in children indicated that intranasal beclomethasone dipropionate given twice daily reduces growth velocity, whereas intranasal mometasone furoate given once daily in the morning does not. Other studies are needed. Most but not all studies have shown that leukotriene antagonists are effective in the treatment of allergic **rhinitis**. H1 receptor antagonists are not very effective in reducing nasal congestion, but leukotriene antagonists do attenuate this symptom. Furthermore, one study demonstrates an additive benefit in treating allergic **rhinitis** with the combination of a H1 receptor and leukotriene antagonist. Clin.

trials have demonstrated that anti-Ig (Ig) E is effective in the treatment of seasonal allergic **rhinitis** when free IgE is reduced to <25 .mu.g/L. The redn. of total IgE is dose dependent and s.c. and i.v. administration are both effective. Immunotherapy is also an effective treatment for allergic **rhinitis**. CpG oligonucleotides is a novel adjuvant for allergen immunotherapy. This adjuvant used in a murine model shifts the immune response away from the allergic or TH2 phenotype. Studies in humans have not been performed.

ACCESSION NUMBER: 2001:79915 CAPLUS
DOCUMENT NUMBER: 135:131511
TITLE: Present and potential therapy for allergic **rhinitis**. A review
AUTHOR(S): Reichmuth, Daniel; Lockey, Richard F.
CORPORATE SOURCE: Division of Allergy and Immunology, University of South Florida College of Medicine, Tampa, FL, USA
SOURCE: BioDrugs (**2000**), 14(6), 371-387
CODEN: BIDRF4; ISSN: 1173-8804
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
REFERENCE COUNT: 160 THERE ARE 160 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Present and potential therapy for allergic **rhinitis**. A review
SO BioDrugs (**2000**), 14(6), 371-387
CODEN: BIDRF4; ISSN: 1173-8804
AB A review with 160 refs. Allergic **rhinitis** can affect up to one-fifth of the population and the economic impact is increasing. H1 receptor antagonists were the first major pharmacol. treatment, but the assocd. sedation limited their use. The 2 initial second generation less sedating antihistamines, astemizole and terfenadine, were found to prolong the cardiac QTc interval, esp. when administered with other medications metabolized by the same cytochrome (CYP) P 450 isoenzyme, CYP3A4. Other second generation antihistamines, fexofenadine, loratadine and cetirizine, do not cause clin. significant cardiac QTc interval prolongation. Two newer agents, ebastine and mizolastine, are also effective in the treatment of allergic **rhinitis**. Ebastine, however, prolongs the cardiac QTc interval in lab. animals and humans, the clin. significance of which is unknown. Desloratadine and norastemizole, metabolites of loratadine and astemizole, resp., are 2 other second generation antihistamines found to be effective treatments for seasonal allergic **rhinitis**. Unlike their parent compds., they do not prolong the cardiac QTc interval. All clin. available intranasal corticosteroids are effective in the treatment of allergic **rhinitis**, but studies to evaluate possible long term systemic adverse effects are limited. Mometasone furoate and fluticasone propionate have lower oral bioavailability compared with other corticosteroids that are given intranasally. This may be important, since it is likely that some of the intranasal corticosteroid is ingested. Two 1-yr growth studies in children indicated that intranasal beclomethasone dipropionate given twice daily reduces growth velocity, whereas intranasal mometasone furoate given once daily in the morning does not. Other studies are needed. Most but not all studies have shown that leukotriene antagonists are effective in the treatment of allergic **rhinitis**. H1 receptor antagonists are not very effective in reducing nasal congestion, but leukotriene antagonists do attenuate this symptom. Furthermore, one study demonstrates an additive benefit in treating allergic **rhinitis** with the combination of a H1 receptor and leukotriene antagonist. Clin.

trials have demonstrated that anti-Ig (Ig) E is effective in the treatment of seasonal allergic **rhinitis** when free IgE is reduced to <25 .mu.g/L. The redn. of total IgE is dose dependent and s.c. and i.v. administration are both effective. Immunotherapy is also an effective treatment for allergic **rhinitis**. CpG oligonucleotides is a novel adjuvant for allergen immunotherapy. This adjuvant used in a murine model shifts the immune response away from the allergic or TH2 phenotype. Studies in humans have not been performed.

ST review antihistamine leukotriene antagonist immunotherapy allergic **rhinitis**

IT Antihistamines
(H1; present and potential therapy for allergic **rhinitis** in humans)

IT Antihistamines
Hay fever
Immunotherapy
Leukotriene antagonists
(present and potential therapy for allergic **rhinitis** in humans)

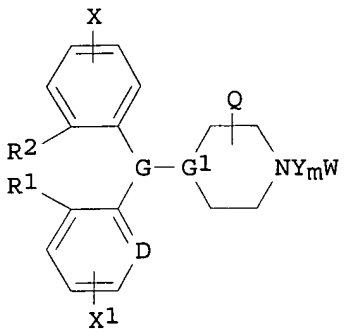
IT Corticosteroids, biological studies
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(present and potential therapy for allergic **rhinitis** in humans)

IT 50679-08-8, Terfenadine 68844-77-9, Astemizole 90729-43-4, Ebastine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(present and potential therapy for allergic **rhinitis** in humans)

IT 75970-99-9, Norastemizole 79794-75-5, Loratadine 80474-14-2, Fluticasone propionate 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 83919-23-7, Mometasone furoate **100643-71-8**, Desloratadine 108612-45-9, Mizolastine
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(present and potential therapy for allergic **rhinitis** in humans)

IT 5534-09-8, Beclomethasone dipropionate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(present and potential therapy for allergic **rhinitis** in humans)

L7 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2002 ACS
GI



I

AB Title compds. [I; X, X1 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, CF3, etc.; GG1 = CHN, CHCH, C:C; D = CH, N; R1, R2 = H; R1R2 = (CH2)n; n = 0-3; m = 0, 1; Y = L1, L2VZtL3; t = 0, 1; L1 = (heteroatom-interrupted) alkylene, alkenylene, alkynylene; L2 = L1, bond, L4Q1, etc.; L3, L4 = L1, bond; V = divalent arene, heteroarene, divalent satd. heterocycle; Z = A1NOM1CONR10R11, etc.; Q, Q1 = H, ACO2R6, ACONR6R7; W = N(OM)CONR8R9, NR8CON(OM)R9, etc.; A, A1 = bond, alkylene, alkenylene, alkynylene, etc.; R6-R11 = H, (heteroatom-interrupted) alkyl, alkenyl, alkynyl, aryl, etc.; M, M1 = H, pharmaceutically acceptable cation, metabolically cleavable group; with provisos], were prepd. Thus, (R)-[(4-chlorophenyl)phenylmethyl]piperazine, 4-(2-bromoethoxy)benzyl alc. (prepn. given), and Et3N were stirred in CH2Cl2 at 50.degree. to give 94.1% 4-[2-[4-[(1R)-(4-chlorophenyl)phenylmethyl]piperazinyl]ethoxy]benzyl alc. This was stirred with PhO2CNHOCO2Ph, Ph3P, and diisopropylazodicarboxylate in THF at 0.degree. to room temp. to give 78.4% N-[[4-[2-[4-[(1R)-(4-chlorophenyl)phenylmethyl]piperazinyl]ethoxy]phenyl]methyl]phenoxycarbonyl aminophenoxyformate. The latter was stirred with NH3 in MeOH to give 73.2% N-[[4-[2-[4-[(1R)-(4-chlorophenyl)phenylmethyl]piperazinyl]ethoxy]phenyl]methyl]amino-N-hydroxyamide. This bound to human H1 receptors with Ki = 24 nM.

ACCESSION NUMBER: 2000:707152 CAPLUS
DOCUMENT NUMBER: 133:281798
TITLE: Preparation of diphenylmethylpiperazinylhydroxyureas and related compounds for treatment of **asthma**, allergy and inflammation.
INVENTOR(S): Scannel, Ralph; Chatelain, Pierre; Toy-Palmer, Anna; Differding, Edmond; Ellis, James; Lassoie, Marie-Agnes; Young, Michelle; Cai, Xiong; Hussoin, Sajjat; Grewal, Gurmit; Lewis, Timothy
PATENT ASSIGNEE(S): UCB, S.A., Belg.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058295	A2	20001005	WO 2000-BE26	20000323 <--
WO 2000058295	A3	20010208		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,

ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1165533 A2 20020102 EP 2000-912274 20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

NO 2001004648 A 20011122 NO 2001-4648 20010925

PRIORITY APPLN. INFO.: US 1999-126521P P 19990326
WO 2000-BE26 W 20000323

OTHER SOURCE(S): MARPAT 133:281798

TI Preparation of diphenylmethylpiperazinylhydroxyureas and related compounds for treatment of **asthma**, allergy and inflammation.

PI WO 2000058295 A2 **20001005**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000058295	A2	20001005	WO 2000-BE26	20000323	<--
WO 2000058295	A3	20010208			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1165533 A2 20020102 EP 2000-912274 20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

NO 2001004648 A 20011122 NO 2001-4648 20010925

IT Nose
(allergic **rhinitis**, treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Lung, disease
(chronic obstructive, treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Eye, disease
(conjunctivitis, treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Intestine, disease
(inflammatory, treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Ear
(otitis, otitis media, treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Allergy inhibitors
Antiarthritics
Anticoagulants
Antihistamines

(prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Fish
(scombroid poisoning from; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Poisoning, biological
(scombroid, treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Respiratory tract
(sinusitis, treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT Eczema
Food allergy
Pruritus
Psoriasis
Urticaria
(treatment; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT 80619-02-9, 5-Lipoxygenase 82249-77-2, 15-Lipoxygenase
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(inhibitors; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT 299460-18-7P 299460-19-8P 299460-20-1P 299460-21-2P 299460-22-3P
299460-24-5P 299460-26-7P 299460-28-9P 299460-30-3P 299460-31-4P
299460-33-6P 299460-35-8P 299460-37-0P 299460-39-2P 299460-40-5P
299460-41-6P 299460-42-7P 299460-43-8P 299460-44-9P 299460-45-0P
299460-46-1P 299460-47-2P 299460-48-3P 299460-49-4P 299460-50-7P
299460-51-8P 299460-52-9P 299460-54-1P 299460-56-3P 299460-57-4P
299460-58-5P 299460-59-6P 299460-60-9P 299460-61-0P 299460-62-1P
299460-63-2P 299460-64-3P 299460-65-4P 299460-66-5P 299460-67-6P
299460-68-7P 299460-69-8P 299460-70-1P 299460-71-2P 299460-72-3P
299460-73-4P 299460-74-5P 299460-75-6P 299460-76-7P 299460-77-8P
299460-78-9P 299460-79-0P 299460-80-3P 299460-81-4P 299460-82-5P
299460-83-6P 299460-84-7P 299460-85-8P 299460-86-9P 299460-87-0P
299460-88-1P 299460-89-2P 299460-90-5P 299460-91-6P 299460-92-7P
299460-93-8P 299460-94-9P 299460-95-0P 299460-96-1P 299460-97-2P
299460-98-3P 299460-99-4P 299461-00-0P 299461-01-1P 299461-02-2P
299461-03-3P 299461-04-4P 299461-05-5P 299461-06-6P 299461-07-7P
299461-08-8P 299461-09-9P 299461-10-2P 299461-11-3P 299461-12-4P
299461-13-5P 299461-14-6P 299461-15-7P 299461-16-8P 299461-17-9P
299461-18-0P 299461-19-1P 299461-20-4P 299461-21-5P 299461-22-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT 106-93-4, 1,2-Dibromoethane 110-52-1, 1,4-Dibromobutane 119-30-2, 5-Iodosalicylic acid 540-38-5, 4-Iodophenol 623-05-2 927-74-2, 3-Butyn-1-ol 27469-60-9 **100643-71-8** 141580-65-6
300543-56-0
RL: RCT (Reactant)
(prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT 4068-75-1P, Methyl 2-hydroxy-5-iodobenzoate 38459-72-2P, Benzenemethanol, 4-(2-Bromoethoxy)- 54914-17-9P, Benzene, 1-(2-Bromoethoxy)-4-iodo- 299461-23-7P 299461-24-8P 299461-25-9P 299461-26-0P 299461-27-1P 299461-28-2P 299461-29-3P 299461-30-6P 299461-31-7P 299461-32-8P 299461-33-9P 299461-34-0P 299461-35-1P 299461-36-2P 299461-37-3P 299461-38-4P 299461-39-5P 299461-40-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

IT 71160-24-2, Ltb4
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(prodn. inhibitors; prepn. of diphenylmethylpiperazinylhydroxyureas and related compds. for treatment of **asthma**, allergy and inflammation)

L7 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Disclosed herein are compns. and methods for treating **atopic dermatitis**, angioedema, **urticaria**, allergic **rhinitis** and other such disorders. The compns. comprise therapeutically effective amts. of antihistamines such as, for example, loratadine, and glucocorticoids such as, for example, betamethasone, for such treatment. A tablets contain betamethasone 0.1-0.5, loratadine 2-10, lactose monohydrate 55-290, sodium croscarmellose 0.8-4, and magnesium stearate 0.4-1 mg.

ACCESSION NUMBER: 2000:627990 CAPLUS
DOCUMENT NUMBER: 133:227792
TITLE: Compositions and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids
INVENTOR(S): Lugo, Sergio Ulloa; Ramos, Jose Villacampa; Arellano, Sergio Morales; Michel, Olivier
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051605	A1	20000908	WO 1999-US4502	19990301 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9930652	A1	20000921	AU 1999-30652	19990301 <--
EP 1049471	A1	20001108	EP 1999-912236	19990301 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
BR 9909368	A	20001121	BR 1999-9368	19990301 <--
JP 2001510485	T2	20010731	JP 1999-517143	19990301

PRIORITY APPLN. INFO.: WO 1999-US4502 A 19990301

OTHER SOURCE(S): MARPAT 133:227792
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Compositions and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids

PI WO 2000051605 A1 **20000908**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000051605	A1	20000908	WO 1999-US4502	19990301 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9930652	A1	20000921	AU 1999-30652	19990301 <--
EP 1049471	A1	20001108	EP 1999-912236	19990301 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
BR 9909368	A	20001121	BR 1999-9368	19990301 <--
JP 2001510485	T2	20010731	JP 1999-517143	19990301

AB Disclosed herein are compns. and methods for treating **atopic dermatitis**, angioedema, **urticaria**, allergic **rhinitis** and other such disorders. The compns. comprise therapeutically effective amts. of antihistamines such as, for example, loratadine, and glucocorticoids such as, for example, betamethasone, for such treatment. A tablets contain betamethasone 0.1-0.5, loratadine 2-10, lactose monohydrate 55-290, sodium croscarmellose 0.8-4, and magnesium stearate 0.4-1 mg.

ST pharmaceutical **atopic dermatitis** angioedema antihistamine glucocorticoid; tablet betamethasone loratadine **atopic dermatitis** angioedema

IT Nose
(allergic **rhinitis**; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT **Asthma**
(allergic, inhibitors; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Edema
(angioneurotic; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT **Dermatitis**
(**atopic**; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(capsules; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Antihistamines
Drug allergy
Dyes
Flavoring materials

Lubricants
Preservatives
Seborrhea
Solvents
Urticaria
(compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Carbohydrates, biological studies
Glucocorticoids
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Eye, disease
(conjunctivitis; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Skin, disease
(insect bite; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Eye, disease
(iridocyclitis; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Dermatitis
(neurodermatitis; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(solns.; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Insect (Insecta)
(stinging; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(tablets, compressed; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT Drug delivery systems
(tablets; compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

IT 50-02-2, Dexamethasone 50-22-6, Corticosterone 50-23-7, Hydrocortisone 50-24-8, Prednisolone 53-03-2, Prednisone 53-06-5, Cortisone 53-33-8, Paramethasone 53-34-9, Fluprednisolone 57-50-1, Sucrose, biological studies 63-42-3, Lactose 64-17-5, Ethanol, biological studies 67-73-2, Fluocinolone acetonide 69-65-8, Mannitol 83-43-2, Methylprednisolone 124-94-7, Triamcinolone 127-31-1, Fludrocortisone 152-97-6, Flucortolone 338-95-4, Isoflupredone 356-12-7, Fluocinonide 378-44-9, Betamethasone 382-67-2, Desoxymetasone 426-13-1 469-83-0, Cafestol 471-53-4, Enoxolone 557-04-0, Magnesium stearate 566-78-9, 21 Acetoxypregnenolone 599-33-7, Prednylidene 638-94-8, Desonide 641-85-0D, Allopregnane, derivs. 1110-40-3 1247-42-3, Meprednisone

1255-35-2 1524-88-5, Flurandrenolide 2119-75-7, Fluperolone acetate 2135-17-3, Flumethasone 2607-06-9, Diflucortolone 2668-66-8, Medrysone 2825-60-7, Formocortal 3093-35-4, Halcinonide 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 4828-27-7, Clocortolone 4906-84-7, Deacylcortivazole 5251-34-3, Cloprednol 7757-93-9, Dicalcium phosphate 7778-18-9, Calcium sulfate 9004-34-6, Cellulose, biological studies 13085-08-0, Mazipredone 14000-45-4, Deacylcortivazole oxetanone 14484-47-0, Deflazacort 15180-00-4, Prednival 21365-49-1, Tralonide 23674-86-4, Difluprednate 25122-41-2, Clobetasol 33564-31-7 41767-29-7, Fluocortin Butyl 50629-82-8, Halometasone 51022-69-6, Amcinonide 51333-22-3, Budesonide 52080-57-6, Chloroprednisone 54063-32-0, Clobetasone 57781-14-3, Halopredone acetate 61951-99-3, Tixocortol 67452-97-5, Alclometasone 73771-04-7, Prednicarbate 74811-65-7, Croscarmellose sodium 79794-75-5, Loratadine **100643-71-8**, Desloratadine
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treating **atopic dermatitis**, angioedema and other disorders using antihistamines and glucocorticoids)

L7 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Methods of treating and/or preventing sleep disorders in a human afflicted with upper airway passage allergic inflammation and/or congestion assocd. with allergic **rhinitis**, including seasonal allergic **rhinitis** or perennial allergic **rhinitis** by administering a therapeutically effective amt. of desloratadine, alone or in combination with other active agents such as a decongestant as pseudoephedrine are disclosed. A tablet contg. 5 mg desloratadine and 240 mg pseudoephedrine was prepd. and administered to a patient in need of treatment.

ACCESSION NUMBER: 2000:623738 CAPLUS
DOCUMENT NUMBER: 133:213173
TITLE: Pharmaceutical compositions for treating sleep disorders containing desloratadine
INVENTOR(S): Harris, Alan G.; Iezzoni, Domenic G.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6114346	A	20000905	US 1999-425715	19991022 <--
US 6265414	B1	20010724	US 2000-563553	20000503
WO 2001030350	A1	20010503	WO 2000-US28934	20001019

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-425715 A1 19991022
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI US 6114346 A **20000905**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6114346	A	20000905	US 1999-425715	19991022 <--
US 6265414	B1	20010724	US 2000-563553	20000503
WO 2001030350	A1	20010503	WO 2000-US28934	20001019

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AB Methods of treating and/or preventing sleep disorders in a human afflicted with upper airway passage allergic inflammation and/or congestion assocd. with allergic **rhinitis**, including seasonal allergic **rhinitis** or perennial allergic **rhinitis** by administering a therapeutically effective amt. of desloratadine, alone or in combination with other active agents such as a decongestant as pseudoephedrine are disclosed. A tablet contg. 5 mg desloratadine and 240 mg pseudoephedrine was prepd. and administered to a patient in need of treatment.

IT Nose
(allergic **rhinitis**; pharmaceutical compns. for treating sleep disorders contg. desloratadine)

IT 90-82-4, Pseudoephedrine 14838-15-4, Phenylpropanolamine **100643-71-8**, Desloratadine
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. for treating sleep disorders contg. desloratadine)

L7 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB The present invention is directed towards a pharmaceutical compn. useful for the treatment of allergic **rhinitis**, **asthma** and related disorders. In one embodiment, the compn. comprises, in combination, a therapeutically effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist.

ACCESSION NUMBER: 2000:567449 CAPLUS
DOCUMENT NUMBER: 133:168392
TITLE: Composition and method for treating allergic diseases
INVENTOR(S): Aslanian, Robert G.; Piwinski, John J.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6103735	A	20000815	US 1999-412621	19991006 <--

OTHER SOURCE(S): MARPAT 133:168392
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI US 6103735 A **20000815**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6103735	A	20000815	US 1999-412621	19991006 <--

AB The present invention is directed towards a pharmaceutical compn. useful for the treatment of allergic **rhinitis**, **asthma** and related disorders. In one embodiment, the compn. comprises, in combination, a therapeutically effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist.

IT Nose
(allergic **rhinitis**; antagonists of neurokinin receptors and histamine receptors for treating allergic diseases)

IT Antitussives
Asthma
Decongestants
Drug delivery systems
Expectorants
(antagonists of neurokinin receptors and histamine receptors for treating allergic diseases)

IT 59-33-6, Pyrilamine 60-87-7, Promethazine 68-88-2, Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6, Brompheniramine 91-81-6, Tripelennamine 113-92-8, Chlorpheniramine 129-03-3, Cyproheptadine 486-12-4, Triprolidine 486-16-8, Carbinoxamine 562-10-7, Doxylamine 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 34973-91-6, Impentamine 39577-19-0, Picumast 46129-28-6, SKF-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole 75970-99-9, Norastemizole 79313-75-0, Sopromidine 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, Epinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 90729-42-3, Carebastine 90729-43-4, Ebastine 99616-14-5, S-Sopromidine **100643-71-8**, Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, Mizolastine 110588-56-2, Noberastine 145231-45-4, Clobenpropit 150756-35-7, Efletirizine 152030-16-5, UCL 1199 152241-24-2, GT-2016 176860-26-7, GR-175737 213027-19-1, GT-2331 224585-45-9 263892-22-4 263892-24-6 263892-25-7 263892-26-8
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonists of neurokinin receptors and histamine receptors for treating allergic diseases)

L7 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Objective: We assessed the pharmacokinetics and tolerability of 5 mg loratadine syrup (1 mg/mL) in children aged 2 to 5 yr. Methods: Two studies were undertaken. A single-dose, open-label bioavailability study was performed to characterize the pharmacokinetic profiles of loratadine and its metabolite desloratadine. Plasma concns. of loratadine and desloratadine were detd. at 0, 1, 2, 4, 8, 12, 24, 48, and 72 h after a single administration of 5 mg loratadine syrup to 18 healthy children (11 male, 7 female; 12 black, 5 white, 1 other; mean age .+-. SD, 3.8.+-.1.1 yr; mean wt. .+-. SD, 17.4.+-.4.4 kg). In addn., a randomized, double-blind, placebo-controlled, parallel-group study was performed to assess the tolerability of 5 mg loratadine syrup after multiple doses. Loratadine (n = 60) or placebo (n = 61) was given once daily for 15 days

to children with a history of allergic **rhinitis** or chronic idiopathic **urticaria**. In the loratadine group, 27 boys and 33 girls (52 white, 8 black) were enrolled, with a mean age .+-. SD of 3.67.+-.1.13 yr and a mean wt. .+-. SD of 17.2.+-.3.8 kg. In the placebo group, 27 boys and 34 girls (53 white, 7 black, 1 Asian) were enrolled, with a mean age .+-. SD of 3.52.+-.1.12 yr and a mean wt. .+-. SD of 17.3.+-.2.9 kg. Tolerability was assessed based on electrocardiog. results, occurrence of adverse events, changes in vital signs, and results of lab. tests and phys. examns. Results: The peak plasma concns. of loratadine and desloratadine were 7.78 and 5.09 ng/mL, resp., obsd. 1.17 and 2.33 h after administration of loratadine; the areas under the plasma concn.-time curve to the last quantifiable time point for loratadine and desloratadine were 16.7 and 87.2 ng.cntdot.h/mL, resp. Single and multiple doses were well tolerated, with no adverse events occurring with greater frequency after multiple doses of loratadine than after placebo. Electrocardiog. parameters were not altered by loratadine compared with placebo. There were no clin. meaningful changes in other tolerability assessments. Conclusion: Loratadine was well tolerated in this small, selected group of children aged 2 to 5 yr at a dose providing exposure similar to that with the adult dose (ie, 10 mg once daily).

ACCESSION NUMBER: 2000:444853 CAPLUS
DOCUMENT NUMBER: 133:68315
TITLE: The pharmacokinetics, electrocardiographic effects, and tolerability of loratadine syrup in children aged 2 to 5 years
AUTHOR(S): Salmun, Luis M.; Herron, Jerry M.; Banfield, Christopher; Padhi, Desmond; Lorber, Richard; Affrime, Melton B.
CORPORATE SOURCE: Allergy/Respiratory Diseases Clinical Research, Schering-Plough Research Institute, Kenilworth, NJ, USA
SOURCE: Clin. Ther. (**2000**), 22(5), 613-621
CODEN: CLTHDG; ISSN: 0149-2918
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Clin. Ther. (**2000**), 22(5), 613-621
CODEN: CLTHDG; ISSN: 0149-2918

AB Objective: We assessed the pharmacokinetics and tolerability of 5 mg loratadine syrup (1 mg/mL) in children aged 2 to 5 yr. Methods: Two studies were undertaken. A single-dose, open-label bioavailability study was performed to characterize the pharmacokinetic profiles of loratadine and its metabolite desloratadine. Plasma concns. of loratadine and desloratadine were detd. at 0, 1, 2, 4, 8, 12, 24, 48, and 72 h after a single administration of 5 mg loratadine syrup to 18 healthy children (11 male, 7 female; 12 black, 5 white, 1 other; mean age .+-. SD, 3.8.+-.1.1 yr; mean wt. .+-. SD, 17.4.+-.4.4 kg). In addn., a randomized, double-blind, placebo-controlled, parallel-group study was performed to assess the tolerability of 5 mg loratadine syrup after multiple doses. Loratadine (n = 60) or placebo (n = 61) was given once daily for 15 days to children with a history of allergic **rhinitis** or chronic idiopathic **urticaria**. In the loratadine group, 27 boys and 33 girls (52 white, 8 black) were enrolled, with a mean age .+-. SD of 3.67.+-.1.13 yr and a mean wt. .+-. SD of 17.2.+-.3.8 kg. In the placebo group, 27 boys and 34 girls (53 white, 7 black, 1 Asian) were enrolled, with a mean age .+-. SD of 3.52.+-.1.12 yr and a mean wt. .+-. SD of

17.3.+-.2.9 kg. Tolerability was assessed based on electrocardiog. results, occurrence of adverse events, changes in vital signs, and results of lab. tests and phys. examns. Results: The peak plasma concns. of loratadine and desloratadine were 7.78 and 5.09 ng/mL, resp., obsd. 1.17 and 2.33 h after administration of loratadine; the areas under the plasma concn.-time curve to the last quantifiable time point for loratadine and desloratadine were 16.7 and 87.2 ng.cntdot.h/mL, resp. Single and multiple doses were well tolerated, with no adverse events occurring with greater frequency after multiple doses of loratadine than after placebo. Electrocardiog. parameters were not altered by loratadine compared with placebo. There were no clin. meaningful changes in other tolerability assessments. Conclusion: Loratadine was well tolerated in this small, selected group of children aged 2 to 5 yr at a dose providing exposure similar to that with the adult dose (ie, 10 mg once daily).

IT **100643-71-8**, Desloratadine
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(pharmacokinetics, electrocardiog. effects, and tolerability of loratadine syrup in children aged 2 to 5 yr)

L7 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB A review with 45 refs. Desloratadine is a major active metabolite of loratadine (Claritin) and provided significant therapeutic activity in patients with allergic **rhinitis** with no significant side effects.

ACCESSION NUMBER: 2000:407214 CAPLUS
DOCUMENT NUMBER: 133:275772
TITLE: Desloratadine: treatment of allergic **rhinitis** histamine H1 antagonist
AUTHOR(S): Graul, A.; Leeson, P. A.; Castaner, J.
CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs Future (**2000**), 25(4), 339-346
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Desloratadine: treatment of allergic **rhinitis** histamine H1 antagonist
SO Drugs Future (**2000**), 25(4), 339-346
CODEN: DRFUD4; ISSN: 0377-8282
AB A review with 45 refs. Desloratadine is a major active metabolite of loratadine (Claritin) and provided significant therapeutic activity in patients with allergic **rhinitis** with no significant side effects.
ST review desloratadine allergic **rhinitis**
IT Antihistamines
(H1; treatment of human allergic **rhinitis** with desloratadine)
IT Nose
(allergic **rhinitis**; treatment of human allergic **rhinitis** with desloratadine)
IT Allergy inhibitors
(treatment of human allergic **rhinitis** with desloratadine)
IT **100643-71-8**, Desloratadine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(treatment of human allergic **rhinitis** with desloratadine)

L7 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB A review with 88 refs. Sepracor is developing desloratadine, a histamine H1 antagonist, as an improved version of Schering-Plough's Claritin (loratadine), for the potential treatment of allergy. It is in phase III trials for chronic **urticaria**. In Oct. 1999, Schering-Plough submitted an NDA to the US FDA seeking clearance to market DCL for the treatment of seasonal allergic **rhinitis**. Schering-Plough also submitted a centralized marketing authorization application for desloratadine to the EU's EMEA. Extensive details of the pharmacol. activity and the therapeutic efficacy of desloratadine were presented, in 15 presentations, at the Mar. 2000 meeting of the American Academy of Allergy, **Asthma** and Immunol. Studies in over 2000 **rhinitic** patients have shown that once daily treatment with 5 or 7.5 mg desloratadine alleviates **rhinitis** symptoms, improves the quality of life of **rhinitis** patients and also reduces nasal congestion. Desloratadine does not induce sedation in man, even when combined with alc., and does not prolong the QTc interval. Co-administration of either ketonconazole or erythromycin only increased plasma concns. of desloratadine by a small degree. In Dec. 1997, Schering-Plough and Sepracor entered into a licensing agreement giving Schering-Plough exclusive worldwide rights to Sepracor's patents relating to desloratadine. Merrill Lynch predicted an NDA filing before the end of 1999 and expects desloratadine to be launched during the second half of 2000.

ACCESSION NUMBER: 2000:353357 CAPLUS
DOCUMENT NUMBER: 132:342665
TITLE: Desloratadine (Sepracor)
AUTHOR(S): Norman, Peter
CORPORATE SOURCE: Norman Consulting, Bucks, SL1 8JW, UK
SOURCE: Curr. Opin. Anti-Inflammatory Immunomodulatory Invest. Drugs (**2000**), 2(2), 117-126
CODEN: COAIFF; ISSN: 1464-8474
PUBLISHER: PharmaPress Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

SO Curr. Opin. Anti-Inflammatory Immunomodulatory Invest. Drugs (**2000**), 2(2), 117-126
CODEN: COAIFF; ISSN: 1464-8474

AB A review with 88 refs. Sepracor is developing desloratadine, a histamine H1 antagonist, as an improved version of Schering-Plough's Claritin (loratadine), for the potential treatment of allergy. It is in phase III trials for chronic **urticaria**. In Oct. 1999, Schering-Plough submitted an NDA to the US FDA seeking clearance to market DCL for the treatment of seasonal allergic **rhinitis**. Schering-Plough also submitted a centralized marketing authorization application for desloratadine to the EU's EMEA. Extensive details of the pharmacol. activity and the therapeutic efficacy of desloratadine were presented, in 15 presentations, at the Mar. 2000 meeting of the American Academy of Allergy, **Asthma** and Immunol. Studies in over 2000 **rhinitic** patients have shown that once daily treatment with 5 or 7.5 mg desloratadine alleviates **rhinitis** symptoms, improves the quality of life of **rhinitis** patients and also reduces nasal congestion. Desloratadine does not induce sedation in man, even when combined with alc., and does not prolong the QTc interval. Co-administration of either ketonconazole or erythromycin only increased plasma concns. of desloratadine by a small degree. In Dec. 1997, Schering-Plough and Sepracor entered into a licensing agreement giving

Schering-Plough exclusive worldwide rights to Sepracor's patents relating to desloratadine. Merrill Lynch predicted an NDA filing before the end of 1999 and expects desloratadine to be launched during the second half of 2000.

ST review desloratadine antiallergy histamine H1 antagonist; **urticaria rhinitis** desloratadine antiallergy review

IT **100643-71-8**, Desloratadine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(desloratadine (Sepracor))

L7 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB The present invention is directed towards a pharmaceutical compn. useful for the treatment of allergic **rhinitis**, **asthma** and related disorders. In one embodiment, the compns. comprise, in combination, a therapeutically effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist. The invention neurokinin antagonists include 3,5-dichloro-N-[3-(3,4-dichlorophenyl)-2-(methoxyimino)-5-(2-oxo[1,4'-bipiperidin]-1'-yl)pentyl]-N-methylbenzamide and derivs. thereof.

ACCESSION NUMBER: 2000:259985 CAPLUS
DOCUMENT NUMBER: 132:284236
TITLE: Composition and method for treating allergic diseases
INVENTOR(S): Aslanian, Robert G.; Piwinski, John J.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021512	A2	20000420	WO 1999-US21437	19991006 <--
WO 2000021512	A3	20000706		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9962526	A1	20000501	AU 1999-62526	19991006 <--
EP 1117405	A2	20010725	EP 1999-949707	19991006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-169608 A 19981009
WO 1999-US21437 W 19991006

OTHER SOURCE(S): MARPAT 132:284236

PI WO 2000021512 A2 **20000420**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000021512	A2	20000420	WO 1999-US21437	19991006 <--
WO 2000021512	A3	20000706		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9962526 A1 20000501 AU 1999-62526 19991006 <--
EP 1117405 A2 20010725 EP 1999-949707 19991006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

AB The present invention is directed towards a pharmaceutical compn. useful for the treatment of allergic **rhinitis**, **asthma** and related disorders. In one embodiment, the compns. comprise, in combination, a therapeutically effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist. The invention neurokinin antagonists include 3,5-dichloro-N-[3-(3,4-dichlorophenyl)-2-(methoxyimino)-5-(2-oxo[1,4'-bipiperidin]-1'-yl)pentyl]-N-methylbenzamide and derivs. thereof.

IT Nose
(allergic **rhinitis**; pharmaceutical compns. contg. neurokinin antagonists and antihistaminics for treatment of allergic diseases)

IT Allergy inhibitors
Asthma
Cough
Drug delivery systems
(pharmaceutical compns. contg. neurokinin antagonists and antihistaminics for treatment of allergic diseases)

IT 59-33-6, Pyrilamine 60-87-7, Promethazine 68-88-2, Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6 91-81-6, Tripelennamine 113-92-8 129-03-3, Cyproheptadine 486-12-4, Triprolidine 486-16-8, Carbinoxamine 562-10-7, Doxylamine 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 34973-91-6, Impentamine 39577-19-0, Picumast 46129-28-6, SKF-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole 75970-99-9, Norastemizole 79313-75-0 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, Epinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 90729-42-3, Carebastine 90729-43-4, Ebastine 99616-14-5, S-Sopromidine **100643-71-8**, Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, Mizolastine 110588-56-2, Noberastine 145231-45-4, Clobenpropit 150756-35-7, Efletirizine 152030-16-5, UCL 1199 152241-24-2, GT-2016 176860-26-7, GR-175737 213027-19-1, GT-2331 224585-45-9 226915-31-7 226915-78-2 226916-77-4 263892-22-4 263892-24-6 263892-25-7 263892-26-8 263892-27-9 263892-28-0
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. neurokinin antagonists and antihistaminics for treatment of allergic diseases)

L7 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Allergic conjunctivitis is the most common ocular allergic disease. Although very symptomatic, it does not endanger vision and topical

antihistamines or hormones are the first choice of treatment in clin. practice. Recently, equiv. nanomolar affinities for histamine H1 and muscarinic M1 and M3 cloned human receptors have been reported for desloratadine, the active metabolite of loratadine, a widely prescribed antihistamine. This property might enhance its utility in the treatment of **asthma**, but could induce adverse anticholinergic effects after topical administration. In the present study, we compare the anticholinergic activity of desloratadine with other known muscarinic antagonists and antihistamines on rabbit and guinea-pig iris smooth muscle. Desloratadine was found to be a competitive antagonist (pA2=6.67.+-.0.09) of carbachol-induced contractions in isolated rabbit iris smooth muscle. Atropine (pA2=9.44.+-.0.02) and NPC-14695 (pA2=9.18.+-.0.03) also behaved as competitive antagonists, whereas tiotropium bromide (pD2'=9.06.+-.0.02) exhibited a non-competitive behavior in this tissue. Carebastine (pA2=5.64.+-.0.04) and fexofenadine (pA2<4.0) were also studied. After topical administration on the guinea-pig eye conjunctiva, desloratadine produced a potent (ED50=2.3 mg/mL) and long lasting mydriasis (>120 min at the ED50) in conscious animals. Fexofenadine and carebastine were inactive even at the highest concn. tested (10 mg/mL). Atropine (ED50=30 .mu.g/mL) and tiotropium bromide (ED50=10 .mu.g/mL) were much more potent than desloratadine or pirenzepine (ED50=3 mg/mL) in this model. The competitive muscarinic antagonism of desloratadine in vitro, and its potency and duration of action in vivo, suggest that topical treatment of allergic conjunctivitis and **rhinitis** with desloratadine could produce undesirable peripheral anticholinergic side effects such as mydriasis and xerostomia.

ACCESSION NUMBER: 1999:449797 CAPLUS
DOCUMENT NUMBER: 131:237677
TITLE: Anticholinergic effects of desloratadine, the major metabolite of loratadine, in rabbit and guinea-pig iris smooth muscle
AUTHOR(S): Cardelu, Ignasi; Anto, Francisca; Beleta, Jorge; Palacios, Jose M.
CORPORATE SOURCE: Research Center, Pharmacology Department, Almirall Prodesfarma, Barcelona, 08024, Spain
SOURCE: Eur. J. Pharmacol. (**1999**), 374(2), 249-254
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Eur. J. Pharmacol. (**1999**), 374(2), 249-254
CODEN: EJPHAZ; ISSN: 0014-2999

AB Allergic conjunctivitis is the most common ocular allergic disease. Although very symptomatic, it does not endanger vision and topical antihistamines or hormones are the first choice of treatment in clin. practice. Recently, equiv. nanomolar affinities for histamine H1 and muscarinic M1 and M3 cloned human receptors have been reported for desloratadine, the active metabolite of loratadine, a widely prescribed antihistamine. This property might enhance its utility in the treatment of **asthma**, but could induce adverse anticholinergic effects after topical administration. In the present study, we compare the anticholinergic activity of desloratadine with other known muscarinic antagonists and antihistamines on rabbit and guinea-pig iris smooth muscle. Desloratadine was found to be a competitive antagonist (pA2=6.67.+-.0.09) of carbachol-induced contractions in isolated rabbit iris smooth muscle. Atropine (pA2=9.44.+-.0.02) and NPC-14695

(pA2=9.18.+-.0.03) also behaved as competitive antagonists, whereas tiotropium bromide (pD2'=9.06.+-.0.02) exhibited a non-competitive behavior in this tissue. Carebastine (pA2=5.64.+-.0.04) and fexofenadine (pA2<4.0) were also studied. After topical administration on the guinea-pig eye conjunctiva, desloratadine produced a potent (ED50=2.3 mg/mL) and long lasting mydriasis (>120 min at the ED50) in conscious animals. Fexofenadine and carebastine were inactive even at the highest concn. tested (10 mg/mL). Atropine (ED50=30 .mu.g/mL) and tiotropium bromide (ED50=10 .mu.g/mL) were much more potent than desloratadine or pirenzepine (ED50=3 mg/mL) in this model. The competitive muscarinic antagonism of desloratadine in vitro, and its potency and duration of action in vivo, suggest that topical treatment of allergic conjunctivitis and **rhinitis** with desloratadine could produce undesirable peripheral anticholinergic side effects such as mydriasis and xerostomia.

ST desloratadine anticholinergic iris mydriasis conjunctivitis **rhinitis**

IT **100643-71-8**, Desloratadine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticholinergic effects of loratadine metabolite desloratadine in iris smooth muscle)

L7 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB The invention relates to a pharmaceutical compn. useful in the treatment of sneezing, itching runny nose, nasal congestion, redness of the eye, tearing, itching of the ears or palate, shortness of breath, inflammation of the bronchial mucosa, reduced Forced Expiratory Vol. In One Second (FEV1), coughs, rash, itchy skin, headaches, and aches and pains assocd. with seasonal allergic **rhinitis**, perennial allergic **rhinitis**, common colds, otitis, sinusitis, allergy, **asthma**, allergic **asthma** and/or inflammation, in a mammalian organism in need of such treatment. The compn. comprises: (i) an effective amt. of at least one leukotriene antagonist selected from (a) montelukast, (b) 1-(((R)- (3-(2-(6,7- difluoro-2- quinolinyl)ethenyl)phenyl)-3-(2-(2-hydroxy-2-propyl)phenyl)propyl) thio)methylcyclopropaneacetic acid; (c) 1-(((1(R)-3 (3-(2-(2,3- dichlorothieno[3, 2-b]pyridin-5-yl) -(E)-ethenyl)phenyl) -3-(2-(1-hydroxy-1- methylethyl) phenyl)propyl) thio)methyl) cyclopropaneacetic acid; (d) pranlukast; or (f) [2-[[2-(4-tert -butyl-2-thiazolyl) -5-benzofuranyl] oxymethyl]phenyl] acetic acid; or a pharmaceutically acceptable salt thereof; in admixt. with (ii) an effective amt. of at least one antihistamine which is descarboethoxyloratidine, cetirizine, fexofenadine, ebastine, astemizole, norastemizole, epinastine, efletirizine or a pharmaceutically acceptable salt thereof.

ACCESSION NUMBER: 1999:425758 CAPLUS
DOCUMENT NUMBER: 131:63456
TITLE: Composition for treating respiratory and skin diseases, comprising at least one leukotriene antagonist and at least one antihistamine
INVENTOR(S): Jensen, Peder K.; Lorber, Richard R.; Danzig, Melvyn R.; Medeiros, Paul T.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932125	A1	19990701	WO 1998-US26223	19981221 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9811731	A	19990621	ZA 1998-11731	19981221 <--
AU 9919071	A1	19990712	AU 1999-19071	19981221 <--
BR 9814417	A	20001010	BR 1998-14417	19981221 <--
EP 1041990	A1	20001011	EP 1998-963828	19981221 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
JP 2001526232	T2	20011218	JP 2000-525116	19981221
NO 2000003288	A	20000822	NO 2000-3288	20000622 <--

PRIORITY APPLN. INFO.: US 1997-68638 P 19971223
US 1998-78638 P 19980319
WO 1998-US26223 W 19981221

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI WO 9932125 A1 **19990701**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9932125	A1	19990701	WO 1998-US26223	19981221 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9811731	A	19990621	ZA 1998-11731	19981221 <--
AU 9919071	A1	19990712	AU 1999-19071	19981221 <--
BR 9814417	A	20001010	BR 1998-14417	19981221 <--
EP 1041990	A1	20001011	EP 1998-963828	19981221 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
JP 2001526232	T2	20011218	JP 2000-525116	19981221
NO 2000003288	A	20000822	NO 2000-3288	20000622 <--

AB The invention relates to a pharmaceutical compn. useful in the treatment of sneezing, itching runny nose, nasal congestion, redness of the eye, tearing, itching of the ears or palate, shortness of breath, inflammation of the bronchial mucosa, reduced Forced Expiratory Vol. In One Second (FEV1), coughs, rash, itchy skin, headaches, and aches and pains assocd. with seasonal allergic **rhinitis**, perennial allergic **rhinitis**, common colds, otitis, sinusitus, allergy, **asthma**, allergic **asthma** and/or inflammation, in a mammalian organism in need of such treatment. The compn. comprises: (i) an effective amt. of at least one leukotriene antagonist selected from (a) montelukast, (b) 1-(((R)- (3-(2-(6,7- difluoro-2- quinolinyl)ethenyl)phenyl)-3-(2-(2-hydroxy-2-propyl)phenyl)propyl) thio)methylcyclopropaneacetic acid; (c)

1-(((1(R)-3 (3-(2-(2,3- dichlorothieno[3, 2-b]pyridin-5-yl) -(E)-ethenyl)phenyl) -3-(2-(1-hydroxy-1- methylethyl) phenyl)propyl) thio)methyl) cyclopropaneacetic acid; (d) pranlukast; or (f) [2-[[2-(4-tert -butyl-2-thiazolyl) -5-benzofuranyl] oxymethyl]phenyl] acetic acid; or a pharmaceutically acceptable salt thereof; in admixt. with (ii) an effective amt. of at least one antihistamine which is descarboethoxyloratidine, cetirizine, fexofenadine, ebastine, astemizole, norastemizole, epinastine, efletirizine or a pharmaceutically acceptable salt thereof.

IT 90-82-4, Pseudoephedrine 93-14-1, Guaifenesin 125-71-3, Dextromethorphan 68844-77-9, Astemizole 75970-99-9, Norastemizole 80012-43-7, Epinastine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 90729-43-4, Ebastine **100643-71-8** 103177-37-3, Pranlukast 107753-78-6, Zafirlukast 149413-74-1 150756-35-7, Efletirizine 152952-65-3 158966-92-8, Montelukast 172927-32-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compn. for treating respiratory and skin diseases, comprising at least one leukotriene antagonist and at least one antihistamine)

L7 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Relief from the symptoms of **rhinitis** is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components.

ACCESSION NUMBER: 1999:104511 CAPLUS
DOCUMENT NUMBER: 130:163188
TITLE: Treatment of upper airway allergic responses with H1- and H3-histamine receptor antagonists
INVENTOR(S): Kreutner, William; Hey, John A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869479	A	19990209	US 1997-909319	19970814 <--

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI US 5869479 A **19990209**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5869479	A	19990209	US 1997-909319	19970814 <--

AB Relief from the symptoms of **rhinitis** is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components.

ST H1 H3 histamine antagonist **rhinitis**; upper airway allergy histamine receptor antagonist

IT Antihistamines
Blood pressure
Capsules (drug delivery systems)
Decongestants
Drug delivery systems
Drug interactions
H1 receptor antagonists
Parenteral solutions (drug delivery systems)
Rhinitis
Tablets (drug delivery systems)
(H1- and H3-histamine receptor antagonists for treatment of **rhinitis**)

IT H3 receptor (histamine)
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antagonists; H1- and H3-histamine receptor antagonists for treatment of **rhinitis**)

IT Oral drug delivery systems
(liqs.; H1- and H3-histamine receptor antagonists for treatment of **rhinitis**)

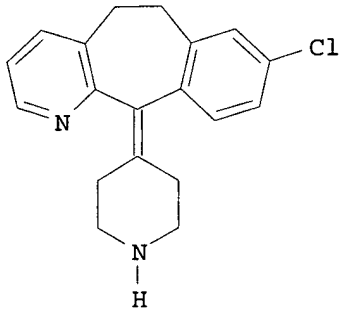
IT Liquid dosage forms (drug delivery systems)
(oral; H1- and H3-histamine receptor antagonists for treatment of **rhinitis**)

IT 154-41-6, Phenylpropanolamine hydrochloride 150036-88-7, Verongamine
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(H1- and H3-histamine receptor antagonists for treatment of **rhinitis**)

IT 58-73-1, Diphenhydramine 59-33-6 60-87-7, Promethazine 68-88-2, Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6, Brompheniramine 91-81-6, Tripelennamine 113-92-8, Chlorpheniramine maleate 129-03-3, Cyproheptadine 486-12-4, Triprolidine 486-16-8, Carbinoxamine 523-87-5, Dimenhydrinate 562-10-7 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 34973-91-6, Impentamine 39577-19-0, Picumast 46129-28-6, SKF-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole 75970-99-9, Norastemizole 79313-75-0, Sopromidine 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, Epinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 90729-42-3, Carebastine 90729-43-4, Ebastine 99616-14-5, S-Sopromidine **100643-71-8**, Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, Mizolastine 110588-56-2, Noberastine 145231-45-4, Clobenpropit 148440-81-7 150756-35-7, Efletirizine 152241-24-2, GT-2016 176860-26-7, GR 175737
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(H1- and H3-histamine receptor antagonists for treatment of **rhinitis**)

IT 152030-16-5
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(UCL 1199; H1- and H3-histamine receptor antagonists for treatment of **rhinitis**)

L7 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2002 ACS
GI



I

AB Stable pharmaceutical compns. of descarboethoxyloratadine (DCL) (I), a metabolic deriv. of loratadine, for the treatment of allergic **rhinitis** and other histamine-induced disorders are disclosed. The compns. are formulated to avoid the incompatibility between I and reactive excipients such as lactose and other mono- and di-saccharides. Tablets were prepd. contg. I 10, starch 60, talc 12, acacia 12, and stearic acid 1 mg/tablet.

ACCESSION NUMBER: 1998:548533 CAPLUS
DOCUMENT NUMBER: 129:180143
TITLE: Lactose-free, non-hygroscopic and anhydrous pharmaceutical compositions of descarboethoxyloratadine
INVENTOR(S): Redmon, Martin P.; Butler, Hal T.; Wald, Stephen A.; Rubin, Paul D.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834614	A1	19980813	WO 1998-US2328	19980206 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9800977	A	19980730	ZA 1998-977	19980206 <--
AU 9862719	A1	19980826	AU 1998-62719	19980206 <--
EP 969836	A1	20000112	EP 1998-904980	19980206 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9806157	A	20010109	BR 1998-6157	19980206
JP 2001511184	T2	20010807	JP 1998-534919	19980206
NO 9902157	A	19990504	NO 1999-2157	19990504 <--

PRIORITY APPLN. INFO.: US 1997-37325 P 19970207

US 1997-45184 P 19970430
US 1997-53050 P 19970721
WO 1998-US2328 W 19980206

PI WO 9834614 A1 **19980813**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9834614	A1	19980813	WO 1998-US2328	19980206 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9800977	A	19980730	ZA 1998-977	19980206 <--
AU 9862719	A1	19980826	AU 1998-62719	19980206 <--
EP 969836	A1	20000112	EP 1998-904980	19980206 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9806157	A	20010109	BR 1998-6157	19980206
JP 2001511184	T2	20010807	JP 1998-534919	19980206
NO 9902157	A	19990504	NO 1999-2157	19990504 <--

AB Stable pharmaceutical compns. of descarboethoxyloratadine (DCL) (I), a metabolic deriv. of loratadine, for the treatment of allergic **rhinitis** and other histamine-induced disorders are disclosed. The compns. are formulated to avoid the incompatibility between I and reactive excipients such as lactose and other mono- and di-saccharides. Tablets were prepd. contg. I 10, starch 60, talc 12, acacia 12, and stearic acid 1 mg/tablet.

IT Allergic **rhinitis**
Analgesics
Capsules (drug delivery systems)
Coatings
Decongestants
Dermatitis
Diabetic retinopathy
Tablets (drug delivery systems)
(lactose-free, non-hygroscopic and anhyd. pharmaceutical compns. of descarboethoxyloratadine)

IT **100643-71-8**, Descarboethoxyloratadine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lactose-free, non-hygroscopic and anhyd. pharmaceutical compns. of descarboethoxyloratadine)

L7 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Methods utilizing descarboethoxyloratadine (I), for the treatment of allergic disorders, while avoiding the concomitant liability of adverse side-effects assocd. with other non-sedating antihistamines are disclosed. Also included are methods for the treatment of allergic **asthma** using I and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects assocd. with other non-sedating antihistamines. The invention also encompasses the administration of I in a nasal or oral spray. A capsule contained I 0.1, lactose 150, cellulose 50, and magnesium stearate 6 mg.

ACCESSION NUMBER: 1998:548530 CAPLUS
DOCUMENT NUMBER: 129:156932
TITLE: Treatment of allergic **asthma** and other

disorders with descarboethoxyloratadine
INVENTOR(S): Handley, Dean A.; Rubin, Paul D.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834611	A1	19980813	WO 1998-US2564	19980210 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5900421	A	19990504	US 1997-799605	19970211 <--
AU 9864348	A1	19980826	AU 1998-64348	19980210 <--
AU 719907	B2	20000518		
BR 9807673	A	20000215	BR 1998-7673	19980210 <--
EP 1005345	A1	20000607	EP 1998-909996	19980210 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 5962464	A	19991005	US 1998-110367	19980706 <--
US 6054463	A	20000425	US 1999-271269	19990317 <--
NO 9903847	A	19990927	NO 1999-3847	19990810 <--

PRIORITY APPLN. INFO.:
US 1997-799605 A 19970211
WO 1998-US2564 W 19980210
US 1998-110367 A1 19980706

TI Treatment of allergic **asthma** and other disorders with descarboethoxyloratadine

PI WO 9834611 A1 **19980813**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834611	A1	19980813	WO 1998-US2564	19980210 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5900421	A	19990504	US 1997-799605	19970211 <--
AU 9864348	A1	19980826	AU 1998-64348	19980210 <--
AU 719907	B2	20000518		
BR 9807673	A	20000215	BR 1998-7673	19980210 <--
EP 1005345	A1	20000607	EP 1998-909996	19980210 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 5962464	A	19991005	US 1998-110367	19980706 <--
US 6054463	A	20000425	US 1999-271269	19990317 <--
NO 9903847	A	19990927	NO 1999-3847	19990810 <--

AB Methods utilizing descarboethoxyloratadine (I), for the treatment of allergic disorders, while avoiding the concomitant liability of adverse

side-effects assocd. with other non-sedating antihistamines are disclosed. Also included are methods for the treatment of allergic **asthma** using I and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects assocd. with other non-sedating antihistamines. The invention also encompasses the administration of I in a nasal or oral spray. A capsule contained I 0.1, lactose 150, cellulose 50, and magnesium stearate 6 mg.

ST allergic **asthma** treatment descarboethoxyloratadine pharmaceutical capsule

IT Allergic **asthma**
(inhibitors; treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

IT Sprays (drug delivery systems)
(oral; treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

IT Antihistamines
Arrhythmia
Capsules (drug delivery systems)
Decongestants
Nasal sprays
Tablets (drug delivery systems)
Tumors (animal)
(treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

IT Leukotriene antagonists
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

IT 73836-78-9, Leukotriene d4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antagonists; treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

IT 80619-02-9, 5-Lipoxygenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

IT **100643-71-8**, Descarboethoxyloratadine
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

IT 9035-51-2, Cytochrome p450, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(treatment of allergic **asthma** and other disorders with descarboethoxyloratadine)

L7 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Relief from the symptoms of **rhinitis** is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components. The nasal airways resistance following injection of 3 mg/kg loratadine and 10 mg/kg thioperamide in cats was 2.1 as compared with 10.2 for loratadine alone. A tablet contained H1 antagonist effective amt., H3 antagonist effective amt., lactose 100, 10% corn starch past 5, dried corn

starch 25, and magnesium stearate 1.25 mg.

ACCESSION NUMBER: 1998:124005 CAPLUS
DOCUMENT NUMBER: 128:208908
TITLE: Treatment of upper airway allergic responses with a combination of histamine receptor antagonists
INVENTOR(S): Kreutner, William; Hey, John A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9806394	A1	19980219	WO 1997-US13903	19970813	<--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
ZA 9707263	A	19980216	ZA 1997-7263	19970813	<--
AU 9739733	A1	19980306	AU 1997-39733	19970813	<--
AU 722040	B2	20000720			
EP 920315	A1	19990609	EP 1997-937153	19970813	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO					
BR 9711149	A	19990817	BR 1997-11149	19970813	<--
CN 1233179	A	19991027	CN 1997-198713	19970813	<--
JP 2000505094	T2	20000425	JP 1998-509859	19970813	<--
KR 2000029975	A	20000525	KR 1999-7001226	19990212	<--
NO 9900706	A	19990215	NO 1999-706	19990215	<--

PRIORITY APPLN. INFO.:
US 1996-689951 A 19960816
WO 1997-US13903 W 19970813

PI WO 9806394 A1 **19980219**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9806394	A1	19980219	WO 1997-US13903	19970813	<--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
ZA 9707263	A	19980216	ZA 1997-7263	19970813	<--
AU 9739733	A1	19980306	AU 1997-39733	19970813	<--
AU 722040	B2	20000720			
EP 920315	A1	19990609	EP 1997-937153	19970813	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO					
BR 9711149	A	19990817	BR 1997-11149	19970813	<--
CN 1233179	A	19991027	CN 1997-198713	19970813	<--
JP 2000505094	T2	20000425	JP 1998-509859	19970813	<--
KR 2000029975	A	20000525	KR 1999-7001226	19990212	<--

NO 9900706 A 19990215 NO 1999-706 19990215 <--

AB Relief from the symptoms of **rhinitis** is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components. The nasal airways resistance following injection of 3 mg/kg loratadine and 10 mg/kg thioperamide in cats was 2.1 as compared with 10.2 for loratadine alone. A tablet contained H1 antagonist effective amt., H3 antagonist effective amt., lactose 100, 10% corn starch past 5, dried corn starch 25, and magnesium stearate 1.25 mg.

IT Antihistamines
Capsules (drug delivery systems)
H1 receptor antagonists
Rhinitis
Tablets (drug delivery systems)
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of upper airway allergic responses with combination of histamine receptor antagonists)

IT 58-73-1, Diphenhydramine 59-33-6 60-87-7, Promethazine 68-88-2, Hydroxyzine 82-92-8, Cyclizine 84-96-8, Trimeprazine 86-22-6 91-81-6, Tripelennamine 113-92-8 129-03-3, Cyproheptadine 486-12-4, Triprolidine 486-16-8, Carbinoxamine 523-87-5, Dimenhydrinate 562-10-7 569-65-3, Meclizine 3964-81-6, Azatadine 5636-83-9, Dimethindene 5786-21-0, Clozapine 14838-15-4, Phenylpropanolamine 15686-51-8, Clemastine 24219-97-4, Mianserin 29216-28-2, Mequitazine 34580-13-7, Ketotifen 34970-69-9, Burimamide 39577-19-0, Picumast 46129-28-6, Skf-91486 50679-08-8, Terfenadine 55273-05-7, Impromidine 58581-89-8, Azelastine 68844-77-9, Astemizole 75970-99-9, Norastemizole 79313-75-0, Sopromidine 79516-68-0, Levocabastine 79794-75-5, Loratadine 80012-43-7, EPinastine 83184-43-4, Mifentidine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 86181-42-2, Temelastine 87848-99-5, Acrivastine 90729-42-3, Carebastine 90729-43-4, Ebastine 99616-14-5, S-Sopromidine **100643-71-8**, Descarboethoxyloratadine 106243-16-7, Thioperamide 108612-45-9, Mizolastine 110588-56-2, Noberastine 145231-45-4, Clobenpropit 150036-88-7, Verongamine 150756-35-7, Efletirizine 152030-16-5, UCL 1199 152241-24-2, Gt-2016 203874-78-6, GR 175737
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of upper airway allergic responses with combination of histamine receptor antagonists)

L7 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Loratadine, a novel histamine H1-receptor antagonist, is effective in the treatment of patients with seasonal and perennial **rhinitis** and some allergic skin disorders. Histamine and other chem. mediators are synthesized and immunol. released by human peripheral blood basophils and tissue mast cells (Fc.epsilon.RI+ cells). The authors evaluated the effects of loratadine and its main metabolite, desethoxylcarbonyl-loratadine (des-loratadine), on the immunol. release of preformed (histamine and tryptase) and de novo synthesized mediators (leukotriene C4: LTC4 and prostaglandin D2: PGD2) from human Fc.epsilon.RI+ cells. Human Fc.epsilon.RI+ cells purified from peripheral blood and from skin (HSMC) and lung tissue (HLMC) were preincubated with loratadine and des-loratadine before immunol. challenge with Der p 1 antigen or

anti-Fc.epsilon.RI. The release of performed mediators (histamine and tryptase) and de novo synthesized eicosanoids was evaluated in the supernatants of human Fc.epsilon.RI+ cells. Preincubation (15 min, 37.degree.) of purified (36-74%) basophils with loratadine (3.times.10-6-10-4 M) and des-loratadine before Der p 1 antigen or anti-Fc.epsilon.RI challenge concn.-dependently (5-40%) inhibited the release of histamine and LTC4. Loratadine (3.times.10-6-10-4 M) and des-loratadine also inhibited (10-40%) histamine, LTC4, and PGD2 release from purified HLMC (16-68%) activated by anti-Fc.epsilon.RI. Loratadine (3.times.10-6-10-4 M) and des-loratadine caused concn.-dependent inhibition (10-40%) of histamine, tryptase, LTC4, and PGD2 release from purified HSMC (24-72%) immunol. challenged with anti-Fc.epsilon.RI. These results indicate that loratadine and its main metabolite have anti-inflammatory activity by inhibiting the release of performed and de novo synthesized mediators from human Fc.epsilon.RI+ cells.

ACCESSION NUMBER: 1997:399171 CAPLUS
DOCUMENT NUMBER: 127:75801
TITLE: Loratadine and desethoxylcarbonyl-loratadine inhibit the immunological release of mediators from human Fc.epsilon.RI+ cells
AUTHOR(S): Genovese, A.; Patella, V.; De Crescenzo, G.; De Paulis, A.; Spadaro, G.; Marone, G.
CORPORATE SOURCE: Division of Clinical Immunology and Allergy, University of Naples Federico II School of Medicine, Naples, Italy
SOURCE: Clin. Exp. Allergy (**1997**), 27(5), 559-567
CODEN: CLEAEN; ISSN: 0954-7894
PUBLISHER: Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English
SO Clin. Exp. Allergy (**1997**), 27(5), 559-567
CODEN: CLEAEN; ISSN: 0954-7894
AB Loratadine, a novel histamine H1-receptor antagonist, is effective in the treatment of patients with seasonal and perennial **rhinitis** and some allergic skin disorders. Histamine and other chem. mediators are synthesized and immunol. released by human peripheral blood basophils and tissue mast cells (Fc.epsilon.RI+ cells). The authors evaluated the effects of loratadine and its main metabolite, desethoxylcarbonyl-loratadine (des-loratadine), on the immunol. release of preformed (histamine and tryptase) and de novo synthesized mediators (leukotriene C4: LTC4 and prostaglandin D2: PGD2) from human Fc.epsilon.RI+ cells. Human Fc.epsilon.RI+ cells purified from peripheral blood and from skin (HSMC) and lung tissue (HLMC) were preincubated with loratadine and des-loratadine before immunol. challenge with Der p 1 antigen or anti-Fc.epsilon.RI. The release of performed mediators (histamine and tryptase) and de novo synthesized eicosanoids was evaluated in the supernatants of human Fc.epsilon.RI+ cells. Preincubation (15 min, 37.degree.) of purified (36-74%) basophils with loratadine (3.times.10-6-10-4 M) and des-loratadine before Der p 1 antigen or anti-Fc.epsilon.RI challenge concn.-dependently (5-40%) inhibited the release of histamine and LTC4. Loratadine (3.times.10-6-10-4 M) and des-loratadine also inhibited (10-40%) histamine, LTC4, and PGD2 release from purified HLMC (16-68%) activated by anti-Fc.epsilon.RI. Loratadine (3.times.10-6-10-4 M) and des-loratadine caused concn.-dependent inhibition (10-40%) of histamine, tryptase, LTC4, and PGD2 release from purified HSMC (24-72%) immunol. challenged with anti-Fc.epsilon.RI. These results indicate that loratadine and its main metabolite have anti-inflammatory activity by inhibiting the release of performed and de

novo synthesized mediators from human Fc.epsilon.RI+ cells.

IT **100643-71-8**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(loratadine and desethoxylcarbonyl-loratadine inhibit immunol. release of mediators from human Fc.epsilon.RI+ mast cells)

L7 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Methods are disclosed utilizing DCL, a metabolic deriv. of loratadine, for the treatment of allergic **rhinitis**, and other disorders such as diabetic retinopathy, while avoiding the concomitant liability of adverse side-effects assocd. with other non-sedating antihistamines.

ACCESSION NUMBER: 1996:544058 CAPLUS
DOCUMENT NUMBER: 125:177434
TITLE: Methods and compositions for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine
INVENTOR(S): Aberg, A. K. Gunnar; Mccullough, John R.; Smith, Emil R.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620708	A1	19960711	WO 1995-US15995	19951211 <--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5595997	A	19970121	US 1994-366651	19941230 <--
CA 2208836	AA	19960711	CA 1995-2208836	19951211 <--
AU 9645126	A1	19960724	AU 1996-45126	19951211 <--
AU 707541	B2	19990715		
EP 799037	A1	19971008	EP 1995-943722	19951211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
BR 9510129	A	19971230	BR 1995-10129	19951211 <--
CN 1176598	A	19980318	CN 1995-197713	19951211 <--
HU 77315	A2	19980330	HU 1997-1905	19951211 <--
JP 10512240	T2	19981124	JP 1995-521002	19951211 <--
EP 1078633	A2	20010228	EP 2000-113351	19951211
EP 1078633	A3	20010307		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5731319	A	19980324	US 1997-783393	19970113 <--
NO 9703023	A	19970819	NO 1997-3023	19970627 <--
FI 9702781	A	19970827	FI 1997-2781	19970627 <--

PRIORITY APPLN. INFO.: US 1994-366651 A 19941230
EP 1995-943722 A3 19951211
WO 1995-US15995 W 19951211

TI Methods and compositions for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine

PI WO 9620708 A1 **19960711**

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9620708	A1	19960711	WO 1995-US15995	19951211 <--
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5595997	A	19970121	US 1994-366651	19941230 <--
	CA 2208836	AA	19960711	CA 1995-2208836	19951211 <--
	AU 9645126	A1	19960724	AU 1996-45126	19951211 <--
	AU 707541	B2	19990715		
	EP 799037	A1	19971008	EP 1995-943722	19951211 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	BR 9510129	A	19971230	BR 1995-10129	19951211 <--
	CN 1176598	A	19980318	CN 1995-197713	19951211 <--
	HU 77315	A2	19980330	HU 1997-1905	19951211 <--
	JP 10512240	T2	19981124	JP 1995-521002	19951211 <--
	EP 1078633	A2	20010228	EP 2000-113351	19951211
	EP 1078633	A3	20010307		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	US 5731319	A	19980324	US 1997-783393	19970113 <--
	NO 9703023	A	19970819	NO 1997-3023	19970627 <--
	FI 9702781	A	19970827	FI 1997-2781	19970627 <--

AB Methods are disclosed utilizing DCL, a metabolic deriv. of loratadine, for the treatment of allergic **rhinitis**, and other disorders such as diabetic retinopathy, while avoiding the concomitant liability of adverse side-effects assocd. with other non-sedating antihistamines.

ST allergic **rhinitis** treatment descarboethoxy loratadine

IT Neoplasm
(avoidance of promotion of; methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Electric activity
(cardiac rectifying potassium current; methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Analgesics
Antihistaminics
Antipyretics
(methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Cottonseed oil
Lecithins
Olive oil
Soybean oil
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT **Urticaria**
(treatment of; methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Heart, disease
(arrhythmia, avoidance of; methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Pharmaceutical dosage forms

(capsules, methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Pharmaceutical dosage forms
(capsules, soft, methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Eye, disease
(diabetic retinopathy, methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Nose
(disease, **rhinitis**, allergic, methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Receptors
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(histaminic H1, descarboethoxyloratadine binding to; methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT Pharmaceutical dosage forms
(tablets, methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT 7631-86-9, Silicon dioxide, biological studies
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(colloidal; methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT 9035-51-2, Cytochrome p450, biological studies
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(inhibition of, avoidance of; methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT **100643-71-8P**, Descarboethoxyloratadine
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT 63-42-3 557-04-0, Magnesium stearate 9004-34-6, Cellulose, biological studies 9005-25-8, Starch, biological studies
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

IT 79794-75-5, Loratadine
RL: RCT (Reactant)
(methods and compns. for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine)

L7 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2002 ACS
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and their pharmaceutically and veterinarily acceptable acid addn. salts or hydrates are claimed [wherein A = N, CH, CR1; R1 = H,

alkyl, alkenyl, halo, cyano, CO2H, CHO, CF3, NO2, NH2, etc.; when A = N, ring may also bear 4-Me and/or 6-Me; R = H, alkyl, alkenyl, halo, alkoxy; R2 = H, alkyl, alkenyl, alkoxy, alkylthio, cyclopropyl, hydroxyalkyl, dialkylamino, dialkylaminoalkyl, CF3; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, phenylalkyl, etc.; R4 = H, alkyl, alkenyl, alkynyl, alkanoyl, alkoxycarbonyl, (un)substituted phenylalkyl, etc.; R5 = H, halo, alkyl, alkenyl, alkynyl, etc.; B = bond, (un)substituted hydrocarbon chain optionally contg. heteroatoms; D = (un)substituted 4-benzhydrylpiperazino, 4-(hydroxydiphenylmethyl)piperidino, 4-(diphenylmethylene)piperidino, etc.; with provisos]. The compds. are dual H1/PAF antagonists. Examples include 28 syntheses and 4 bioassays. For instance, N-methyl-N-[[4-[(2-methyl-1H-imidazo[4,5-c]pyrid-1-yl)methyl]phenyl]sulfonyl]-L-leucine was treated with EDC, N-methylmorpholine, and pentafluorophenol in CH2Cl2 to give the pentafluorophenyl ester, which reacted with 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidine in CH2Cl2 to give 42% title compd. II. In an assay for inhibition of [3H]-pyrilamine binding to histamine-1 receptors on Hela-S3 cells, II showed 79% specific binding at 1 .mu.M.

ACCESSION NUMBER: 1996:410405 CAPLUS
DOCUMENT NUMBER: 125:86638
TITLE: Imidazopyridine derivatives as dual histamine (H1) and platelet activating factor (PAF) antagonists.
INVENTOR(S): Miller, Andrew; Bowles, Stephen Arthur; Ayscough, Andrew Paul; Whittaker, Mark
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9605201	A1	19960222	WO 1995-GB1878	19950809	<--
W: AU, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9531863	A1	19960307	AU 1995-31863	19950809	<--
EP 775139	A1	19970528	EP 1995-927872	19950809	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
US 5753671	A	19980519	US 1997-776783	19970210	<--

PRIORITY APPLN. INFO.:
GB 1994-16143 19940810
GB 1995-5808 19950322
WO 1995-GB1878 19950809

OTHER SOURCE(S): MARPAT 125:86638

PI WO 9605201 A1 **19960222**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9605201	A1	19960222	WO 1995-GB1878	19950809	<--
W: AU, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9531863	A1	19960307	AU 1995-31863	19950809	<--
EP 775139	A1	19970528	EP 1995-927872	19950809	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
US 5753671	A	19980519	US 1997-776783	19970210	<--

IT Anaphylaxis
Dermatitis
Edema

Erythema
Hay fever
Pruritus
Psoriasis
Urticaria
(treatment; prepn. of imidazopyridine derivs. as dual antihistamines and PAF antagonists)

IT 96-32-2, Methyl bromoacetate 106-95-6, Allyl bromide, reactions 124-63-0, Methanesulfonyl chloride 303-26-4, 1-(4-Chlorobenzhydryl)piperazine 540-51-2, 2-Bromoethanol 590-17-0, Bromoacetonitrile 627-18-9 841-77-0, 1-Benzhydrylpiperazine 927-68-4, 2-Bromoethyl acetate 5292-43-3, tert-Butyl bromoacetate 5891-21-4, 5-Chloro-2-pentanone 20619-12-9 74124-79-1, N,N'-Disuccinimidyl carbonate 87848-99-5, Acrivastine **100643-71-8** 139133-25-8 139133-28-1 141834-28-8 151915-51-4 164726-80-1 178417-06-6 178417-18-0
RL: RCT (Reactant)
(starting material; prepn. of imidazopyridine derivs. as dual antihistamines and PAF antagonists)

L7 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2002 ACS

AB Nasal epithelial cells represent the first barrier against noxious agents and allergens. In allergic **rhinitis**, these cells are activated and histamine may be involved in this activation. Loratadine and one of its active metabolites, descarboethoxyloratadine, were studied for their ability to reduce the activation of nasal epithelial cells by histamine. Nasal turbinates or polyps were removed during surgery from 19 subjects, and nasal epithelial cells were recovered after enzymic digestion. The in vitro activation of epithelial cells with histamine using an optimal dose (1 .mu.M) and an optimal time (24 h) of incubation was studied, and the effect of loratadine or descarboethoxyloratadine (10 .mu.M) was investigated. The expression of membrane markers (intercellular adhesion mol.-1 (ICAM-1) and a human leukocyte class II antigen (HLA-DR)) was assessed by immunocytochem. anal. using an alk.-antialkaline phosphatase (APAAP) system. The spontaneous expression of both markers was not significantly different in cells recovered from nasal turbinates or polyps, and there was a highly significant increase in the nos. of cells expressing ICAM-1 and HLA-DR following incubation with histamine. Loratadine or descarboethoxyloratadine significantly blocked these effects. This study shows a new possible antiallergic effect of H1-blockers and suggests that their effects on epithelial cells may be relevant in vivo.

ACCESSION NUMBER: 1995:645494 CAPLUS
DOCUMENT NUMBER: 123:102264
TITLE: Inhibitory activity of loratadine and descarboethoxyloratadine on expression of ICAM-1 and HLA-DR by nasal epithelial cells
AUTHOR(S): Vignola, A. M.; Crampette, L.; Mondain, M.; Sauvere, G.; Czarlewski, W.; Bousquet, J.; Campbell, A. M.
CORPORATE SOURCE: Clinique des Maladies Respiratoires, Hopital Arnaud de Villeneuve, Montpellier, 34295, Fr.
SOURCE: Allergy (Copenhagen) (**1995**), 50(3), 200-3
CODEN: LLRGDY; ISSN: 0105-4538
DOCUMENT TYPE: Journal
LANGUAGE: English
SO Allergy (Copenhagen) (**1995**), 50(3), 200-3
CODEN: LLRGDY; ISSN: 0105-4538
AB Nasal epithelial cells represent the first barrier against noxious agents

and allergens. In allergic **rhinitis**, these cells are activated and histamine may be involved in this activation. Loratadine and one of its active metabolites, descarboethoxyloratadine, were studied for their ability to reduce the activation of nasal epithelial cells by histamine. Nasal turbinates or polyps were removed during surgery from 19 subjects, and nasal epithelial cells were recovered after enzymic digestion. The in vitro activation of epithelial cells with histamine using an optimal dose (1 .mu.M) and an optimal time (24 h) of incubation was studied, and the effect of loratadine or descarboethoxyloratadine (10 .mu.M) was investigated. The expression of membrane markers (intercellular adhesion mol.-1 (ICAM-1) and a human leukocyte class II antigen (HLA-DR)) was assessed by immunocytochem. anal. using an alk.-antialkaline phosphatase (APAAP) system. The spontaneous expression of both markers was not significantly different in cells recovered from nasal turbinates or polyps, and there was a highly significant increase in the nos. of cells expressing ICAM-1 and HLA-DR following incubation with histamine. Loratadine or descarboethoxyloratadine significantly blocked these effects. This study shows a new possible antiallergic effect of H1-blockers and suggests that their effects on epithelial cells may be relevant in vivo.

IT 79794-75-5, Loratadine **100643-71-8**, Descarboethoxyloratadine
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(loratadine and descarboethoxyloratadine inhibition of histamine activation of nasal epithelial cells in antiallergic action)

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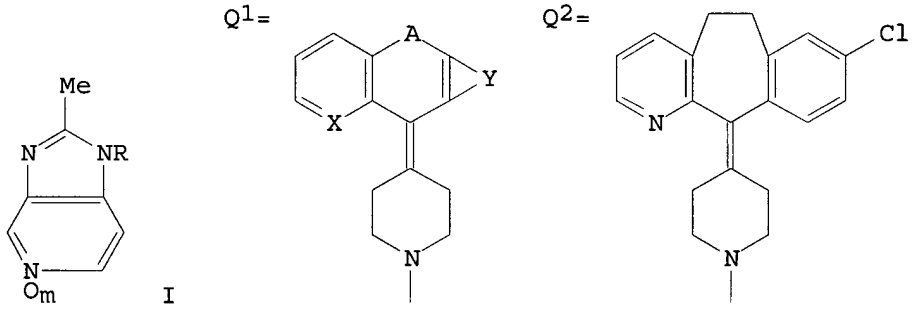

I

AB Title compds. [I; R = (CH2)nZBCOR1; B = bond, CH2, CHMe, CMe2; R1 = cycloalkylidenepiperidino group Q1; A = CH2CH2, CH:CH, CH(OH)CH2, COCH2; X = CH, N; Y = halo- or alkyl-substituted CH:CHCH:CH, SCR2:CH; R2 = H, halo, alkyl; Z = phenylenediyl, thienylenediyl; ZB = indanylenediyl; m = 0, 1; n = 0-2], histamine H, and PAF antagonists (no data), were prepd. Thus, I [R = C6H4(CN)-4, m = 0] was hydrolyzed to I [R = C6H4(COR)-4, m = 0] (II; R = OH) which was condensed with benzocycloheptapyridylidenepiperidine Q2H to give II (R = Q2).

ACCESSION NUMBER: 1993:22232 CAPLUS
DOCUMENT NUMBER: 118:22232
TITLE: Preparation of 4-benzocycloheptapynidylidene-1-(imidazopyridylbenzoyl)piperidines and analogs as antiallergics
INVENTOR(S): Alker, David; Bass, Robert John; Cooper, Kelvin

PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9214734	A1	19920903	WO 1992-EP163	19920124	<--
W: AU, BR, CA, FI, HU, JP, KR, NO, PL, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE					
CA 2099381	AA	19920814	CA 1992-2099381	19920124	<--
CA 2099381	C	19960709			
AU 9211683	A1	19920915	AU 1992-11683	19920124	<--
AU 650322	B2	19940616			
EP 572425	A1	19931208	EP 1992-902889	19920124	<--
EP 572425	B1	19940803			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
BR 9205615	A	19940517	BR 1992-5615	19920124	<--
JP 06504992	T2	19940609	JP 1992-503504	19920124	<--
JP 2506541	B2	19960612			
HU 65947	A2	19940829	HU 1993-2327	19920124	<--
ES 2059212	T3	19941101	ES 1992-902889	19920124	<--
PL 169304	B1	19960628	PL 1992-300296	19920124	<--
RU 2114845	C1	19980710	RU 1993-54165	19920124	<--
IL 100887	A1	19960119	IL 1992-100887	19920206	<--
ZA 9201005	A	19930812	ZA 1992-1005	19920212	<--
CZ 280504	B6	19960214	CZ 1992-425	19920212	<--
CN 1064275	A	19920909	CN 1992-100974	19920213	<--
CN 1040326	B	19981021			
US 5358953	A	19941025	US 1993-87736	19930712	<--
KR 9705302	B1	19970415	KR 1993-72352	19930807	<--
NO 9302889	A	19930813	NO 1993-2889	19930813	<--
FI 9703558	A	19970829	FI 1997-3558	19970829	<--

PRIORITY APPLN. INFO.:			
GB 1991-2997	A	19910213	
WO 1992-EP163	A	19920124	
FI 1993-3531	A	19930810	

OTHER SOURCE(S): MARPAT 118:22232

PI WO 9214734 A1 **19920903**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9214734	A1	19920903	WO 1992-EP163	19920124	<--
W: AU, BR, CA, FI, HU, JP, KR, NO, PL, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE					
CA 2099381	AA	19920814	CA 1992-2099381	19920124	<--
CA 2099381	C	19960709			
AU 9211683	A1	19920915	AU 1992-11683	19920124	<--
AU 650322	B2	19940616			
EP 572425	A1	19931208	EP 1992-902889	19920124	<--
EP 572425	B1	19940803			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
BR 9205615	A	19940517	BR 1992-5615	19920124	<--
JP 06504992	T2	19940609	JP 1992-503504	19920124	<--
JP 2506541	B2	19960612			
HU 65947	A2	19940829	HU 1993-2327	19920124	<--
ES 2059212	T3	19941101	ES 1992-902889	19920124	<--

PL 169304	B1	19960628	PL 1992-300296	19920124 <--
RU 2114845	C1	19980710	RU 1993-54165	19920124 <--
IL 100887	A1	19960119	IL 1992-100887	19920206 <--
ZA 9201005	A	19930812	ZA 1992-1005	19920212 <--
CZ 280504	B6	19960214	CZ 1992-425	19920212 <--
CN 1064275	A	19920909	CN 1992-100974	19920213 <--
CN 1040326	B	19981021		
US 5358953	A	19941025	US 1993-87736	19930712 <--
KR 9705302	B1	19970415	KR 1993-72352	19930807 <--
NO 9302889	A	19930813	NO 1993-2889	19930813 <--
FI 9703558	A	19970829	FI 1997-3558	19970829 <--

IT **Urticaria**
(treatment of, benzocycloheptapyridylidene (imidazopyridylbenzoyl)piperidines and analogs for)

IT **Dermatitis**
(**atopic**, treatment of, benzocycloheptapyridylidene (imidazopyridylbenzoyl)piperidines and analogs for)

IT Nose
(disease, **rhinitis**, allergic, treatment of, benzocycloheptapyridylidene-(imidazopyridiylbenzoyl)piperidines and analogs for)

IT 87-25-2, Ethyl-2-aminobenzoate 582-33-2, Ethyl-3-aminobenzoate 5438-70-0, Ethyl-4-aminophenylacetate 13091-23-1, 4-Chloro-3-nitropyridine 16689-02-4, 2-Cyano-5-nitrothiophene 26453-01-0 34580-20-6 38092-95-4 50603-12-8 **100643-71-8** 117796-49-3 117811-11-7 117811-20-8 119410-04-7 125477-75-0 127484-88-2 145079-06-7
RL: RCT (Reactant)
(reaction of, in prepn. of histamine H and PAF antagonists)

L7 ANSWER 22 OF 41 USPATFULL

AB The present invention provides methods of treatment of mental disorders comprising administering the anti-allergic medication loratadine or a metabolite thereof to reduce a patient's symptoms of a mental disorder.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	2000:146383 USPATFULL
TITLE:	Methods for the treatment of mental disorders
INVENTOR(S):	Binder, Gary, Westfield, NJ, United States Iezzoni, Domenic G., Ridgewood, NJ, United States Kreutner, William, West Paterson, NJ, United States Lash, Arnold, Branchburg, NJ, United States
PATENT ASSIGNEE(S):	Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6140337		20001031	<--
APPLICATION INFO.:	US 1999-378303		19990820	(9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-216098, filed on 18 Dec 1998, now abandoned			

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-68639	19971223 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Spivack, Phyllis G.

LEGAL REPRESENTATIVE: Wyatt, Donald W.
NUMBER OF CLAIMS: 34
EXEMPLARY CLAIM: 1
LINE COUNT: 752
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6140337 20001031 <--
SUMM Of those having mental disorders, a correlation between allergic reactions, and particularly **rhinitis**, to mental disorders, including depression, has been reported. There has as yet, however, been no report of a physiological connection. . .
DETD . . . to an altered reactivity in response to an antigen and manifesting as various diseases, including, but not limited to, allergic **rhinitis** (seasonal or perennial, due to pollen or other allergens), **asthma**, polyps of the nasal cavity, unspecified nasal polyps, pharyngitis, nasopharyngitis, sinusitis, upper respiratory tract hypersensitivity reaction, and other allergies. Examples of allergies include, but are not limited to, allergic **rhinitis** (seasonal or perennial) or other respiratory allergy, food allergies and atopic skin reactions. Such responses can be Type I that. . .
DETD Patients that suffer from clinical depression and allergic **rhinitis** are administered a non-sedating antihistamine (loratadine) or a low-sedating antihistamine (cetirizine) to relieve the symptoms of depression. Twelve treatment groups. . .
DETD In Group I, patients suffering from depression and subject to allergic **rhinitis**, but not currently suffering symptoms of allergic **rhinitis**, are administered loratadine to relieve the symptoms of depression. A significant number of patients experience a reduction of their symptoms. . .
DETD In Group III, patients known to have suffered from depression and subject to allergic **rhinitis**, but not currently experiencing symptoms of depression or allergic **rhinitis**, are administered loratadine indefinitely. Of these patients, a significant number of patients do not experience recurrence of symptoms of depression.. . .
DETD In Group IV, patients suffering from depression and subject to allergic **rhinitis**, but not currently suffering from allergic **rhinitis**, are administered cetirizine to relieve the symptoms of depression. A significant number of patients experience a reduction of their symptoms. . .
DETD In Group VI, patients known to have suffered from depression and subject to allergic **rhinitis**, but not currently experiencing symptoms of depression or allergic **rhinitis**, are administered cetirizine indefinitely. Of these patients, a significant number of patients do not experience recurrence of symptoms of depression.. . .
DETD In Group VII, patients suffering from depression and allergic **rhinitis** are administered loratadine to relieve the symptoms of depression. A significant number of patients experience a reduction of their symptoms. . .
DETD In Group IX, patients known to have suffered from depression and suffering from allergic **rhinitis**, but not currently experiencing symptoms of depression, are administered loratadine indefinitely. Of these patients, a significant number of patients do. . .
DETD In Group X, patients suffering from depression and allergic **rhinitis**, are administered cetirizine to relieve the symptoms of depression. A significant number of patients experience a reduction of their symptoms. . .
DETD In Group XI, patients known to have suffered from depression and suffering from allergic **rhinitis**, but not currently

experiencing symptoms of depression, are administered cetirizine indefinitely. Of these patients, a significant number of patients do. . .

IT 79794-75-5, Loratadine 79794-75-5D, Loratadine, metabolites
100643-71-8, Desloratadine
(loratadine or metabolite for treatment of mental disorder)

L7 ANSWER 23 OF 41 USPATFULL

AB An antihistaminic syrup is stabilized against degradation of the active ingredient, by the addition of and about 0.05 to about 5 mg/mL of an aminopolycarboxylic acid such as a salt of ethylenediaminetetraacetic acid.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2000:137848 USPATFULL
TITLE: Stabilized antihistamine syrup
INVENTOR(S): Munayyer, Farah J., West Caldwell, NJ, United States
Guazzo, Frank, Bridgewater, NJ, United States
Stupak, Elliot I., West Caldwell, NJ, United States
Chaudry, Imtiaz A., North Caldwell, NJ, United States
Sequeira, Joel A., Edison, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6132758		20001017	<--
APPLICATION INFO.:	US 1998-88128		19980601	(9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Raymond, Richard L.
LEGAL REPRESENTATIVE: Franks, Robert A., Hadad, Henry S., Hoffman, Thomas D.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 374

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6132758 20001017 <--

SUMM . . . sympathomimetic amine decongestants, such as pseudoephedrine or phenylpropanolamine (for relief of the upper airway congestion often accompanying disorders such as **rhinitis** and upper respiratory infections), and analgesics, such as aspirin, acetaminophen, ibuprofen, naproxen or ketoprofen (for relief of pain and, except. . .

IT 3964-81-6, Azatadine 79794-75-5, Loratadine **100643-71-8**, Descarboethoxyloratadine
(stabilized antihistamine syrup contg. loratadine)

L7 ANSWER 24 OF 41 USPATFULL

AB Stable pharmaceutical compositions containing 8-chloro-6,11-dihydro-11-(4-piperidylidene)-5H-benzo[5,6]cycloheptic[1,2-b]pyridine("DCL") and a DCL protective amount of a pharmaceutically acceptable basic salt such as calcium dibasic phosphate and an amount of at least one disintegrant, preferably two disintegrates such as microcrystalline cellulose and starch sufficient to provide dissolution of at least about 80% by weight of the pharmaceutical composition in about 45 minutes and suitable for oral administration to treat allergic reactions in mammals such as man are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2000:102307 USPATFULL
TITLE: 8-chloro-6,11-dihydro-11-
](4-piperidylidine)-5H-benzo[5,6]cyclohepta[1,2-
bpyridine oral compositions
INVENTOR(S): Kou, Jim H., Basking Ridge, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States
(U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6100274		20000808	<--
APPLICATION INFO.:	US 1999-348943		19990707	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-92291	19980710 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Henley, III, Raymond
LEGAL REPRESENTATIVE: Hoffman, Thomas D.
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 810
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6100274 20000808 <--
SUMM U.S. Pat. No. 5,595,997 discloses pharmaceutical compositions and methods for treating allergic **rhinitis** using descarbonylethoxyloratadine. Co-pending, commonly-owned U.S. patent application Ser. No. 08/886,766, filed Jul. 2, 1997 discloses polymorphs of descarbonyl-ethoxyloratadine and pharmaceutical. . .
IT **100643-71-8**
(stable oral pharmaceuticals contg. descarboethoxyloratadine and basic salts for treatment of allergies)

L7 ANSWER 25 OF 41 USPATFULL
AB The invention relates to methods of utilizing descarboethoxyloratadine ("DCL") for the treatment of dermatitis. The invention also encompasses the topical administration of descarboethoxyloratadine using various dosage forms for the treatment of dermatitis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 2000:50713 USPATFULL
TITLE: Methods for treating dermatitis using descarboethoxyloratadine
INVENTOR(S): Handley, Dean A., Westborough, MA, United States
Rubin, Paul D., Sudbury, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6054463		20000425	<--
APPLICATION INFO.:	US 1999-271269		19990317	(9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1998-110367, filed on 6 Jul 1998, now patented, Pat. No. US 5962464 which is a continuation of Ser. No. US 1997-799605, filed on 11 Feb 1997, now patented, Pat. No. US 5900421
DOCUMENT TYPE: Utility

09/760,588

FILE SEGMENT: Granted
PRIMARY EXAMINER: Jordan, Kimberly
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 879
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6054463 20000425 <--
SUMM Loratadine's efficacy in treating seasonal allergic **rhinitis** is comparable to that of terfenadine. Quercia et al., Hosp. Formul. 28: 137, 141 (1993). Loratadine also has a more. . .
SUMM Clissold et al., Drugs 37: 42, 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial **rhinitis**, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic **asthma**. Temple et al. Prostaglandins 35: 549-554 (1988).
SUMM In one aspect, this invention provides, a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .
SUMM The invention also provides a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .
SUMM This invention is also directed to a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .
SUMM Additionally, this invention provides for a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .
SUMM The present invention encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . . and a pharmaceutically acceptable carrier. DCL and a decongestant may also be administered separately in the method of treating allergic **asthma**. For example, DCL and a decongestant may be administered concurrently or sequentially, i.e., DCL and a decongestant may be administered. . .
SUMM Thus, the present invention also encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .
SUMM The present invention also relates to a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . . acceptable carrier. The administration of DCL and a leukotriene inhibitor in the methods of the present invention for treating allergic **asthma** may be either concurrently or sequentially, i.e., DCL and a leukotriene inhibitor may be administered as a combination, concurrently but. . .
SUMM Thus, the present invention encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .
SUMM . . . of the present invention as described above are particularly

useful in the treatment of allergic disorders such as dermatitis and **asthma** in a human having a higher than normal propensity for or incidence of cancer and/or while avoiding interaction with a. . .

SUMM . . . antihistaminic activity and provide therapy and a reduction of symptoms for a variety of conditions and disorders related to allergic **rhinitis** and other allergic disorders, diabetes mellitus and other conditions; however, such drugs, while offering the expectation of efficacy, cause adverse. . .

SUMM . . . means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic disorders such as **urticaria**, allergic **rhinitis**, symptomatic dermographism, dermatitis, allergic **asthma**, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms associated with allergic **rhinitis** such as cough, cold, cold-like, and/or flu symptoms including, but not limited to, sneezing, rhinorrhea, lacrimation, and dermal irritation.

SUMM The term "allergic **asthma**" is defined as a disorder characterized by increased responsiveness of the trachea and bronchi to various stimuli which results in. . .

SUMM . . . that disorder caused by inflammation to the skin including endogenous and contact dermatitis such as, but not limited to: actinic **dermatitis** (or photodermatitis), **atopic dermatitis**, chemical **dermatitis**, cosmetic dermatitis, dermatitis aestivalis, and seborrheic dermatitis.

CLM What is claimed is:
5. The method of claim 1 wherein the **dermatitis** is **atopic dermatitis**.

IT **100643-71-8**, Descarboethoxyloratadine
(treatment of allergic asthma and other disorders with descarboethoxyloratadine)

L7 ANSWER 26 OF 41 USPATFULL

AB Disclosed are novel phenyl-alkyl-imidazoles of the formula ##STR1## or pharmaceutically acceptable salts or solvates thereof, wherein A and R, are as defined in the specification.

Also disclosed are methods of treating allergy, inflammation, hypotension, glaucoma, sleeping disorders, states of hyper and hypo motility of the gastrointestinal tract, hypo and hyperactivity of the central nervous system, Alzheimer's, schizophrenia, obesity and migraines, comprising administering an effective amount of a compound of formula I (or a salt or solvate thereof) to a patient in need of such treatment.

Also disclosed are methods for treatment of upper airway allergic responses comprising administering a compound, or salt or solvate thereof, of formula I in combination or admixture with a histamine H.sub.1 receptor antagonist.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 1999:151250 USPATFULL
TITLE: H.sub.3 receptor ligands of the phenyl-alkyl-imidazoles type
INVENTOR(S): Aslanian, Robert G., Rockaway, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5990147		19991123	<--
APPLICATION INFO.:	US 1998-186492		19981105	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-64885	19971107 (60)
	US 1998-95357	19980805 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Powers, Fiona T.
LEGAL REPRESENTATIVE: Jeanette, Henry C.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 704
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5990147 19991123 <--
SUMM . . . and U.S. application Ser. No. 08/909,319 filed Aug. 14, 1997 disclose compositions for the treatment of the symptoms of allergic **rhinitis** using a combination of at least one histamine H.sub.1 receptor antagonist and at least one histamine H.sub.3 receptor antagonist.
SUMM Further features of the invention are methods for treating allergy, (for example **asthma**), inflammation, cardiovascular disease, hypotension, raised intraocular pressure (such as glaucoma)--i.e., a method of lowering intraocular pressure, sleeping disorders (e.g., hypersomnia,. . .
IT 58-73-1, Diphenhydramine 86-22-6, Brompheniramine 113-92-8, Chlorpheniramine maleate 562-10-7, Doxylamine succinate 3964-81-6, Azatadine 15686-51-8, Clemastine 50679-08-8, Terfenadine 58581-89-8, Azelastine 68844-77-9, Astemizole 75970-99-9, Norastemizole 79516-68-0, Levocabastine 79794-75-5, Loratidine 83799-24-0, Fexofenadine 83881-51-0 90729-42-3, Carebastine 90729-43-4, Ebastine **100643-71-8**, Descarboethoxyloratadine 108612-45-9
(combination therapy for treatment of upper airway allergic response; prepn. of benzylimidazoles as H3 receptor ligands)

L7 ANSWER 27 OF 41 USPATFULL
AB Methods utilizing descarboethoxyloratadine ("DCL"), for the treatment of allergic disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. Also included are methods for the treatment of allergic **asthma** using DCL and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. The invention also encompasses the administration of DCL in a nasal or oral spray.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 1999:121366 USPATFULL
TITLE: Methods and compositions for treating allergic **asthma** using descarboethoxyloratadine
INVENTOR(S): Handley, Dean A., Westborough, MA, United States
Rubin, Paul D., Sudbury, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5962464		19991005	<--
APPLICATION INFO.:	US 1998-110367		19980706 (9)	

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-799605, filed on 11 Feb 1997
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Jordan, Kimberly
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 887
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TI Methods and compositions for treating allergic **asthma** using descarboethoxyloratadine

PI US 5962464 19991005 <--

AB . . . the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. Also included are methods for the treatment of allergic **asthma** using DCL and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects associated with. . .

SUMM Loratadine's efficacy in treating seasonal allergic **rhinitis** is comparable to that of terfenadine. Quercia et al., Hosp. Formul. 28: 137, 141 (1993). Loratadine also has a more. . .

SUMM Clissold et al., Drugs 37: 42, 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial **rhinitis**, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic **asthma**. Temple et al. Prostaglandins 35: 549-554 (1988).

SUMM In one aspect, this invention provides, a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM The invention also provides a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM This invention is also directed to a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM Additionally, this invention provides for a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM The present invention encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . . and a pharmaceutically acceptable carrier. DCL and a decongestant may also be administered separately in the method of treating allergic **asthma**. For example, DCL and a decongestant may be administered concurrently or sequentially, i.e., DCL and a decongestant may be administered. . .

SUMM Thus, the present invention also encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of

non-sedating antihistamines, comprising administering. . .

SUMM The present invention also relates to a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . . acceptable carrier. The administration of DCL and a leukotriene inhibitor in the methods of the present invention for treating allergic **asthma** may be either concurrently or sequentially, i.e., DCL and a leukotriene inhibitor may be administered as a combination, concurrently but. . .

SUMM Thus, the present invention encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM . . . of the present invention as described above are particularly useful in the treatment of allergic disorders such as dermatitis and **asthma** in a human having a higher than normal propensity for or incidence of cancer and/or while avoiding interaction with a. . .

SUMM . . . antihistaminic activity and provide therapy and a reduction of symptoms for a variety of conditions and disorders related to allergic **rhinitis** and other allergic disorders, diabetes mellitus and other conditions; however, such drugs, while offering the expectation of efficacy, cause adverse. . .

SUMM . . . means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic disorders such as **urticaria**, allergic **rhinitis**, symptomatic dermographism, dermatitis, allergic **asthma**, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms associated with allergic **rhinitis** such as cough, cold, cold-like, and/or flu symptoms including, but not limited to, sneezing, rhinorrhea, lacrimation, and dermal irritation.

SUMM The term "allergic **asthma**" is defined as a disorder characterized by increased responsiveness of the trachea and bronchi to various stimuli which results in. . .

SUMM . . . that disorder caused by inflammation to the skin including endogenous and contact dermatitis such as, but not limited to: actinic **dermatitis** (or photodermatitis), **atopic dermatitis**, chemical **dermatitis**, cosmetic dermatitis, dermatitis aestivalis, and seborrheic dermatitis.

CLM What is claimed is:

1. A method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

8. A method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

IT **100643-71-8**, Descarboethoxyloratadine
(treatment of allergic asthma and other disorders with descarboethoxyloratadine)

L7 ANSWER 28 OF 41 USPATFULL

AB Methods for treating urinary incontinence comprising administering a therapeutically effective amount of descarboethoxyloratadine, or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 1999:96377 USPATFULL

09/760,588

TITLE: Methods for treating urinary incontinence using descarboethoxyloratadine
INVENTOR(S): McCullough, John R., Worcester, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5939426		19990817	<--
APPLICATION INFO.:	US 1997-808116		19970228	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Moezie, Minna
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1145
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5939426 19990817 <--
DETD . . . status such as tachycardia and cardiac arrhythmia, increased ocular pressure, nausea, constipation, decreased sweating, impotence, and/or dermal manifestations such as **urticaria**.
IT **100643-71-8P**, Descarboethoxyloratadine
(descarboethoxyloratadine for treatment of urinary incontinence, motion sickness, and vertigo)

L7 ANSWER 29 OF 41 USPATFULL
AB Methods utilizing descarboethoxyloratadine ("DCL"), for the treatment of allergic disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. Also included are methods for the treatment of allergic **asthma** using DCL and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. The invention also encompasses the administration of DCL in a nasal or oral spray.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 1999:53632 USPATFULL
TITLE: Methods and compositions for treating allergic **asthma** and dermatitis using descarboethoxyloratadine
INVENTOR(S): Handley, Dean A., Westborough, MA, United States
Rubin, Paul D., Sudbury, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5900421		19990504	<--
APPLICATION INFO.:	US 1997-799605		19970211	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Jordan, Kimberly
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 846

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TI Methods and compositions for treating allergic **asthma** and dermatitis using descarboethoxyloratadine

PI US 5900421 19990504 <--

AB . . . the concomitant liability of adverse side-effects associated with other non-sedating antihistamines. Also included are methods for the treatment of allergic **asthma** using DCL and either a decongestant or a leukotriene inhibitor, while avoiding the concomitant liability of adverse side-effects associated with. . .

SUMM Loratadine's efficacy in treating seasonal allergic **rhinitis** is comparable to that of terfenadine. Quercia et al., Hosp. Formul. 28: 137, 141 (1993). Loratadine also has a more. . .

SUMM Clissold et al., Drugs 37: 42, 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial **rhinitis**, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic **asthma**. Temple et al. Prostaglandins 35: 549-554 (1988).

SUMM In one aspect, this invention provides, a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM The invention also provides a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM This invention is also directed to a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM Additionally, this invention provides for a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM The present invention encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . . and a pharmaceutically acceptable carrier. DCL and a decongestant may also be administered separately in the method of treating allergic **asthma**. For example, DCL and a decongestant may be administered concurrently or sequentially, i.e., DCL and a decongestant may be administered. . .

SUMM Thus, the present invention also encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM The present invention also relates to a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . . acceptable carrier. The administration of DCL and a leukotriene inhibitor in the methods of the present invention for treating allergic **asthma** may be either concurrently or sequentially, i.e., DCL and a leukotriene inhibitor may be administered as a combination, concurrently but. . .

SUMM Thus, the present invention encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM . . . of the present invention as described above are particularly useful in the treatment of allergic disorders such as dermatitis and **asthma** in a human having a higher than normal propensity for or incidence of cancer and/or while avoiding interaction with a. . .

SUMM . . . antihistaminic activity and provide therapy and a reduction of symptoms for a variety of conditions and disorders related to allergic **rhinitis** and other allergic disorders, diabetes mellitus and other conditions; however, such drugs, while offering the expectation of efficacy, cause adverse. . .

SUMM . . . means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic disorders such as **urticaria**, allergic **rhinitis**, symptomatic dermographism, dermatitis, allergic **asthma**, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms associated with allergic **rhinitis** such as cough, cold, cold-like, and/or flu symptoms including, but not limited to, sneezing, rhinorrhea, lacrimation, and dermal irritation.

SUMM The term "allergic **asthma**" is defined as a disorder characterized by increased responsiveness of the trachea and bronchi to various stimuli which results in. . .

SUMM . . . that disorder caused by inflammation to the skin including endogenous and contact dermatitis such as, but not limited to: actinic **dermatitis** (or photodermatitis), **atopic dermatitis**, chemical **dermatitis**, cosmetic dermatitis, dermatitis aestivalis, and seborrheic dermatitis.

CLM What is claimed is:

1. A method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

9. A method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

IT **100643-71-8**, Descarboethoxyloratadine
(treatment of allergic asthma and other disorders with descarboethoxyloratadine)

L7 ANSWER 30 OF 41 USPATFULL

AB Described herein are compounds of formula (II) ##STR1## pharmaceutical or veterinary compositions thereof, and methods of treating diseases or conditions mediated by histamine and/or PAF in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 1998:54914 USPATFULL

TITLE: Imidazopyridine derivatives as dual histamine (H.sub.1) and platelet activating factor (PAF) antagonists

INVENTOR(S): Miller, Andrew, Oxford, United Kingdom
Bowles, Stephen Arthur, Oxford, United Kingdom
Ayscough, Andrew Paul, Oxford, United Kingdom
Whittaker, Mark, Oxford, United Kingdom

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, England (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5753671		19980519	<--
	WO 9605201		19960222	<--

APPLICATION INFO.:	US 1997-776783	19970210	(8)
	WO 1995-GB1878	19950809	
		19970210	PCT 371 date
		19970210	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1994-16143	19940810
	GB 1995-5808	19950322

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Richter, Johann
ASSISTANT EXAMINER: Stockton, Laura L.
LEGAL REPRESENTATIVE: Banner & Witcoff, Ltd.
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 2488
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5753671 19980519 <--
WO 9605201 19960222 <--

SUMM . . . antagonists of various structural types are known, and are useful in treating the symptoms of inflammatory conditions such as allergic **rhinitis**, and allergic conditions of the skin, which are mediated at least in part by the release of histamine. However, in. . .

SUMM . . . and PAF antagonistic activity for the improved treatment of conditions mediated by histamine and PAF release. Such conditions include allergic **rhinitis**, sinusitis, **asthma**, dermatitis, psoriasis, **urticaria**, anaphylactic shock, conjunctivitis, pruritis, inflammatory bowel disease and colitis.

SUMM . . . PAF, but which probably include contributions from both agents, include hypotension, thrombocytopenia, bronchoconstriction, circulatory shock, increased vascular permeability (oedema/erythema), allergic **rhinitis**, sinusitis, **asthma**, dermatitis, psoriasis, **urticaria**, anaphylactic shock, conjunctivitis, pruritis, inflammatory bowel disease and colitis.

CLM What is claimed is:
. . . as claimed in claim 17, wherein the disease or condition is hypotension, thrombocytopenia, bronchoconstriction, circulatory shock, increased vascular permeability, allergic **rhinitis**, sinusitis, **asthma**, dermatitis, psoriasis, **urticaria**, anaphylactic shock, conjunctivitis, pruritis, inflammatory bowel disease and colitis.

IT 96-32-2, Methyl bromoacetate 106-95-6, Allyl bromide, reactions 124-63-0, Methanesulfonyl chloride 303-26-4, 1-(4-Chlorobenzhydryl)piperazine 540-51-2, 2-Bromoethanol 590-17-0, Bromoacetonitrile 627-18-9 841-77-0, 1-Benzhydrylpiperazine 927-68-4, 2-Bromoethyl acetate 5292-43-3, tert-Butyl bromoacetate 5891-21-4, 5-Chloro-2-pentanone 20619-12-9 74124-79-1, N,N'-Disuccinimidyl carbonate 87848-99-5, Acrivastine **100643-71-8** 139133-25-8 139133-28-1 141834-28-8 151915-51-4 164726-80-1 178417-06-6 178417-18-0
(starting material; prepn. of imidazopyridine derivs. as dual antihistamines and PAF antagonists)

L7 ANSWER 31 OF 41 USPATFULL
AB Methods are disclosed utilizing DCL, a metabolic derivative of loratadine, for the treatment of allergic **rhinitis**, and other

disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	1998:31026 USPATFULL
TITLE:	Methods for treating disorders using descarboethoxyloratadine
INVENTOR(S):	Aberg, A. K. Gunnar, Westborough, MA, United States McCullough, John R., Worcester, MA, United States Smith, Emil R., Shrewsbury, MA, United States
PATENT ASSIGNEE(S):	Sepracor Inc., Marlborough, MA, United States (U.S. corporation) University of Massachusetts, Boston, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5731319		19980324	<--
APPLICATION INFO.:	US 1997-783393		19970113 (8)	
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-366651, filed on 30 Dec 1994, now patented, Pat. No. US 5595997, issued on 21 Jan 1997			
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Criares, Theodore J.			
LEGAL REPRESENTATIVE:	Pennie & Edmonds LLP			
NUMBER OF CLAIMS:	7			
EXEMPLARY CLAIM:	1			
LINE COUNT:	972			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5731319 19980324 <--

AB Methods are disclosed utilizing DCL, a metabolic derivative of loratadine, for the treatment of allergic **rhinitis**, and other disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines.

SUMM Loratadine's efficacy in treating seasonal allergic **rhinitis** is comparable to that of terfenadine. Quercia et al., Hosp. Formul. 28: 137, 141 (1993). Loratadine also has a more. . .

SUMM Clissold et al., Drugs 37: 42, 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial **rhinitis**, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic **asthma**. Temple et al. Prostaglandins 35:549-554 (1988).

SUMM It has now been discovered that DCL is an effective, non-sedating antihistamine which is useful in treating allergic **rhinitis** in a human, while avoiding adverse side-effects normally associated with the administration of other compounds within the class of non-sedating. . .

SUMM Furthermore, DCL is useful for treating allergic **rhinitis** while avoiding tumor promotion associated with loratadine and other non-sedating antihistamines. Thus, this invention also relates to novel methods of treating allergic **rhinitis** in a human having a higher than normal propensity for or incidence of cancer.

SUMM Furthermore, it has now also been discovered that DCL, is useful in treating allergic **asthma** in a human, while avoiding the adverse side-effects associated with the administration of other non-sedating antihistamines. As stated above, examples. . . gain,

tumor promotion, cardiac arrhythmias, and cardiac conduction disturbances. Thus, this invention also relates to novel methods of treating allergic **asthma** in a human having a higher than normal propensity for or incidence of cancer.

SUMM . . . including but not limited to ketoconazole, itraconazole, erythromycin, and others known by those skilled in the art, while treating allergic **rhinitis**, allergic **asthma**, diabetic retinopathy and other small vessel disorders due to diabetes.

SUMM . . . DCL is useful in treating other allergic disorders related to its activity as an antihistamine, including but not limited to, **urticaria** and symptomatic dermographism, in a human, while avoiding the adverse side-effects associated with the administration of other non-sedating antihistamines and/or. . . other non-sedating antihistamines. Thus, this invention also relates to novel methods of treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism in a human having a higher than normal propensity for or incidence of cancer. The present invention. . . and erythromycin, and others known by those skilled in the art, while treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism wherein said human is administered DCL.

SUMM The present invention encompasses a method of treating allergic **rhinitis** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, which comprises. . .

SUMM The present invention further encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, which comprises. . .

SUMM . . . seven times less active in tumor promotion than loratadine. Thus, the present invention further encompasses a method of treating allergic **rhinitis** in a human while avoiding the concomitant liability of tumor promotion associated with the administration of loratadine and other non-sedating. . .

SUMM A further aspect of the present invention includes a method of treating allergic **asthma** in a human while avoiding the concomitant liability of tumor promotion associated with the administration of loratadine and other non-sedating. . .

SUMM . . . much less active than loratadine at promoting tumors, a further aspect of this invention is a method of treating allergic **rhinitis** in a human wherein said human has a higher than normal propensity for or incidence of cancer, which comprises administering. . .

SUMM The present invention further encompasses a method of treating allergic **asthma** in a human wherein said human has a higher than normal propensity for or incidence of cancer, which comprises administering. . .

SUMM . . . including but not limited to ketoconazole, itraconazole, erythromycin and others known by those skilled in the art, while treating allergic **rhinitis** in a human, wherein said human is administered DCL or a pharmaceutically acceptable salt thereof.

SUMM . . . including but not limited to ketoconazole, itraconazole, erythromycin and others known by those skilled in the art, while treating allergic **asthma** in a human, wherein said human is administered DCL or a pharmaceutically acceptable salt thereof.

SUMM A further aspect of this invention includes a method of treating **urticaria** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating

antihistamines, comprising administering. . .

SUMM . . . antihistaminic activity and provide therapy and a reduction of symptoms for a variety of conditions and disorders related to allergic **rhinitis** and other allergic disorders, diabetes mellitus and other conditions; however, such drugs, while offering the expectation of efficacy, causes adverse. . .

SUMM . . . "therapeutically effective amount" means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic **rhinitis** and other allergic disorders such as **urticaria**, symptomatic dermographism, allergic **asthma**, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms associated with allergic **rhinitis** such as cough, cold, cold-like, and/or flu symptoms including, but not limited to, sneezing, rhinorrhea, lacrimation, and dermal irritation.

SUMM The term "allergic **asthma**" is defined as a disorder characterized by increased responsiveness of the trachea and bronchi to various stimuli which results in. . .

CLM What is claimed is:
1. A method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

IT **100643-71-8P**, Descarboethoxyloratadine
(methods and compns. for treating allergic rhinitis and other disorders using descarboethoxyloratadine)

L7 ANSWER 32 OF 41 USPATFULL

AB Derivatives of benzo[5,6]cyclohepta pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	97:81275 USPATFULL
TITLE:	Benzo[5,6]cycloheptapyridines, compositions and methods of use
INVENTOR(S):	Piwinski, John J., Parsippany, NJ, United States Ganguly, Ashit K., Upper Montclair, NJ, United States Green, Michael J., Skillman, NJ, United States Wong, Jesse, Union, NJ, United States
PATENT ASSIGNEE(S):	Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5665726		19970909	<--
APPLICATION INFO.:	US 1995-433300		19950503 (8)	
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1992-950986, filed on 23 Sep 1992, now patented, Pat. No. US 5438062 which is a continuation of Ser. No. US 1992-816777, filed on 2 Jan 1992, now abandoned which is a division of Ser. No. US 1989-345605, filed on 1 May 1989, now patented, Pat. No. US 5089496 which is a continuation-in-part of Ser. No. US 1988-181860, filed on 15 Apr 1988, now abandoned which is a continuation-in-part of Ser. No. US 1986-925342, filed on 31 Oct 1986, now patented, Pat. No. US 4826853			
DOCUMENT TYPE:	Utility			

FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
LEGAL REPRESENTATIVE: Jeanette, Henry C.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
LINE COUNT: 2553
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5665726 19970909 <--
SUMM . . . invention are, therefore, useful when PAF is a factor in the disease or disorder. This includes allergic diseases such as **asthma**, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .
IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P
38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P
100643-71-8P 107256-21-3P 107256-31-5P 107285-30-3P
111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)

L7 ANSWER 33 OF 41 USPATFULL
AB Methods are disclosed utilizing DCL, a metabolic derivative of loratadine, for the treatment of allergic **rhinitis**, and other disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ACCESSION NUMBER: 97:5976 USPATFULL
TITLE: Methods and compositions for treating allergic **rhinitis** and other disorders using descarboethoxyloratadine
INVENTOR(S): Aberg, A. K. Gunnar, Westborough, MA, United States
McCullough, John R., Worcester, MA, United States
Smith, Emil R., Shrewsbury, MA, United States
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5595997		19970121	<--
APPLICATION INFO.:	US 1994-366651		19941230	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Criares, Theodore J.
LEGAL REPRESENTATIVE: Pennie & Edmonds
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 950
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI Methods and compositions for treating allergic **rhinitis** and

other disorders using descarboethoxyloratadine
PI US 5595997 19970121 <--
AB Methods are disclosed utilizing DCL, a metabolic derivative of loratadine, for the treatment of allergic **rhinitis**, and other disorders, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines.
SUMM Loratadine's efficacy in treating seasonal allergic **rhinitis** is comparable to that of terfenadine. Quercia et al., Hosp. Formul. 28: 137, 141 (1993). Loratadine also has a more. . .
SUMM Clissold et al., Drugs 37: 42, 50-54 (1989) describes studies showing loratadine as effective for use in seasonal and perennial **rhinitis**, colds (with pseudoephedrine), and chronic **urticaria**. It has also been suggested that loratadine would be useful for the treatment of allergic **asthma**. Temple et al. Prostaglandins 35:549-554 (1988).
SUMM It has now been discovered that DCL is an effective, non-sedating antihistamine which is useful in treating allergic **rhinitis** in a human, while avoiding adverse side-effects normally associated with the administration of other compounds within the class of non-sedating. . .
SUMM Furthermore, DCL is useful for treating allergic **rhinitis** while avoiding tumor promotion associated with loratadine and other non-sedating antihistamines. Thus, this invention also relates to novel methods of treating allergic **rhinitis** in a human having a higher than normal propensity for or incidence of cancer.
SUMM Furthermore, it has now also been discovered that DCL, is useful in treating allergic **asthma** in a human, while avoiding the adverse side-effects associated with the administration of other non-sedating antihistamines. As stated above, examples. . . gain, tumor promotion, cardiac arrhythmias, and cardiac conduction disturbances. Thus, this invention also relates to novel methods of treating allergic **asthma** in a human having a higher than normal propensity for or incidence of cancer.
SUMM . . . including but not limited to ketoconazole, itraconazole, erythromycin, and others known by those skilled in the art, while treating allergic **rhinitis**, allergic **asthma**, diabetic retinopathy and other small vessel disorders due to diabetes.
SUMM . . . DCL is useful in treating other allergic disorders related to its activity as an antihistamine, including but not limited to, **urticaria** and symptomatic dermographism, in a human, while avoiding the adverse side-effects associated with the administration of other non-sedating antihistamines and/or. . . other non-sedating antihistamines. Thus, this invention also relates to novel methods of treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism in a human having a higher than normal propensity for or incidence of cancer. The present invention. . . and erythromycin, and others known by those skilled in the art, while treating allergic disorders, including but not limited to, **urticaria** and symptomatic dermographism wherein said human is administered DCL.
SUMM The present invention encompasses a method of treating allergic **rhinitis** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, which comprises. . .
SUMM The present invention further encompasses a method of treating allergic **asthma** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, which comprises. . .

SUMM . . . seven times less active in tumor promotion than loratadine. Thus, the present invention further encompasses a method of treating allergic **rhinitis** in a human while avoiding the concomitant liability of tumor promotion associated with the administration of loratadine and other non-sedating. . .

SUMM A further aspect of the present invention includes a method of treating allergic **asthma** in a human while avoiding the concomitant liability of tumor promotion associated with the administration of loratadine and other non-sedating. . .

SUMM . . . much less active than loratadine at promoting tumors, a further aspect of this invention is a method of treating allergic **rhinitis** in a human wherein said human has a higher than normal propensity for or incidence of cancer, which comprises administering. . .

SUMM The present invention further encompasses a method of treating allergic **asthma** in a human wherein said human has a higher than normal propensity for or incidence of cancer, which comprises administering. . .

SUMM . . . including but not limited to ketoconazole, itraconazole, erythromycin and others known by those skilled in the art, while treating allergic **rhinitis** in a human, wherein said human is administered DCL or a pharmaceutically acceptable salt thereof.

SUMM . . . including but not limited to ketoconazole, itraconazole, erythromycin and others known by those skilled in the art, while treating allergic **asthma** in a human, wherein said human is administered DCL or a pharmaceutically acceptable salt thereof.

SUMM A further aspect of this invention includes a method of treating **urticaria** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

SUMM . . . antihistaminic activity and provide therapy and a reduction of symptoms for a variety of conditions and disorders related to allergic **rhinitis** and other allergic disorders, diabetes mellitus and other conditions; however, such drugs, while offering the expectation of efficacy, causes adverse. . .

SUMM . . . "therapeutically effective amount" means that amount of DCL which provides a therapeutic benefit in the treatment or management of allergic **rhinitis** and other allergic disorders such as **urticaria**, symptomatic dermographism, allergic **asthma**, retinopathy or other small vessel disorders associated with diabetes mellitus, and the symptoms associated with allergic **rhinitis** such as cough, cold, cold-like, and/or flu symptoms including, but not limited to, sneezing, rhinorrhea, lacrimation, and dermal irritation.

SUMM The term "allergic **asthma**" is defined as a disorder characterized by increased responsiveness of the trachea and bronchi to various stimuli which results in. . .

CLM What is claimed is:
1. A method of treating allergic **rhinitis** in a human while avoiding the concomitant liability of adverse side-effects associated with the administration of non-sedating antihistamines, comprising administering. . .

IT **100643-71-8P**, Descarboethoxyloratadine
(methods and compns. for treating allergic rhinitis and other disorders using descarboethoxyloratadine)

L7 ANSWER 34 OF 41 USPATFULL

AB The present invention relates to 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine, to a process for its preparation and to pharmaceutical compositions containing it. This compound is a dual PAF antagonist and antihistamine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	95:112541 USPATFULL
TITLE:	Treatment of PAF and histamine-mediated diseases with 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine
INVENTOR(S):	Carceller, Elena, Barcelona, Spain Recasens, Nuria, Barcelona, Spain Almansa, Carmen, Barcelona, Spain Bartroli, Javier, Barcelona, Spain Merlos, Manel, Barcelona, Spain Giral, Marta, Barcelona, Spain Garcia-Rafanell, Julian, Barcelona, Spain Forn, Javier, Barcelona, Spain
PATENT ASSIGNEE(S):	J. Uriach & Cia. S.A., Barcelona, Spain (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5476856		19951219	<--
APPLICATION INFO.:	US 1995-391702		19950221 (8)	
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-61720, filed on 17 May 1993, now patented, Pat. No. US 5407941			

	NUMBER	DATE
PRIORITY INFORMATION:	ES 1992-1054	19920522

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Wu, Shean
LEGAL REPRESENTATIVE:	Rothwell, Figg, Ernst & Kurz
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
LINE COUNT:	702

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5476856 19951219 <--

SUMM . . . gastrointestinal tract diseases where PAF is involved (e.g. gastric ulcer, inflammatory bowel disease); diseases related to allergy and inflammation (e.g. **asthma**, dermatitis, **urticaria**, arthritis, psoriasis); pneumonia; rejection due to increased PAF production after implantations of organs; and postoperative organodysfunction (e.g. in heart, liver. . . potent antihistamine, compound 4 is useful as preventive and therapeutic drug for the treatment of diseases such as allergy (e.g. **rhinitis**, conjunctivitis, pruritus, **urticaria**, dermatitis), **asthma** and anaphylactic shock. Being a dual PAF and histamine antagonist, compound 4 is particularly useful for the treatment of complex pathologies such as **asthma** and allergic disorders of diverse ethiology in which a wide range of cellular mediators such as PAF and histamine are. . .

SUMM . . . for the treatment of those disorders where cellular mediators such as PAF and histamine play an important role, for example **asthma** and allergic disorders.

IT **100643-71-8P**, 8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine 120276-47-3P, 5-Methyl-3-pyridylmethyl bromide 156522-96-2P 156523-04-5P
(intermediate; prepn. of [(pyridylmethyl)piperidylidene]benzocyclohepta pyridine derivs. as antihistaminics and PAF antagonists)

L7 ANSWER 35 OF 41 USPATFULL

AB Derivatives of benzo[5,6]cyclohepta pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 95:69288 USPATFULL

TITLE: Benzo(5,6)cycloheptapyridines, compositions and methods of use

INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Ganguly, Ashit K., Upper Montclair, NJ, United States
Green, Michael J., Skillman, NJ, United States
Villani, Frank J., Fairfield, NJ, United States
Wong, Jesse, Union, NJ, United States

PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5438062		19950801	<--
APPLICATION INFO.:	US 1992-950986		19920923	(7)

DISCLAIMER DATE: 20090218

RELATED APPLN. INFO.: Continuation of Ser. No. US 1992-816777, filed on 2 Jan 1992, now abandoned which is a division of Ser. No. US 1989-345604, filed on 1 May 1989, now patented, Pat. No. US 5089496 which is a continuation-in-part of Ser. No. US 1988-181860, filed on 15 Apr 1988, now abandoned which is a continuation-in-part of Ser. No. US 1986-925342, filed on 31 Oct 1986, now patented, Pat. No. US 4826853

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1987-115890	19871029

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
LEGAL REPRESENTATIVE: Jeanette, Henry C., Nelson, James R.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 2162

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5438062 19950801 <--

SUMM . . . invention are, therefore, useful when PAF is a factor in the disease or disorder. This includes allergic diseases such as **asthma**, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .

IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P 38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P **100643-71-8P** 107256-21-3P 107256-31-5P 107285-30-3P

111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)

L7 ANSWER 36 OF 41 USPATFULL

AB Bis-benzo or benzopyrido piperidene, piperidylidene and piperazine compounds of the formula: ##STR1## and pharmaceutically acceptable salts thereof are disclosed, wherein Z represents --(C(R.sup.a).sub.2).sub.m --Y--(C(R.sup.a).sub.2).sub.n -- or ##STR2## The compounds of Formula I possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 95:50175 USPATFULL

TITLE: Bis-benzo or benzopyrido cyclohepta piperidene, piperidylidene and piperazine compounds, compositions and methods of use

INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Green, Michael J., Skillman, NJ, United States
Wong, Jesse, Union, NJ, United States

PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 5422351		19950606		<--
	WO 9200293		19920109		<--
APPLICATION INFO.:	US 1992-949810		19921214	(7)	
	WO 1991-US4162		19910621		
			19921214	PCT 371 date	
			19921214	PCT 102(e) date	

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia
LEGAL REPRESENTATIVE: Jeanette, Henry C., Nelson, James R.
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2814

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5422351 19950606 <--
WO 9200293 19920109 <--

DETD . . . are, therefore, useful when PAF and/or histamine are factors in the disease or disorder. This includes allergic diseases such as **asthma**, allergic **rhinitis**, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteo-arthritis. For example, PAF is an important mediator of such processes as. . .

IT 1802-34-2P 3718-65-8P 6630-65-5P 7584-09-0P 19677-74-8P
21230-51-3P 31255-57-9P 32998-95-1P 34122-28-6P 34122-29-7P
34122-31-1P 34122-32-2P 38092-89-6P 38093-09-3P 38093-14-0P
47124-87-8P 50603-12-8P 69159-50-8P 72469-85-3P 79794-75-5P

98980-47-3P **100643-71-8P** 107256-21-3P 107256-31-5P
107285-30-3P 111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P
111108-55-5P 111108-56-6P 111108-57-7P 116986-13-1P 117796-48-2P
117796-49-3P 117796-50-6P 117796-51-7P 117810-66-9P 117810-91-0P
117811-04-8P 117811-05-9P 117811-06-0P 117811-07-1P 117811-08-2P
117811-10-6P 117811-11-7P 117811-12-8P 117811-13-9P 117811-14-0P
117811-16-2P 117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P
117811-21-9P 117811-22-0P 117811-24-2P 117850-13-2P 117850-14-3P
119410-05-8P 126570-48-7P 126570-49-8P 126570-50-1P 126570-51-2P
126570-52-3P 126570-54-5P 126570-55-6P 126570-56-7P 126570-57-8P
126570-58-9P 126570-60-3P 126570-66-9P 126570-68-1P 126570-69-2P
126570-70-5P 126610-90-0P 129604-54-2P 133330-55-9P 133330-58-2P
133330-59-3P 133330-62-8P 133330-63-9P 133330-64-0P 133330-65-1P
133330-68-4P 133330-71-9P 133330-72-0P 140919-02-4P 140919-04-6P
140919-06-8P 140919-08-0P 140919-09-1P 140919-10-4P 140919-11-5P
140919-12-6P 140919-13-7P 140919-14-8P 140919-15-9P 140937-52-6P
(prepn. and reaction of, in prepn. of PAF and histamine antagonists)

L7 ANSWER 37 OF 41 USPATFULL

AB The present invention relates to 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine, to a process for its preparation and to pharmaceutical compositions containing it. This compound is a dual PAF antagonist and antihistamine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 95:34189 USPATFULL
TITLE: 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,]pyridine
INVENTOR(S): Carceller, Elena, Barcelona, Spain
Recasens, Nuria, Barcelona, Spain
Almansa, Carmen, Barcelona, Spain
Bartroli, Javier, Barcelona, Spain
Merlos, Manel, Barcelona, Spain
Giral, Marta, Barcelona, Spain
Garcia-Rafanell, Julian, Barcelona, Spain
Forn, Javier, Barcelona, Spain
PATENT ASSIGNEE(S): J. Uriach & Cia. S.A., Spain (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5407941		19950418	<--
APPLICATION INFO.:	US 1993-61720		19930517	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	ES 1992-1054	19920522

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Richter, Johann
ASSISTANT EXAMINER: Hydern, Michael B.
LEGAL REPRESENTATIVE: Rothwell, Figg, Ernst & Kurz
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 3
LINE COUNT: 708
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5407941 19950418 <--

SUMM . . . gastrointestinal tract diseases where PAF is involved (e.g. gastric ulcer, inflammatory bowel disease); diseases related to allergy and inflammation (e.g. **asthma**, dermatitis, **urticaria** , arthritis, psoriasis); pneumonia; rejection due to increased PAF production after implantations of organs; and postoperative organodysfunction (e.g. in heart, liver. . . potent antihistamine, compound 4 is useful as preventive and therapeutic drug for the treatment of diseases such as allergy (e.g. **rhinitis**, conjunctivitis, pruritus, **urticaria**, dermatitis), **asthma** and anaphylactic shock. Being a dual PAF and histamine antagonist, compound 4 is particularly useful for the treatment of complex pathologies such as **asthma** and allergic disorders of diverse ethiology in which a wide range of cellular mediators such as PAF and histamine are. . .

SUMM . . . for the treatment of those disorders where cellular mediators such as PAF and histamine play an important role, for example **asthma** and allergic disorders.

CLM What is claimed is:
3. A method for treating **asthma** or allergic disorders in mammals, which comprises administering to the mammal in need thereof an effective amount of 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidyliden]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine or. . .

IT **100643-71-8P**, 8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine 120276-47-3P, 5-Methyl-3-pyridylmethyl bromide 156522-96-2P 156523-04-5P
(intermediate; prepn. of [(pyridylmethyl)piperidylidene]benzocyclohepta pyridine derivs. as antihistaminics and PAF antagonists)

L7 ANSWER 38 OF 41 USPATFULL

AB Compounds of formula (1), wherein X is CH or N; Z is CH.dbd.CH or S; A is CH.sub.2 CH.sub.2, CH.dbd.CH, CH(OH)CH.sub.2, or COCH.sub.2 ; B is a direct link or --CH.sub.2 --, --CH(CH.sub.3)-- or --C(CH.sub.3).sub.2 --; or when Z is CH.dbd.CH, B may form a cyclopentane ring fused to the attached benzene ring; Y completes a fused benzo or thienyl ring which is optionally substituted by halo or C.sub.1 -C.sub.4 alkyl; n is 0, 1 or 2; and m is 0 or 1; are antagonists of both PAF and histamine H.sub.1 having utility in the treatment of allergic inflammatory conditions such as allergic **rhinitis**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:	94:93332 USPATFULL			
TITLE:	Imidazopyridine PAF/H.sub.1 antagonists			
INVENTOR(S):	Alker, David, Sandwich, United Kingdom Bass, Robert J., Sandwich, United Kingdom Cooper, Kelvin, Groton, CT, United States			
PATENT ASSIGNEE(S):	Pfizer Inc., New York, NY, United States (U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5358953		19941025	<--
	WO 9214734		19920903	<--
APPLICATION INFO.:	US 1993-87736		19930712	(8)
	WO 1992-EP163		19920124	
			19930712	PCT 371 date
			19930712	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1991-2997	19910213

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia
LEGAL REPRESENTATIVE: Richardson, Peter C., Benson, Gregg C., Olson, A. Dean
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 703
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5358953 19941025 <--
WO 9214734 19920903 <--

AB . . . are antagonists of both PAF and histamine H.sub.1 having utility in the treatment of allergic inflammatory conditions such as allergic **rhinitis**.

SUMM . . . activities and have clinical utility in the treatment of allergic inflammatory conditions of both the respiratory tract, such as allergic **rhinitis**, sinusitis and **asthma**, and skin, such as **atopic dermatitis** and **urticaria**.

SUMM The acute systems of allergic **rhinitis**, e.g. sneezing, nasal and ocular secretion and itching, are generally well controlled by H.sub.1 -antagonists. However, these agents elicit little. . . oedemogenic activity of PAF together with its known release from and activation of many types of inflammatory features of allergic **rhinitis**. The compounds of the invention are both PAF and H.sub.1 -antogonists and thus have the potential to ameliorate all the major symptoms of chronic allergic **rhinitis**.

SUMM In addition, while histamine contributes to the acute bronchoconstriction to allergen in **asthma**, it has little effect on either the delayed bronchoconstrictor responses or the non-specific bronchial hyperresponsiveness associated with the accumulation of. . . inflammatory response, together with its bronchoconstrictor activity, supports the potential role for a dual PAF/H.sub.1 antagonist in the treatment of **asthma**. Similarly, a dual PAF/H.sub.1 antagonist would be expected to be superior to antihistamines alone for the treatment of allergic cutaneous diseases, such as **atopic dermatitis** and **urticaria**, since, while antihistamines reduce itching and reddening, they are less effective against the wheal response associated with the influx of. . .

SUMM . . . would typically be within the range 1 to 10 mg per single dose as required. For the treatment of allergic **asthma** and **rhinitis**, intranasal administration or inhalation via a nebuliser or aerosol may be the preferred route of drug administration. Dose levels by. . .

CLM What is claimed is:
8. A method of treating allergic **rhinitis**, sinusitis, **asthma**, **atopic dermatitis** or **urticaria** in a patient in need of such treatment, which comprises administering to said patient an effective amount of a compound. . .

IT 87-25-2, Ethyl-2-aminobenzoate 582-33-2, Ethyl-3-aminobenzoate 5438-70-0, Ethyl-4-aminophenylacetate 13091-23-1, 4-Chloro-3-nitropyridine 16689-02-4, 2-Cyano-5-nitrothiophene 26453-01-0 34580-20-6 38092-95-4 50603-12-8 **100643-71-8** 117796-49-3 117811-11-7 117811-20-8 119410-04-7 125477-75-0 127484-88-2 145079-06-7

(reaction of, in prepn. of histamine H and PAF antagonists)

L7 ANSWER 39 OF 41 USPATFULL

AB Heterocyclic N-oxide derivatives of substituted benzo[5,6]cycloheptapyridines, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 92:80822 USPATFULL

TITLE: Heterocyclic n-oxide derivatives of substituted benzo[5,6]cycloheptapyridines, compositions and methods of use

INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Green, Michael J., Skillman, NJ, United States
Wong, Jesse, Union, NJ, United States

PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5151423		19920929	<--
APPLICATION INFO.:	US 1990-625261		19901210	(7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1989-345604, filed on 1 May 1989, now patented, Pat. No. US 5089496

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1990-108225	19900430

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia
LEGAL REPRESENTATIVE: Nelson, James R.
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 1952

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5151423 19920929 <--

SUMM . . . are, therefore, useful when PAF and/or histamine are factors in the disease or disorder. This includes allergic diseases such as **asthma**, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .

IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P
38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P
100643-71-8P 107256-21-3P 107256-31-5P 107285-30-3P
111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)

L7 ANSWER 40 OF 41 USPATFULL

AB Derivatives of benzo[5,6]cyclohepta pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 92:12954 USPATFULL

TITLE: Benzo[5,6]cycloheptapyridine compounds, compositions and method of treating allergies

INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Ganguly, Ashit K., Upper Montclair, NJ, United States
Green, Michael J., Skillman, NJ, United States
Villani, Frank J., Fairfield, NJ, United States
Wong, Jesse, Union, NJ, United States

PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5089496		19920218	<--
APPLICATION INFO.:	US 1989-345604		19890501	(7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1988-181860, filed on 15 Apr 1988, now abandoned which is a continuation-in-part of Ser. No. US 1986-925342, filed on 31 Oct 1986, now patented, Pat. No. US 4826853

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1987-115890	19871029

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Davis, Zinna Northington
LEGAL REPRESENTATIVE: Nelson, James R.
NUMBER OF CLAIMS: 49
EXEMPLARY CLAIM: 1
LINE COUNT: 2881

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5089496 19920218 <--

SUMM . . . invention are, therefore, useful when PAF is a factor in the disease or disorder. This includes allergic diseases such as **asthma**, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .

IT **100643-71-8**
(acylation of)

L7 ANSWER 41 OF 41 USPATFULL

AB Derivatives of 6,11-dihydro-11-(4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine, and pharmaceutically acceptable salts and solvates thereof are disclosed, which possess anti-allergic and anti-inflammatory activity. Methods for preparing and using the compounds are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

09/760,588

ACCESSION NUMBER: 89:34405 USPATFULL
TITLE: 6,11-Dihydro-11-(N-substituted-4-piperidylidene)-5H-benzo(5,6)cyclohepta(1,2-B)pyridines and compositions and methods of use
INVENTOR(S): Piwinski, John J., Parsippany, NJ, United States
Ganguly, Ashit K., Upper Montclair, NJ, United States
Green, Michael J., Skillman, NJ, United States
Villani, Frank J., Fairfield, NJ, United States
Wong, Jesse, Union, NJ, United States
PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4826853		19890502	<--
APPLICATION INFO.:	US 1986-925342		19861031	(6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: Northington, Zinna
LEGAL REPRESENTATIVE: Nowak, Henry P., Billups, Richard C., Nelson, James R.
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1,21
LINE COUNT: 1413
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 4826853 19890502 <--
SUMM . . . invention are therefore useful whenever PAF is a factor in the disease or disorder. This includes allergic diseases such as **asthma**, adult respiratory distress syndrome, **urticaria** and inflammatory diseases such as rheumatoid arthritis and osteoarthritis. For example, PAF is an important mediator of such processes as. . .
IT 3718-65-8P 7584-09-0P 31255-57-9P 32998-95-1P 38092-89-6P
38092-95-4P 38093-09-3P 38093-14-0P 72469-85-3P 79794-75-5P
100643-71-8P 107256-21-3P 107256-31-5P 107285-30-3P
111108-47-5P 111108-52-2P 111108-53-3P 111108-54-4P 111108-55-5P
111108-56-6P 111108-57-7P 117796-48-2P 117796-49-3P 117796-50-6P
117796-51-7P 117810-91-0P 117811-04-8P 117811-05-9P 117811-06-0P
117811-07-1P 117811-08-2P 117811-09-3P 117811-10-6P 117811-11-7P
117811-12-8P 117811-13-9P 117811-14-0P 117811-15-1P 117811-16-2P
117811-17-3P 117811-18-4P 117811-19-5P 117811-20-8P 117811-21-9P
117811-22-0P 117811-23-1P 117811-24-2P 117850-13-2P 117850-14-3P
117850-15-4P
(prepn. and reaction of, in prepn. of analgesic and antiinflammatory agents)